=> file caplus
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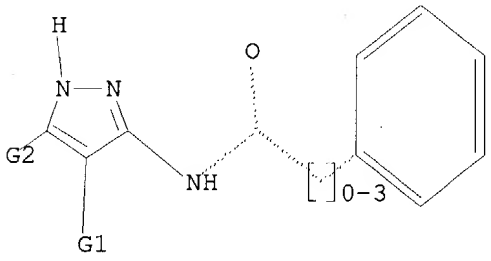
FILE COVERS 1907 - 11 May 2004 VOL 140 ISS 20
FILE LAST UPDATED: 10 May 2004 (20040510/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L1 STR



G1 H,F,Me,CN,X,Ak

G2 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.
L3 814 SEA FILE=REGISTRY SSS FUL L1
L4 70 SEA FILE=CAPLUS L3

=> d l4 1-70 ibib abs hitstr

L4 ANSWER 1 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:182368 CAPLUS
DOCUMENT NUMBER: 140:229401
TITLE: Three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands
INVENTOR(S): Come, Jon H.; Becker, Frank; Kley, Nikolai A.; Reichel, Christoph
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

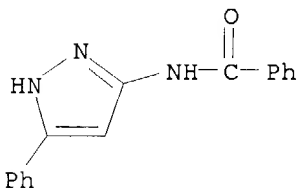
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004043388	A1	20040304	US 2002-234985	20020903
US 2003165873	A1	20030904	US 2002-91177	20020304

PRIORITY APPLN. INFO.: US 2001-272932P P 20010302
US 2001-278233P P 20010323
US 2001-329437P P 20011015
US 2002-91177 A2 20020304

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Prepn. of compds., e.g a methotrexate moiety linked by a polyethylene gycol moiety to dexamethasone, is described.

IT **97620-17-2D,** conjugates
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 97620-17-2 CAPLUS
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:175911 CAPLUS
DOCUMENT NUMBER: 140:210789
TITLE: Acyl-CoA:cholesterol acyltransferase-1 (ACAT-1) inhibitors containing phosphonic acid diester derivatives
INVENTOR(S): Kuroda, Terunori; Miyata, Kazuyoshi; Sakai, Yasuhiro; Tomoyasu, Takahiro; Inoue, Yasuhide; Hagi, Akifumi; Miki, Shinya; Yoshinaga, Yoshihiro; Doi, Masako; Tsuda, Yoshihiko
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004067645	A2	20040304	JP 2002-232810	20020809

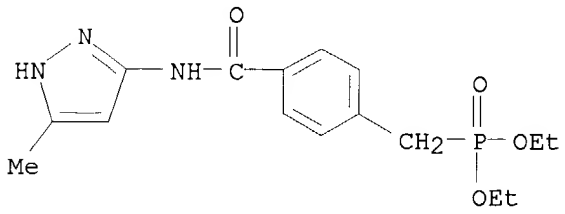
PRIORITY APPLN. INFO.: JP 2002-232810 20020809
OTHER SOURCE(S): MARPAT 140:210789

AB The invention provides Acyl-CoA:cholesterol acyltransferase-1 (ACAT-1) inhibitors suitable for use as antiarteriosclerotic and anticholesteremic agents, characterized by contg. defined phosphonic acid diester deriv. A

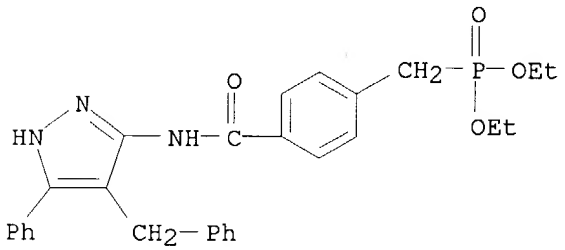
compd. dibutyl[4-(2,5-diphenyl-2H-pyrazol-3-ylcarbamoyl)benzyl]phosphonate (II) was prepd., and its inhibitory effect on ACAT-1 activity in SW-13 cells was examd. A tablet contg. II 300 mg/tablet was formulated.

IT **169293-97-4P 169294-01-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acyl-CoA:cholesterol acyltransferase-1 (ACAT-1) inhibitors contg. phosphonic acid diester derivs.)

RN 169293-97-4 CAPLUS
CN Phosphonic acid, [[4-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 169294-01-3 CAPLUS
CN Phosphonic acid, [[4-[[[5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl]amino]carbonyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1006771 CAPLUS
DOCUMENT NUMBER: 140:42179
TITLE: Preparation of 2-ureido-6-heteroaryl-3H-benzimidazole-4-carboxylic acid derivatives and related compounds as gyrase and/or topoisomerase IV inhibitors for the treatment of bacterial infections
INVENTOR(S): Charifson, Paul; Deininger, David D.; Drumm, Joseph; Grillot, Anne-Laure; Liao, Yusheng; Oliver-Shaffer, Patricia; Stamos, Dean
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

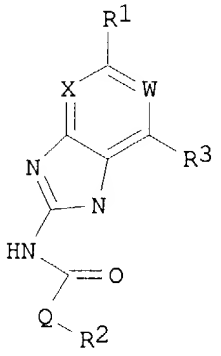
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105846	A1	20031224	WO 2003-US18401	20030611

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-388665P P 20020613
US 2002-429077P P 20021126

OTHER SOURCE(S): MARPAT 140:42179

GI



I

AB The present invention relates to compds. of formula (I) [Q = CH2, NH, O; W = N or C-R4 (wherein R4 = H, F, OMe); X = CH, CF; R1 = (un)substituted 5-6 membered aryl ring having 1-3 heteroatoms independently selected from O, N, or S; R2 = H or C1-3 aliph. group; R3 = C(O)NHR, C(O)N(R)2, CH(O), C(O)R, CO2R, C(O)C(O)N(R2)R, SO2R, SO2N(R)2, SO2NHR, C(R'):NOR, C(R'):NOH, C(R'):NR, C(R'):N-N(R2)R, NO, or NO2; wherein R = T-Ar, (un)substituted C1-6 aliph. group; wherein T = (CH2)y (wherein y = 0-2); Ar is optionally substituted and selected from: (a) a 3-8 membered satd., unsatd., or aryl ring; (b) a 3-7 membered heterocyclic ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur; or (c) a 5-6 membered heteroaryl ring having 1-3 heteroatoms independently selected from N, O, or S] or pharmaceutically acceptable salts thereof and pharmaceutically acceptable compns. comprising said compds. These compds. inhibit bacterial gyrase and/or topoisomerase IV and are useful in treating bacterial infection. Accordingly, the present invention also relates to methods for treating bacterial infections in mammals. Thus, to a suspension of Me 2,3-diamino-5-(3'-pyridyl)benzoate (0.109 g, 0.448 mmol) in H2O (1 mL) was added H2SO4 (1 N, 1.2 mL) and a soln. of N'-ethyl-N-cyanourea (1 M, 0.9 mL, 0.94 mmol), adjusted to pH 3-4 with 1 N H2SO4, heated at reflux for 12 h, cooled, and filtered to give, after washing the collected crystals with H2O, purifn. by silica gel flash chromatog., and recrystn. from MeOH and Et2O, 0.009 g 2-(3-Ethylureido)-6-pyridin-3-yl-3H-benzimidazole-4-carboxylic acid Me ester (II) as an off white solid. II Showed min. inhibitory concn. of .ltoreq.0.5 .mu.g/mL against Staphylococcus aureus.

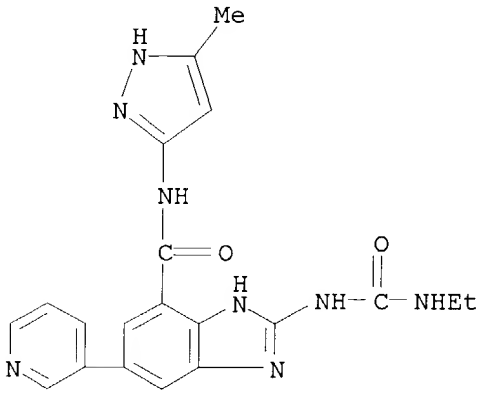
IT **636581-26-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(prepn. of 2-ureidoheteroaryl-3H-benzimidazolecarboxylic acid derivs. and related compds. as gyrase and/or topoisomerase IV inhibitors for treatment of bacterial infections)

RN 636581-26-5 CAPLUS

CN 1H-Benzimidazole-4-carboxamide, 2-[[(ethylamino)carbonyl]amino]-N-(5-methyl-1H-pyrazol-3-yl)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:991345 CAPLUS

DOCUMENT NUMBER: 140:42216

TITLE: Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases

INVENTOR(S): Muto, Susumu; Itai, Akiko

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 418 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103665	A1	20031218	WO 2003-JP7120	20030605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-165148 A 20020606

OTHER SOURCE(S): MARPAT 140:42216

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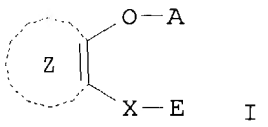


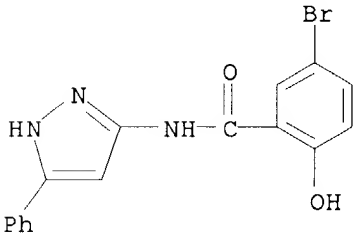
I

AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E = (un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates thereof are prepd. for the treatment of allergic diseases, endometriosis, and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I exhibited inhibitory activities against IgE prodn., cell proliferation, and cell degranulation.

IT **439144-07-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenol or Ph acetate derivs. for treatment of allergic diseases)

RN 439144-07-7 CAPLUS

CN Benzamide, 5-bromo-2-hydroxy-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:991339 CAPLUS
DOCUMENT NUMBER: 140:42204
TITLE: Preparation of immunity-related protein kinase inhibitors
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103658	A1	20031218	WO 2003-JP7130	20030605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-164525 A 20020605

OTHER SOURCE(S): MARPAT 140:42204

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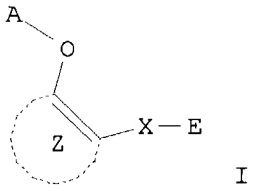


I

AB The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addn. to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent in addn. to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above)] are prepd. Compds. of this invention in vitro at 1 .mu.g/mL gave 90% to 92.6% inhibition of NF-.kappa.B activation.

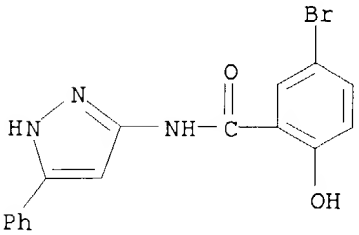
IT **439144-07-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of immunity-related protein kinase inhibitors)

RN 439144-07-7 CAPLUS

CN Benzamide, 5-bromo-2-hydroxy-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:991330 CAPLUS

DOCUMENT NUMBER: 140:27850

TITLE: Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications

INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103648	A1	20031218	WO 2003-JP7131	20030605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-164524 A 20020605
OTHER SOURCE(S): MARPAT 140:27850

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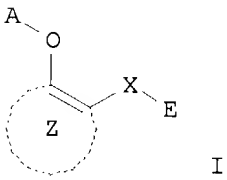


I

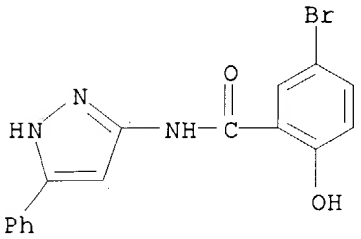
AB Disclosed are medicines for the prevention and/or treatment of diabetes and/or diabetes complications, contg. as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-E). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I improve insulin resistance by specifically inhibiting IKK-.beta. (I .kappa.B kinase .beta.).

IT **439144-07-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenol or Ph acetate derivs. as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications)

RN 439144-07-7 CAPLUS

CN Benzamide, 5-bromo-2-hydroxy-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:991329 CAPLUS

DOCUMENT NUMBER: 140:27849

TITLE: Preparation of phenol or phenyl acetate derivatives as inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)

INVENTOR(S): Muto, Susumu; Itai, Akiko

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103647	A1	20031218	WO 2003-JP7129	20030605

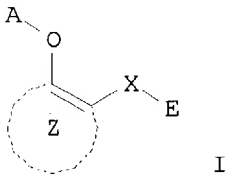
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-164526 A 20020605

OTHER SOURCE(S): MARPAT 140:27849

GI



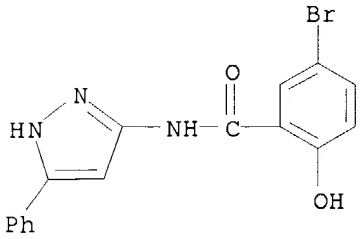
I

AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, contg. as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-E). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I can exhibit the inhibitory activity against releasing inflammatory cytokines, inflammatory activity, immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT.

IT **439144-07-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenol or Ph acetate derivs. as inhibitors against activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT))

RN 439144-07-7 CAPLUS

CN Benzamide, 5-bromo-2-hydroxy-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



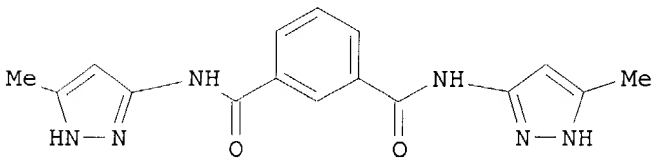
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:913146 CAPLUS
DOCUMENT NUMBER: 139:395928
TITLE: Preparation of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur

INVENTOR(S): Schrader, Thomas; Riesner, Detlev; Rzepecki, Petra; Nagel-Steger, Luitgard; Wehner, Mark; Kirsten, Christian; Molt, Oliver; Zadmard, Reza; Aschermann, Katja
PATENT ASSIGNEE(S): Transmit Gesellschaft fuer Technologietransfer mbH, Germany
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095429	A1	20031120	WO 2003-DE1500	20030509
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, SC, SD, SG, TN, TT, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10221052	A1	20031204	DE 2002-10221052	20020510

PRIORITY APPLN. INFO.: DE 2002-10221052 A 20020510
GI



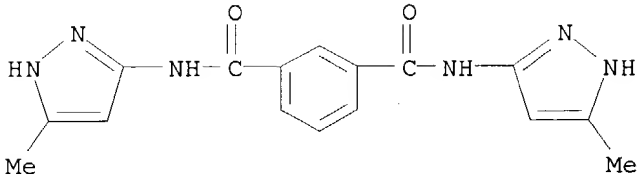
I

AB Pyrazolylcarboxamides with a donor-acceptor-donor structure with donor-acceptor distances of 3.5-4.0 .ANG. and acceptor-donor distances of 2.6-2.9 .ANG. and which inhibit the formation of .beta.-amyloid plaques and dissolve those already formed, were prepd. for use in treating diseases in which abnormal protein folding occurs, such as Alzheimer's and prion diseases. These compds. identify peptides and proteins having a .beta.-pleated sheet structure, form stable complexes therewith, and prevent the aggregation thereof into .beta.-amyloid plaques. In addn., they decomp. already existing .beta.-amyloid plaques. Thus, 3-amino-1-tert-butoxycarbonyl-5-methyl-1H-pyrazole was treated with m-(ClCO)2C6H4 and deblocked to give the diamide I which inhibited .beta.-amyloid plaque formation by A.beta.(1-42) at 10mM.

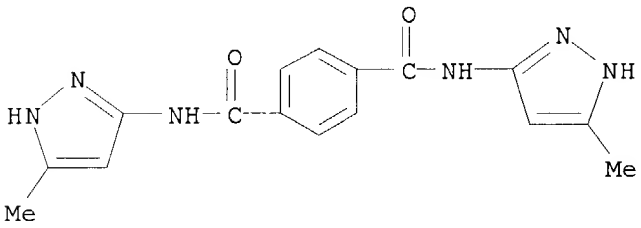
IT **625386-01-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur)

RN 625386-01-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

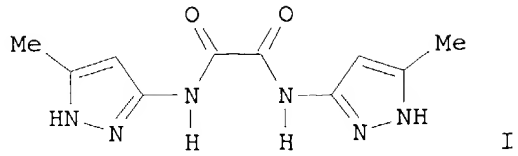


IT **625385-99-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur)
RN 625385-99-1 CAPLUS
CN 1,4-Benzenedicarboxamide, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:732768 CAPLUS
DOCUMENT NUMBER: 140:128610
TITLE: Aminopyrazole oligomers for .beta.-sheet stabilization of peptides
AUTHOR(S): Rzepecki, P.; Wehner, M.; Molt, O.; Zadmard, R.; Harms, K.; Schrader, T.
CORPORATE SOURCE: Philipps-Universitaet Marburg, Department of Chemistry, Marburg, 35032, Germany
SOURCE: Synthesis (2003), (12), 1815-1826
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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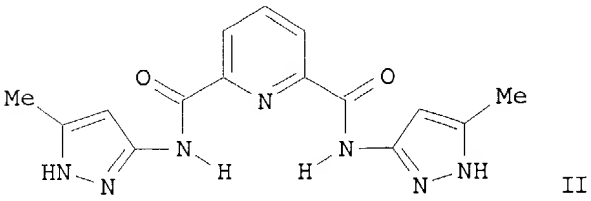
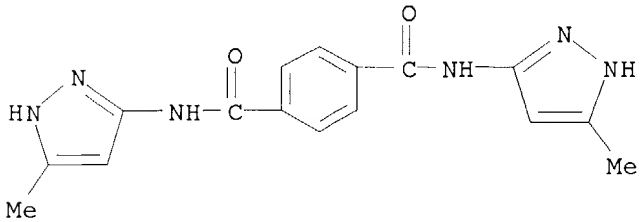


II

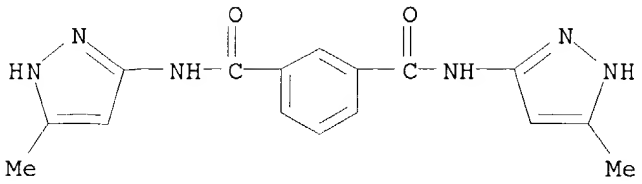
AB A general concept for the stabilization of .beta.-sheets by designed artificial ligands is introduced. The ligands have two key features: they contain acylated 3-aminopyrazoles with a DAD hydrogen bond donor and acceptor pattern, and they were synthesized as oligomers in order to multiply their hydrogen bond interactions with peptides in the .beta.-sheet conformation. Dimeric aminopyrazoles, e.g. I, were accessible by reaction of the N1-Boc 3-amino-5-methylpyrazole with several acid dichlorides followed by a std. deprotection procedure with trifluoroacetic acid. For the oligomers, N1-PMB protection of new pyrazole amino acids followed by an iterative extension protocol with peptide coupling using PyClop or Mukaiyama's reagent led to the target compds. All protecting groups were subsequently removed in a final deprotection step with warm trifluoroacetic acid. Two dimeric key compds. I and II were examd. by NMR at various temps., in NOESY expts. as well as by X-ray crystallog. in order to elucidate their conformational preference in soln. and the solid state. The emerging picture was the same for all methods: both ligands adopt a flat conformation with a high degree of pre-orientation and the correct DAD pattern for optimal interaction with peptides in their extended conformation. Aggregation assays with the Prion protein and the Alzheimer's peptide A.beta. (1-40) show highly promising results for some of the dimeric and oligomeric ligands at very low concns.

IT **625385-99-1P 625386-01-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminopyrazole dimers and oligomers for .beta.-sheet stabilization of peptides and their aggregation assays)

RN 625385-99-1 CAPLUS
CN 1,4-Benzenedicarboxamide, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 625386-01-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



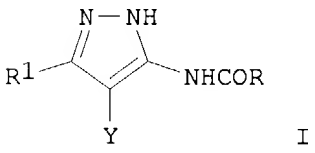
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:545789 CAPLUS
DOCUMENT NUMBER: 139:101123
TITLE: Preparation of 3-acylaminopyrazoles from 1-acylamino-3-oxo-1-alkoxypropenes and hydrazine.
INVENTOR(S): Romanet, Robert F.; Fischer, Susan M.
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6593477	B1	20030715	US 2002-282777	20021029
EP 1415989	A1	20040506	EP 2003-78273	20031017

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-282777 A 20021029
OTHER SOURCE(S): CASREACT 139:101123; MARPAT 139:101123
GI

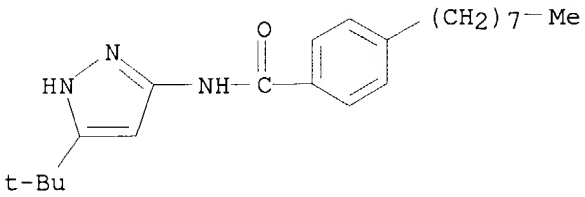


I

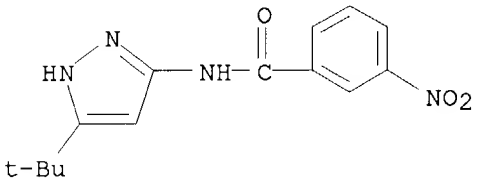
AB Title compds. [I; R = alkyl, aryl, heterocyclyl, heteroatom group; R1 = alkyl, aryl, heterocyclyl; Y = H, alkyl, aryl, group linked to the compd. by a heteroatom in Y], were prepd. via cyclocondensation of R1COCY:C(OR2)NHCOR (R2 = alkyl, aryl, heterocyclyl; other variables as above) with N2H4 or a salt thereof, provided that the reaction is carried out in the presence of base when an N2H4 salt is used. Thus, Me3CCOCH:C(OEt)NHCOPh (prepn. given) in EtOH was treated over 1 min. with N2H4 followed by stirring for 30 min. to give 93% I (R = Ph; R1 = CMe3; Y = H).

IT **560129-99-9P 560130-05-4P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of acylaminopyrazoles from acylaminooxoalkoxypropenes and hydrazine)
RN 560129-99-9 CAPLUS

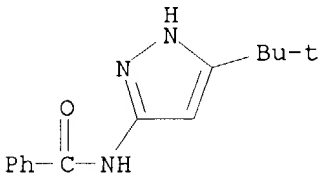
CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-4-octyl- (9CI) (CA INDEX NAME)



RN 560130-05-4 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-3-nitro- (9CI) (CA INDEX NAME)



IT **560129-94-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acylaminopyrazoles from acylaminooxoalkoxypropenes and hydrazine)
RN 560129-94-4 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:335065 CAPLUS
DOCUMENT NUMBER: 138:368620
TITLE: Preparation of 2-chloro-5-nitrobenzamides as lipid modulators for treatment of osteoporosis and diabetes
INVENTOR(S): Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Kitayama, Ken
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035602	A1	20030501	WO 2002-JP11068	20021024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

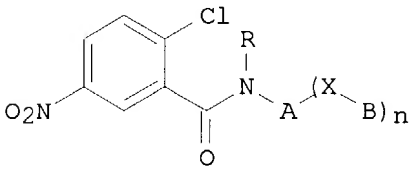
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2003201271	A2	20030718	JP 2002-310549	20021025

PRIORITY APPLN. INFO.: JP 2001-327189 A 20011025

OTHER SOURCE(S): MARPAT 138:368620

GI



I

AB The title compds. I [wherein A = (un)substituted Ph, naphthyl, acenaphthenyl, Py, (iso)quinolyl, pyrimidyl, (benzo)furyl, pyranyl, chromanyl, (benzo)thienyl, pyrrolyl, (iso)indolyl, imidazolyl, pyrazolyl, pyridazinyl, pyrazinyl, (iso)oxazolyl, pyrrolidinyl, piperidyl, piperazyl, benzoxazolyl, benzoisooxazolyl, (iso)thiazolyl, benzothiazolyl, or biphenyl; B = (un)substituted aryl, cycloalkyl, or heterocyclyl; R = H or alkyl; X = a bond, O, S, CH2, CO, NH, SO2NH, NHSO2, CONH, NHCO, or OCH2; n = 0-1] and pharmaceutically acceptable salts thereof are prepd. as lipid modulators for treatment of osteoporosis and diabetes. For example, 4-phenylaniline hydrochloride was reacted with 2-chloro-5-nitrobenzoyl chloride in pyridine to afford N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide. The above N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide showed IC50 of 1.9 nM against human PPAR .gamma.. I are useful for the treatment of osteoporosis, and diabetes, etc.

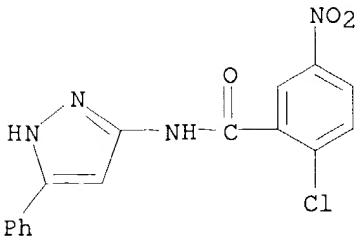
IT **372094-37-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

RN 372094-37-6 CAPLUS

CN Benzamide, 2-chloro-5-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

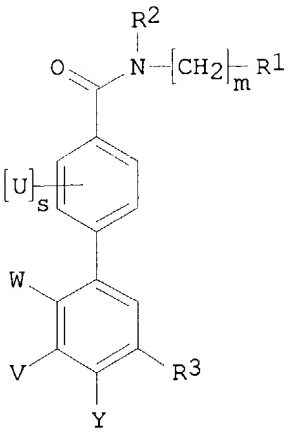
L4 ANSWER 12 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319702 CAPLUS
DOCUMENT NUMBER: 138:337841
TITLE: Preparation of 5'-carbamoyl-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors
INVENTOR(S): Angell, Richard Martyn; Aston, Nicola Mary; Bamborough, Paul; Bamford, Mark James; Cockerill, George Stuart; Merrick, Suzanne Joy; Smith, Kathryn Jane; Walker, Ann Louise
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032972	A1	20030424	WO 2002-EP11577	20021016

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-24941 A 20011017
OTHER SOURCE(S): MARPAT 138:337841
GI



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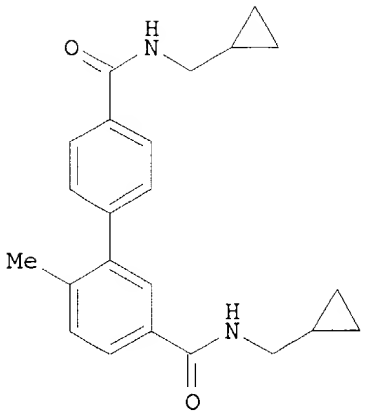


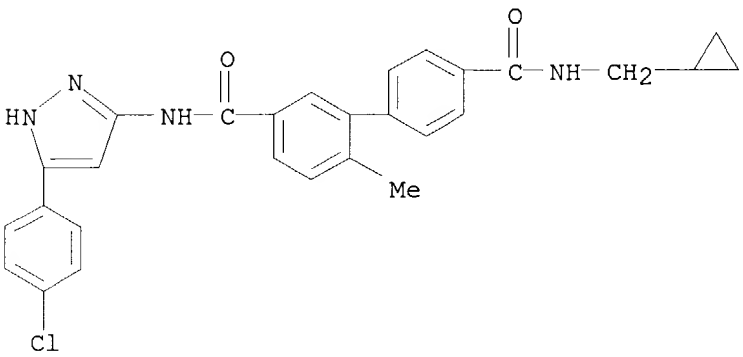
II

AB The title compds. [I; when m = 0-4, R1 = alkyl, cycloalkyl, alkenyl, etc.; and when m = 2-4, R1 addnl. = alkoxy, OH, etc.; R2 = H, alkyl, (CH2)ncycloalkyl; R3 = CONH(CH2)pR6; R6 = H, alkyl, cycloalkyl, etc.; U = Me, halo; W = Me, Cl; V, Y = H, Me, halo; m = 0-4 wherein each carbon atom of the resulting carbon chain may be optionally substituted with one or two groups selected independently from alkyl; n = 0-3; p = 0-2; s = 0-2], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepd. E.g., a 3-step synthesis of the carboxamide II, starting from cyclopropylmethylamine and 4-bromobenzoyl chloride, was given.

IT **515135-25-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5'-carbamoyl-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors)

RN 515135-25-8 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-N4'-(cyclopropylmethyl)-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

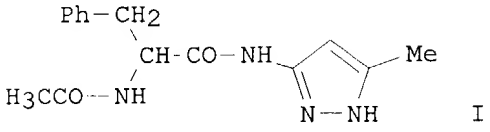
L4 ANSWER 13 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:308495 CAPLUS
DOCUMENT NUMBER: 139:189998
TITLE: First zinc complex of an amino acid pyrazole conjugate: synthesis and crystal structure of

{Zn[3-(Ac-Phe)-5-methylpyrazole]2}2(ClO4)4

AUTHOR(S): Lu, Yingshen; Kraatz, Heinz-Bernhard
CORPORATE SOURCE: Department of Chemistry, University of Saskatchewan, Saskatoon, SK, S7N 5C9, Can.

SOURCE: Inorganic Chemistry Communications (2003), 6(6), 666-669
CODEN: ICCOFP; ISSN: 1387-7003
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:189998

GI



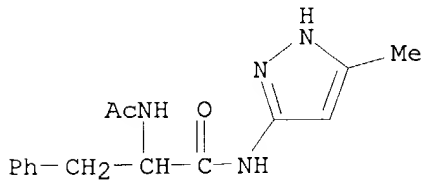
I

AB The zinc(II) complex, of the dimeric {Zn[3-(Ac-Phe)-5-methyl-pyrazole]2}2(ClO4)4 (5) was obtained by the reaction of the amino acid-pyrazole conjugate, 3-(Ac-Phe)-5-methylpyrazole (4, I) and Zn(ClO4)2. An acetone solvate of this complex was analyzed by single crystal x-ray crystallog., which establishes the dimeric nature of the complex with a large Zn...Zn sepn. of 5.551(6) .ANG. and exhibits coordination to the distorted trigonal bipyramidal zinc centers through the amino acid C:O and the pyrazole N atoms.

IT **578008-33-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant for prepn. of zinc(II) acetyl(methylpyrazolyl)phenylalaninamide dimeric complex)

RN 578008-33-0 CAPLUS

CN Benzenepropanamide, .alpha.-(acetylamino)-N-(5-methyl-1H-pyrazol-3-yl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:223004 CAPLUS
DOCUMENT NUMBER: 139:17675

TITLE: Molecular identification of the long isoform of the human neuropeptide Y Y5 receptor and pharmacological comparison with the short Y5 receptor isoform

AUTHOR(S): Rodriguez, Marianne; Audinot, Valerie; Dromaint, Sandra; Macia, Christelle; Lamamy, Veronique; Beauverger, Philippe; Rique, Herve; Imbert, Jerome; Nicolas, Jean Paul; Boutin, Jean A.; Galizzi, Jean

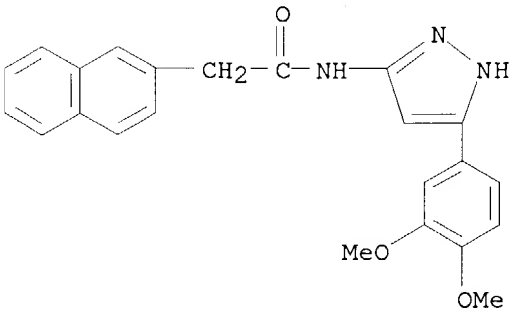
Pierre
CORPORATE SOURCE: Institut de Recherches Servier, Division de Pharmacologie Moleculaire et Cellulaire, Croissy sur Seine, 78 290, Fr.
SOURCE: Biochemical Journal (2003), 369(3), 667-673
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The neuropeptide Y Y5 receptor gene generates two splice variants, referred to here as Y5L (long isoform) and Y5S (short isoform). Y5L mRNA differs from Y5S mRNA in its 5' end, generating a putative open reading frame with 30 addnl. nucleotides upstream of the initiator AUG compared with the Y5S mRNA. The purpose of the present work was to investigate the existence of the Y5L mRNA. The authenticity of this transcript was confirmed by isolating part of its 5' untranslated region through 5' rapid amplification of cDNA ends and analyzing its tissue distribution. To study the initiation of translation on Y5L mRNA, the authors cloned the Y5L cDNA and two Y5L cDNA mutants lacking the first or the second putative initiation start codon. Transient expression of the three plasmids in COS-7 cells and satn. binding expts. using 125I-labeled polypeptide YY (PYY) as a ligand showed that initiation of translation on Y5L mRNA could start at the first AUG, giving rise to a Y5L receptor with an N-terminal 10-amino-acid extension when compared with the Y5S receptor. The human Y5L and Y5S receptor isoforms displayed similar affinity consts. (1.3 nM and 1.5 nM resp.). [125I]PYY binding to COS-7 cells expressing either the Y5L or the Y5S isoform was inhibited with the same rank order of potency by a selection of six chem. diverse compds.: PYY > neuropeptide Y > pancreatic polypeptide > CGP71683A > Synaptic 34 > Banyu 6. Comparison of the tissue distribution of Y5L and Y5S mRNAs, as detd. by reverse transcription-PCR anal., indicated that expression of Y5L mRNA occurs in a tissue-specific manner. Finally, the authors have shown that the two AUG triplets contained in the 5' untranslated region of Y5L mRNA did not affect receptor expression.

IT **209727-35-5**, Banyu 6
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(mol. identification of long isoform of human neuropeptide Y Y5 receptor and pharmacol. comparison with short Y5 receptor isoform in relation to nucleotide sequence and tissue distribution)

RN 209727-35-5 CAPLUS

CN 2-Naphthaleneacetamide, N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



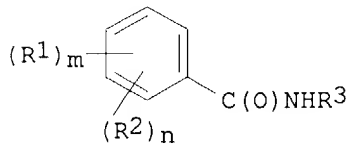
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154243 CAPLUS
DOCUMENT NUMBER: 138:204839
TITLE: Preparation of benzamides affecting glucokinase for combined treatment or prevention of type 2 diabetes and obesity
INVENTOR(S): Boyd, Scott; Caulkett, Peter William Rodney; Hargreaves, Rodney Brian; Bowker, Suzanne Saxon; James, Roger; Johnstone, Craig; Jones, Clifford David; McKerrecher, Darren; Block, Michael Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015774	A1	20030227	WO 2002-GB3745	20020815

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2001-2764 A 20010817
OTHER SOURCE(S): MARPAT 138:204839
GI



I

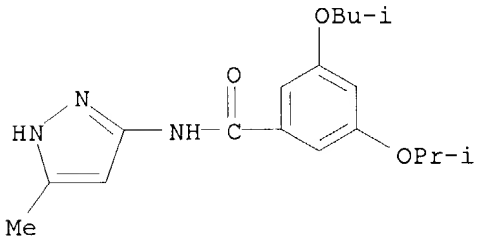
AB The invention relates to the use of benzamides (shown as I; variables defined below; e.g. 2-[[3,5-di(2-chlorobenzyloxy)benzoyl]amino]thiazole) or a salt, solvate or prodrug thereof, in the prepn. of a medicament for the treatment or prevention of a disease condition mediated through glucokinase (GLK; no data), such as type 2 diabetes, and to the compds. I and methods for prepg. them. Twelve pharmaceutical compns. are included. For I: m is 0-2; n is 0-4; and n + m > 0; each R1 = OH, -(CH2)1-4OH, -CH3-aFa, -(CH2)1-44CH3-aFa, -OCH3-aFa, halo, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, NH2, -NH-C1-4alkyl, -N-di(C1-4alkyl), CN, formyl, Ph or heterocyclyl optionally substituted by C1-6alkyl. Each R2 is the group Y-X- wherein each X is a linker = -O-Z-, -O-Z-O-Z-, -C(O)O-Z-, -OC(O)-Z-, -S-Z-, -SO-Z-, -SO2-Z-, -N(R6)-Z-, -N(R6)SO2-Z-, -SO2N(R6)-Z-, -(CH2)1-4-, -CH:CH-Z-, -C.tplbond.C-Z-, -N(R6)CO-Z-, -CON(R6)-Z-, -C(O)N(R6)S(O)2-Z-, -S(O)2N(R6)C(O)-Z-, -C(O)-Z-, -Z-, -C(O)-Z-O-Z-, -N(R6)-C(O)-Z-O-Z-, -O-Z-N(R6)-Z-, -O-C(O)-Z-O-Z- or a direct bond; each Z = a direct bond, C2-6alkenylene or -(CH2)p-C(R6a)2-(CH2)q-; each Y = aryl-Z1-,

heterocyclyl-Z1-, C3-7cycloalkyl-Z1-, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, -(CH2)1-4CH3-aFa or -CH(OH)CH3-aFa; R3 = Ph or a heterocyclyl; addnl. details are given in the claims. More than 30 example prepns. of I are included and >300 specific examples of I are included with characterization data. For example, to prep. 2-[[3,5-di(2-chlorobenzyloxy)benzoyl]amino]thiazole, diisopropylethylamine (2.0 mmol) then 4-dimethylaminopyridine (0.1 mmol) were added to a soln. of 2-aminothiazole (1.0 mmol) and 3,5-di(2-chlorobenzyloxy)benzoic acid chloride (1.0 mmol) in CH2Cl2 (10 mL) under Ar at ambient temp. After 80 mins the reaction mixt. was filtered, washed with CH2Cl2 and dried under high vacuum to give the title compd. as a colorless solid (41%).

IT **499988-73-7P**, N-(5-Methylpyrazol-3-yl)-3-isobutoxy-5-isopropoxybenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzamides affecting glucokinase for combined treatment or prevention of type 2 diabetes and obesity)

RN 499988-73-7 CAPLUS

CN Benzamide, 3-(1-methylethoxy)-5-(2-methylpropoxy)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:55306 CAPLUS

DOCUMENT NUMBER: 138:238068

TITLE: Design and Synthesis of the Potent, Orally Available, Brain-Penetrable Arylpyrazole Class of Neuropeptide Y5 Receptor Antagonists

AUTHOR(S): Sato, Nagaaki; Takahashi, Toshiyuki; Shibata, Takunobu; Haga, Yuji; Sakuraba, Aya; Hirose, Masaaki; Sato, Miki; Nonoshita, Katsumasa; Koike, Yuko; Kitazawa, Hidefumi; Fujino, Naoko; Ishii, Yasuyuki; Ishihara, Akane; Kanatani, Akio; Fukami, Takehiro

CORPORATE SOURCE: Tsukuba Research Institute, Banyu Pharmaceutical Co., Ltd., Tsukuba, 300-2611, Japan

SOURCE: Journal of Medicinal Chemistry (2003), 46(5), 666-669
CODEN: JMCMAR; ISSN: 0022-2623

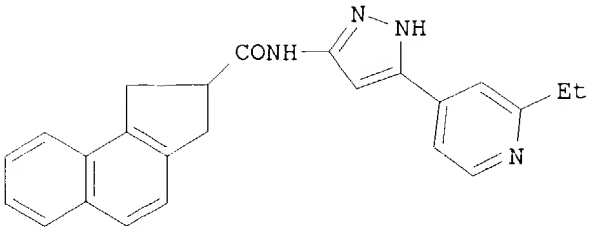
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:238068

GI



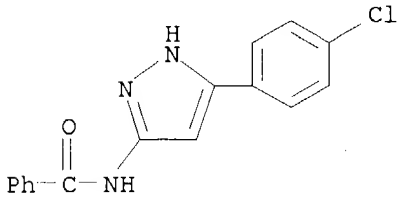
I

AB Novel arylpyrazole derivs. were synthesized and evaluated as neuropeptide Y5 receptor antagonists. The 2,3-dihydro-1H-cyclopenta[a]naphthalene deriv. I showed good binding affinity and antagonistic activity for the Y5 receptor. After intracerebroventricular administration in SD rats, (-)-I significantly inhibited food intake that was induced by the centrally administered Y5-preferring agonist, bovine pancreatic polypeptide, but had only a negligible effect on NPY-induced feeding.

IT **13097-20-6P 209727-31-1P 209727-32-2P**
209727-34-4P 209727-35-5P 502163-69-1P
502163-70-4P 502163-71-5P 502163-72-6P
502163-73-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of N-(5-aryl-3-pyrazolyl)indancarboxamides as orally available, brain-penetrable neuropeptide Y5 receptor antagonists)

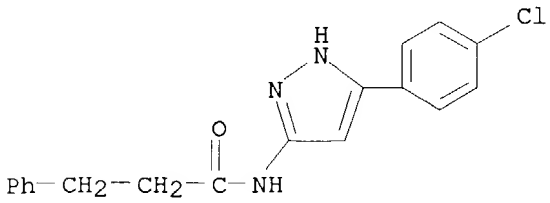
RN 13097-20-6 CAPLUS

CN Benzamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 209727-31-1 CAPLUS

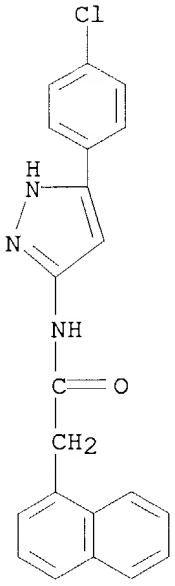
CN Benzenepropanamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 209727-32-2 CAPLUS

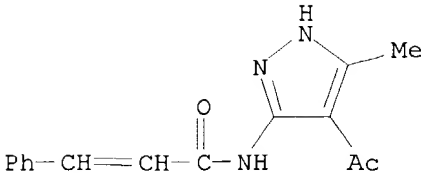
CN Benzeneacetamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

CN 1-Naphthaleneacetamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



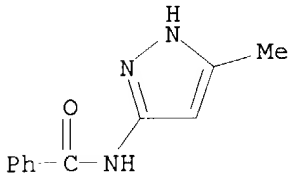
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:949778 CAPLUS
DOCUMENT NUMBER: 138:385403
TITLE: Behaviour of cinnamoyl-isothiocyanate towards carbon, nitrogen and oxygen reagents
AUTHOR(S): Ouf, N. H.; El-Bahaie, S.; Assy, M. G.; El-Shaikh, E.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Zagazig University, Zagazig, Egypt
SOURCE: Aswan Science & Technology Bulletin (2002), 21, 54-63
CODEN: ASTBEQ; ISSN: 1110-0184
PUBLISHER: Aswan Faculty of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:385403
AB Cyclization of cinnamoyl isothiocyanate with nucleophilic reagents either spontaneously or with added reagents is reported. The prepd. compds. were evaluated for antibacterial activity.
IT **524957-42-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(reactivity behavior of cinnamoyl-isothiocyanate towards carbon, nitrogen and oxygen reagents)
RN 524957-42-4 CAPLUS
CN 2-Propenamide, N-(4-acetyl-5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:855864 CAPLUS
DOCUMENT NUMBER: 139:214344
TITLE: Product class 1: pyrazoles
AUTHOR(S): Stanovnik, B.; Svete, J.
CORPORATE SOURCE: Faculty of Chemistry and Chemical Technology, Division of Organic Chemistry, Ljubljana, 61000, Slovenia
SOURCE: Science of Synthesis (2002), 12, 15-225
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Methods for prepg. pyrazoles are reviewed including cyclization, ring transformation, aromatization and substituent modifications.
IT **52566-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of prepn. of pyrazoles via cyclization, ring transformation, aromatization and substituent modifications)
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 909 THERE ARE 909 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:695979 CAPLUS
DOCUMENT NUMBER: 137:232645
TITLE: Preparation of N-pyrazolyl chromenylacetamides as antitumor agents
INVENTOR(S): Traquandi, Gabriella; Brasca, Maria Gabriella; Orsini, Paolo; Piutti, Claudia; Vulpetti, Anna; Pevarello, Paolo
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070515	A2	20020912	WO 2002-EP524	20020117
WO 2002070515	A3	20021219		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1379524	A2	20040114	EP 2002-719710	20020117

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2001-769441 A 20010126
WO 2002-EP524 W 20020117

OTHER SOURCE(S): MARPAT 137:232645

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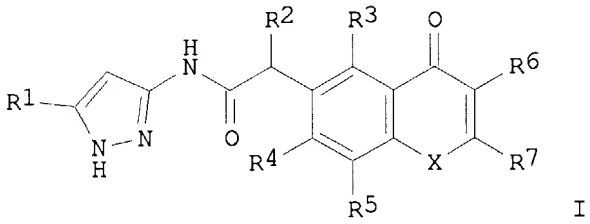

I

AB The title compds. [I; R1 = (un)substituted cycloalkyl; R2 = H, (un)substituted alkyl, alkenyl; R3-R5 = H, halo, OH, etc.; R6, R7 = H, OH, NH2, etc.; X = O, S, NR8; R8 = H, (un)substituted alkyl, alkenyl] which are useful in therapy in the treatment of cell proliferative disorders, e.g. cancer, assocd. with an altered cell cycle dependent kinase activity (no data), were prepd. Thus, treating 5-cyclopropyl-3-aminopyrazole with tert-butoxycarbonylanhydride in aq. NaOH and CH2Cl2 (71% yield) followed by reacting the resulting tert-Bu 5-amino-3-cyclopropyl-1H-pyrazole-1-carboxylate with [2-(4-methoxyphenyl)-4-oxo-4H-chromen-6-yl]acetic acid in the presence of N,N-diisopropylethylamine and N-ethyl-N'-diisopropylcarbodiimide in CH2Cl2 (60%), and Boc-deprotection with TFA/CH2Cl2 (90%) afforded I [R1 = cyclopropyl; R2-R6 = H; R7 = 4-MeOC6H4; X = O].

IT **326825-68-7P 457931-51-0P 457931-52-1P 457931-53-2P 457931-54-3P 457931-55-4P 457931-56-5P 457931-57-6P 457931-58-7P 457931-59-8P 457931-60-1P 457931-61-2P 457931-63-4P 457931-65-6P 457931-66-7P 457931-67-8P 457931-68-9P 457931-69-0P 457931-70-3P 457931-71-4P 457931-72-5P 457931-73-6P 457931-74-7P 457931-75-8P 457931-76-9P 457931-77-0P 457931-78-1P 457931-79-2P 457931-80-5P 457931-81-6P**

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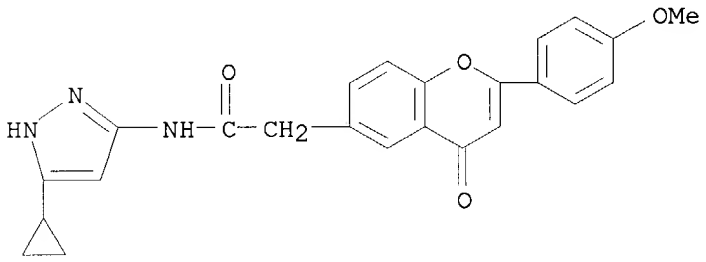
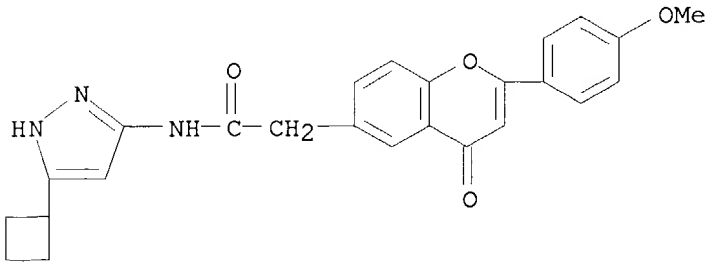
457932-18-2P 457932-19-3P 457932-20-6P
457932-21-7P 457932-22-8P 457932-23-9P
457932-24-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-pyrazolyl chromenylacetamides as antitumor agents)

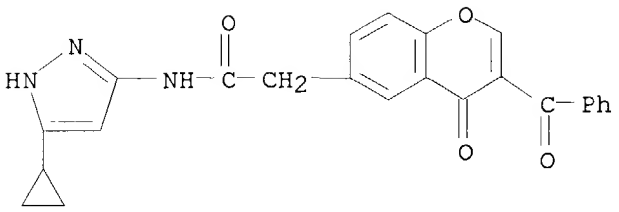
RN 326825-68-7 CAPLUS
CN 4H-1-Benzopyran-6-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-(4-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



RN 457931-51-0 CAPLUS
CN 4H-1-Benzopyran-6-acetamide, N-(5-cyclobutyl-1H-pyrazol-3-yl)-2-(4-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



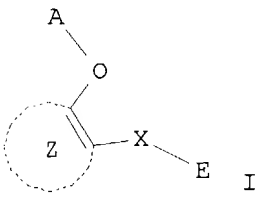
RN 457931-52-1 CAPLUS
CN 4H-1-Benzopyran-6-acetamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)-2-(4-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:487387 CAPLUS
DOCUMENT NUMBER: 137:63257
TITLE: Preparation of benzamides as inhibitors of production and release of inflammatory cytokines
INVENTOR(S): Muto, Susumu; Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049632	A1	20020627	WO 2001-JP11084	20011218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002022683	A5	20020701	AU 2002-22683	20011218
EP 1352650	A1	20031015	EP 2001-271124	20011218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: JP 2000-383202 A 20001218
WO 2001-JP11084 W 20011218
OTHER SOURCE(S): MARPAT 137:63257
GI



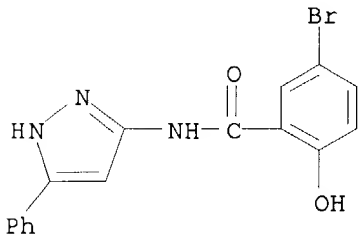
AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepd.

In an in vitro test using cells, 5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)benzamide at 1 .mu.g/mL gave 95.1% inhibition of NF-.kappa.B activation.

IT **439144-07-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamides as inhibitors of prodn. and release of inflammatory cytokines)

RN 439144-07-7 CAPLUS

CN Benzamide, 5-bromo-2-hydroxy-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

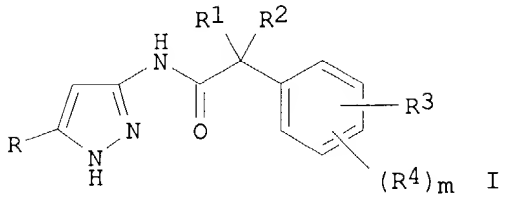


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:465980 CAPLUS
DOCUMENT NUMBER: 137:47193
TITLE: Preparation of 5-cycloalkyl-3-(phenylacetamido)-1H-pyrazole cdk inhibitors as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Brasca, Maria Gabriella; Amici, Raffaella; Villa, Manuela; Piutti, Claudia; Varasi, Mario; Longo, Antonio
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048114	A1	20020620	WO 2001-EP13617	20011122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6455559	B1	20020924	US 2001-907943	20010719
AU 2002015053	A5	20020624	AU 2002-15053	20011122
EP 1345909	A1	20030924	EP 2001-983600	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

US 2004019046 A1 20040129 US 2003-432119 20030519
PRIORITY APPLN. INFO.: US 2000-252911P P 20001127
US 2001-907943 A 20010719
WO 2001-EP13617 W 20011122
OTHER SOURCE(S): MARPAT 137:47193
GI



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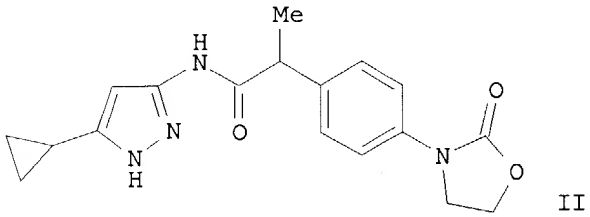


II

AB Title compds. I [wherein R = (un)substituted cycloalkyl; R1 and R2 = independently H, halo, NH2, OH, perfluoroalkyl, alkoxy, (amino)alkyl, or hydroxyalkyl; or R1R2 = :CH2, or cycloalkyl; R3 = (un)substituted 5-6 membered N-contg. heterocycle optionally condensed with a carbocyclic or heterocyclic ring on the 3 or 4 position of the Ph; R4 = independently H, OH, alkyl, perfluoroalkyl, or alkoxy; m = 0-4; with provisos; or pharmaceutically acceptable salts thereof] were prepd. as cyclin dependent kinase (cdk) inhibitors. For example, amidation of 2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanoic acid with tert-Bu 5-amino-3-cyclopropyl-1H-pyrazole-1-carboxylate (prepn. of starting materials given) afforded II (41%). (2S)-II exhibited remarkable cdk inhibitory activity with IC50 of 8 nM against cdk2/A. Thus, I are useful in the treatment of cell proliferative disorders, e.g. cancer, assocd. with an altered cell cycle dependent kinase activity (no data).

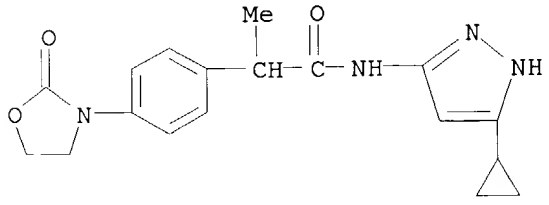
IT **437982-66-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-67-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]acetamide **437982-73-5P**, N-(3-Cyclopropyl-1H-pyrazol-5-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-77-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-pyrrolidinyl)phenyl]propanamide **437982-79-1P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-80-4P**, (2R)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-81-5P**, N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-82-6P**, (2R)-N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-83-7P**, (2S)-N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-84-8P**, N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]acetamide **437982-85-9P**, N-(5-Cyclopentyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-86-0P**, (2R)-N-(5-Cyclopentyl-1H-

pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-87-1P**, (2S)-N-(5-Cyclopentyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]propanamide **437982-88-2P**, N-(5-Cyclopentyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1,3-oxazolidin-3-yl)phenyl]acetamide **437982-89-3P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-90-6P**, (2R)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-91-7P**, N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-92-8P**, (2S)-N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-93-9P**, (2R)-N-(5-Cyclobutyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-94-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-fluoro-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]acetamide **437982-95-1P**, 2-Chloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]acetamide **437982-96-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2,2-difluoro-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]acetamide **437982-97-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,3,3-trifluoro-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-98-4P**, 3-Amino-N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-pyrrolidinyl)phenyl]propanamide **437982-99-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-methyl-2-oxo-1-pyrrolidinyl)phenyl]acetamide **437983-00-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-methyl-2-oxo-1-pyrrolidinyl)phenyl]propanamide **437983-01-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-methyl-5-oxo-1-pyrrolidinyl)phenyl]acetamide **437983-02-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-methyl-5-oxo-1-pyrrolidinyl)phenyl]propanamide **437983-03-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-ethyl-5-oxo-1-pyrrolidinyl)phenyl]acetamide **437983-04-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-ethyl-5-oxo-1-pyrrolidinyl)phenyl]propanamide **437983-05-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-5-phenyl-1-pyrrolidinyl)phenyl]acetamide **437983-06-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-5-phenyl-1-pyrrolidinyl)phenyl]propanamide **437983-07-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-oxo-3,3a,6,6a-tetrahydrocyclopenta[b]pyrrol-1(2H)-yl]phenyl]acetamide **437983-08-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-oxo-3,3a,6,6a-tetrahydrocyclopenta[b]pyrrol-1(2H)-yl]phenyl]propanamide **437983-09-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]phenyl]acetamide **437983-10-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]phenyl]propanamide **437983-11-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-hydroxy-2-oxo-1-pyrrolidinyl)phenyl]acetamide **437983-12-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-hydroxy-2-oxo-1-pyrrolidinyl)phenyl]propanamide **437983-13-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(4-hydroxy-2-oxo-1-pyrrolidinyl)phenyl]acetamide **437983-14-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(4-hydroxy-2-oxo-1-pyrrolidinyl)phenyl]propanamide **437983-15-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-hydroxy-4,4-dimethyl-2-oxo-1-pyrrolidinyl)phenyl]acetamide **437983-16-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-hydroxy-4,4-dimethyl-2-oxo-1-pyrrolidinyl)phenyl]propanamide **437983-17-0P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-2-oxo-3-pyrrolidinecarboxamide **437983-18-1P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-1-methyl-2-oxoethyl]phenyl]-2-oxo-3-pyrrolidinecarboxamide **437983-19-2P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-5-oxo-3-pyrrolidinecarboxamide

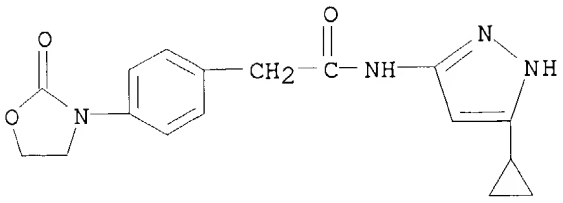
437983-20-5P, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-1-methyl-2-oxoethyl]phenyl]-5-oxo-3-pyrrolidinecarboxamide **437983-21-6P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-5-oxo-2-pyrrolidinecarboxamide **437983-22-7P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-1-methyl-2-oxoethyl]phenyl]-5-oxo-2-pyrrolidinecarboxamide **437983-23-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]acetamide **437983-24-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide **437983-25-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-hydroxy-3-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide **437983-26-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxooctahydro-2H-isoindol-2-yl)phenyl]acetamide **437983-27-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxooctahydro-2H-isoindol-2-yl)phenyl]propanamide **437983-29-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,5-dioxo-2,5-dihydro-1H-pyrrol-1-yl)phenyl]acetamide **437983-30-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,5-dioxo-2,5-dihydro-1H-pyrrol-1-yl)phenyl]propanamide **437983-31-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,5-dioxo-1-pyrrolidinyl)phenyl]acetamide **437983-32-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,5-dioxo-1-pyrrolidinyl)phenyl]propanamide **437983-33-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(6-methoxy-1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide **437983-34-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)phenyl]acetamide **437983-35-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)phenyl]propanamide **437983-36-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1,3-dioxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)phenyl]propanamide **437983-37-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[1-oxo-1H-benzo[de]isoquinolin-2(3H)-yl]phenyl]propanamide **437983-38-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1H-pyrrol-1-yl)phenyl]acetamide **437983-39-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1H-pyrrol-1-yl)phenyl]propanamide **437983-40-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(7-hydroxy-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl)phenyl]acetamide **437983-41-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl)phenyl]propanamide **437983-42-1P**, 2-[2-Chloro-4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **437983-43-2P**, 2-[2-Chloro-4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)propanamide **437983-44-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,4-dioxotetrahydro-1(2H)-pyrimidinyl)phenyl]acetamide **437983-45-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,4-dioxotetrahydro-1(2H)-pyrimidinyl)phenyl]propanamide **437983-46-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3,5-dioxo-1,2,4-triazolidin-4-yl)phenyl]acetamide **437983-47-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3,5-dioxo-1,2,4-triazolidin-4-yl)phenyl]propanamide **437983-48-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,5-dioxo-1-imidazolidinyl)phenyl]acetamide **437983-49-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,5-dioxo-1-imidazolidinyl)phenyl]propanamide **437983-50-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,4-dioxo-1-imidazolidinyl)phenyl]acetamide **437983-51-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,4-dioxo-1-imidazolidinyl)phenyl]propanamide **437983-52-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-imidazolidinyl)phenyl]acetamide **437983-53-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-imidazolidinyl)phenyl]propanamide **437983-54-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-2,3-dihydro-1H-imidazol-1-

yl)phenyl]acetamide **437983-55-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-2,3-dihydro-1H-imidazol-1-yl)phenyl]propanamide **437983-56-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)phenyl]acetamide **437983-57-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)phenyl]propanamide **437983-58-9P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-5-hydroxy-1H-pyrazole-3-carboxamide **437983-59-0P**, 1-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-1-methyl-2-oxoethyl]phenyl]-5-hydroxy-1H-pyrazole-3-carboxamide **437983-60-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-oxo-1-pyrazolidinyl)phenyl]acetamide **437983-61-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-oxo-1-pyrazolidinyl)phenyl]propanamide **437983-62-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3,5-dioxo-1-pyrazolidinyl)phenyl]acetamide **437983-63-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3,5-dioxo-1-pyrazolidinyl)phenyl]propanamide **437983-64-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2,4-dioxo-3,4-dihydro-1(2H)-pyrimidinyl]phenyl]acetamide **437983-65-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2,4-dioxo-3,4-dihydro-1(2H)-pyrimidinyl)phenyl]propanamide **437983-66-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3,5-dioxo-4-morpholinyl)phenyl]acetamide **437983-67-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3,5-dioxo-4-morpholinyl)phenyl]propanamide **437983-68-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-piperidinyl)phenyl]acetamide **437983-69-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-oxo-1-piperidinyl)phenyl]propanamide **437983-70-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-piperazinyl)phenyl]acetamide **437983-71-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-piperazinyl)phenyl]propanamide **437983-72-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(4-morpholinyl)phenyl]acetamide **437983-73-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(4-morpholinyl)phenyl]propanamide **437983-75-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-piperidinyl)phenyl]propanamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cdk inhibitor; prepn. of (cycloalkyl)(phenylacetamido)pyrazole cdk inhibitors as antitumor agents)

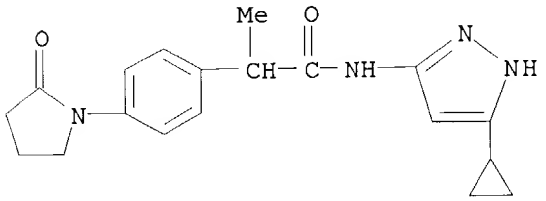
RN 437982-66-6 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl-4-(2-oxo-3-oxazolidinyl)- (9CI) (CA INDEX NAME)



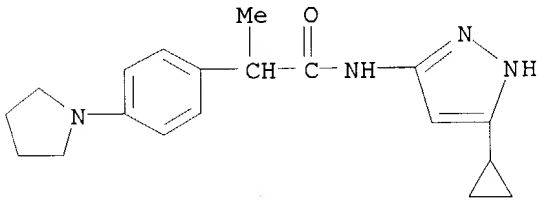
RN 437982-67-7 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-(2-oxo-3-oxazolidinyl)- (9CI) (CA INDEX NAME)



RN 437982-73-5 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl-4-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

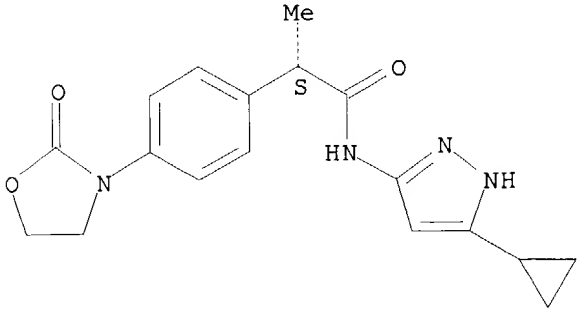


RN 437982-77-9 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



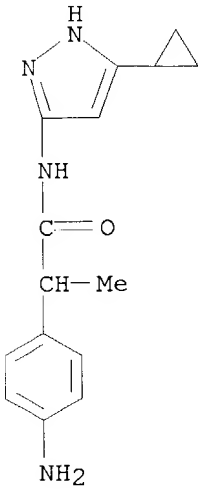
RN 437982-79-1 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl-4-(2-oxo-3-oxazolidinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

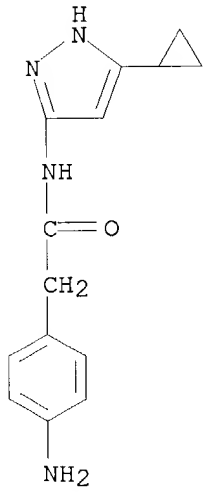


RN 437982-80-4 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl-4-(2-oxo-3-oxazolidinyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

CN Benzeneacetamide, 4-amino-N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 437982-71-3 CAPLUS
CN Benzeneacetamide, 4-amino-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:171863 CAPLUS
DOCUMENT NUMBER: 136:232297
TITLE: Preparation of pyrazole derivatives and their use as protein kinase inhibitors
INVENTOR(S): Cooper, Christopher Blair; Helal, Christopher John; Sanner, Mark Allen; Wager, Travis T.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018346	A1	20020307	WO 2001-IB1540	20010824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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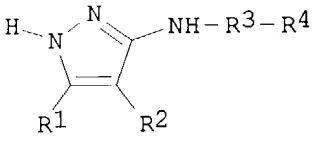
AU 2001080009	A5	20020313	AU 2001-80009	20010824
EP 1313710	A1	20030528	EP 2001-958287	20010824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013574	A	20030722	BR 2001-13574	20010824
JP 2004507526	T2	20040311	JP 2002-523464	20010824
US 2002103185	A1	20020801	US 2001-941001	20010828
BG 107455	A	20030930	BG 2003-107455	20030113
HR 2003000140	A1	20030430	HR 2003-140	20030226
NO 2003000958	A	20030228	NO 2003-958	20030228

PRIORITY APPLN. INFO.:			
US 2000-229415P	P	20000831	
US 2000-232032P	P	20000912	
WO 2001-IB1540	W	20010824	

OTHER SOURCE(S): MARPAT 136:232297
GI



I

AB Pyrazole derivs. [I; wherein R1 = straight chain or branched (C1-C1)alkyl, (C2-C8)alkenyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl, (3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, or (5-11 membered) heterobicycloalkyl; R2 = H, F, -CH3, -CN, or carboxy; R3 = amide, carboxy, etc.; R4 = straight chain or a branched (C1-C8)alkyl, (C2-C8)alkenyl, (C2-C8 alkynyl), (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl, (3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, (5-11 membered) heterobicycloalkyl, (C6-C14)aryl, or (5-14 membered) heteroaryl] were prepd. Thus, lithiated cyclobutyl ketone was reacted with 4-nitrophenyl isothiocyanate to give 53% 3-cyclobutyl-N-(4-nitrophenyl)-3-oxo-thiopropionamide, which was reacted with acetic acid, followed by anhyd. hydrazine to give 88% (5-cyclobutyl-1H-pyrazol-3-yl)-(4-nitrophenyl)amine. The prepd. compds. are indicated to have activity inhibiting cdk2, cdk5, and GSK-3. In fact, all of the title compds. had an IC50 inhibiting peptide substrate phosphorylation of < 50 .mu.M when assayed for cdk5 inhibition, and several had an IC50 for inhibition of GSK-3.beta. of < 50 .mu.M.

IT **403595-91-5P 403595-92-6P 403595-93-7P**
403595-94-8P 403595-95-9P 403595-96-0P

403595-97-1P 403595-98-2P 403595-99-3P
403596-00-9P 403596-01-0P 403596-05-4P
403596-06-5P 403596-07-6P 403596-08-7P
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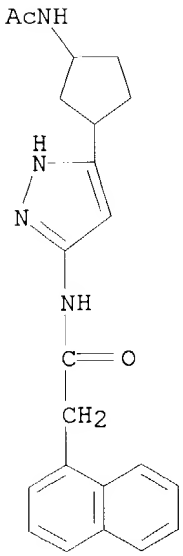
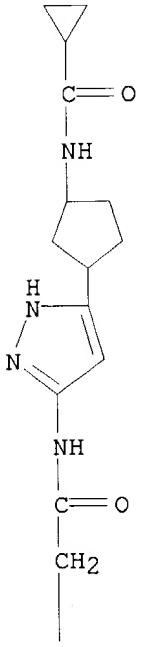
403596-27-0P 403596-28-1P 403596-29-2P
403596-30-5P 403596-32-7P 403596-33-8P
403596-34-9P 403596-35-0P 403596-36-1P
403596-37-2P 403596-38-3P 403596-39-4P
403596-40-7P 403596-41-8P 403596-42-9P
403596-43-0P 403596-44-1P 403596-45-2P
403596-46-3P 403596-47-4P 403596-48-5P
403596-49-6P 403596-50-9P 403596-52-1P
403596-53-2P 403596-54-3P 403596-58-7P
403596-59-8P 403596-61-2P 403596-62-3P
403596-63-4P 403596-64-5P 403596-65-6P
403596-66-7P 403596-67-8P 403596-68-9P
403596-69-0P 403596-70-3P 403596-71-4P
403596-72-5P 403596-80-5P 403596-81-6P
403596-82-7P 403596-83-8P 403596-84-9P
403596-85-0P 403596-86-1P 403596-87-2P
403596-88-3P 403596-89-4P 403596-90-7P
403596-96-3P 403596-98-5P 403596-99-6P
403597-01-3P 403597-02-4P 403597-03-5P
403597-04-6P 403597-05-7P 403597-06-8P
403597-07-9P 403597-08-0P 403597-09-1P
403597-10-4P 403597-11-5P 403597-12-6P
403597-13-7P 403597-14-8P 403597-15-9P
403597-21-7P 403597-22-8P 403597-23-9P
403597-24-0P 403597-27-3P 403597-28-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazole derivs. and use as protein kinase inhibitors)

RN 403595-91-5 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[3-(acetylamino)cyclopentyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

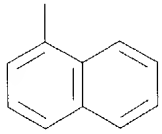


RN 403595-92-6 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[3-[(cyclopropylcarbonyl)amino]cyclopentyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

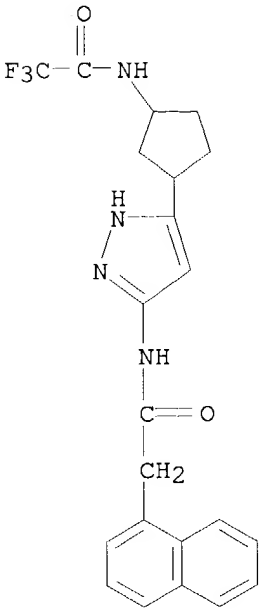
PAGE 1-A



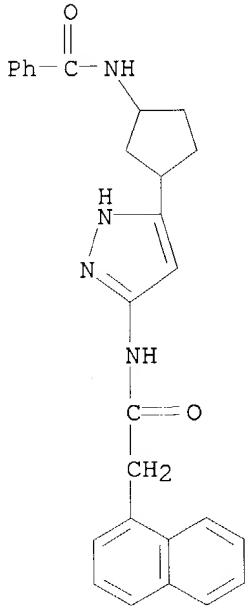
PAGE 2-A



RN 403595-93-7 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[3-[(trifluoroacetyl)amino]cyclopentyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 403595-94-8 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[3-(benzoylamino)cyclopentyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 403595-95-9 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[cis-3-[(3-methoxybenzoyl)amino]cyclobutyl]-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

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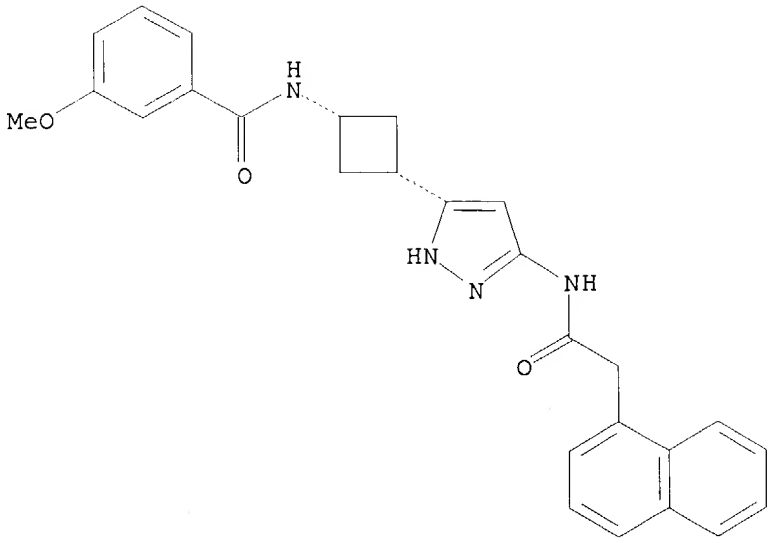


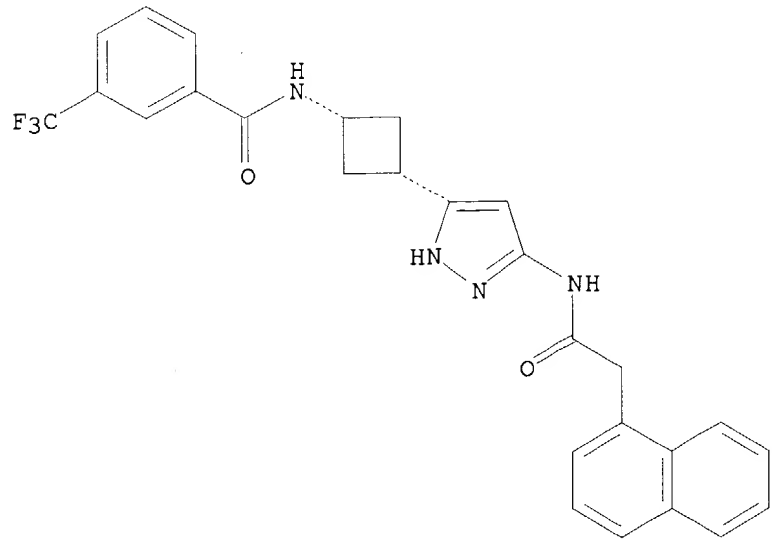
PAGE 2-A

● HCl

RN 403595-96-0 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[cis-3-[[3-(trifluoromethyl)benzoyl]amino]cyclobutyl]-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

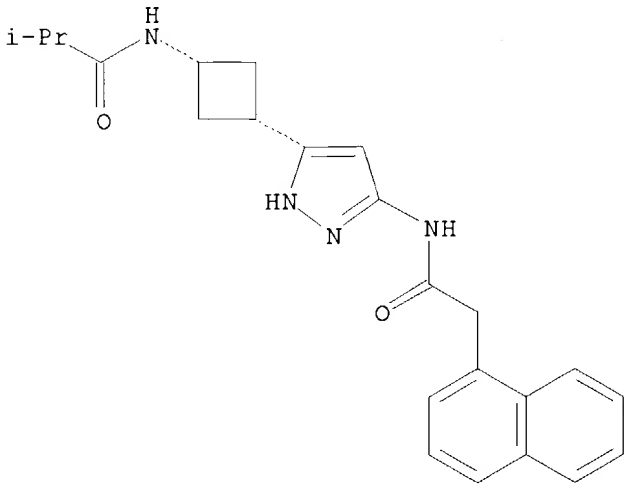
PAGE 1-A



● HCl

RN 403595-97-1 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[cis-3-[(2-methyl-1-oxopropyl)amino]cyclobutyl]-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 403595-98-2 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[cis-3-[[(2-phenylcyclopropyl)carbonyl]amino]cyclobutyl]-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

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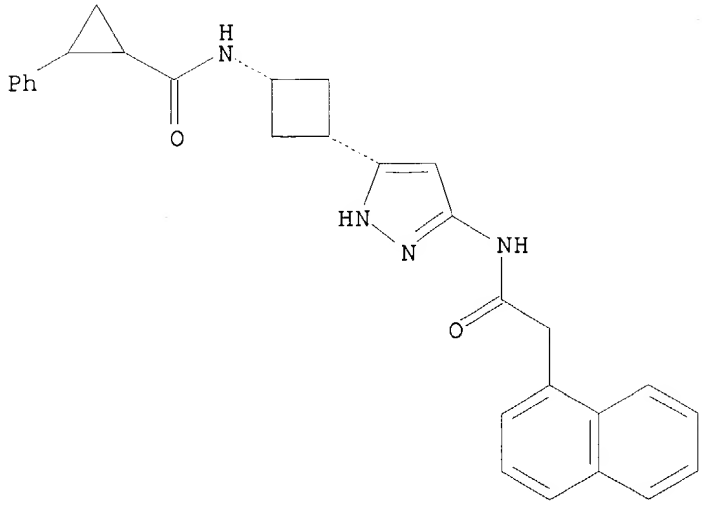

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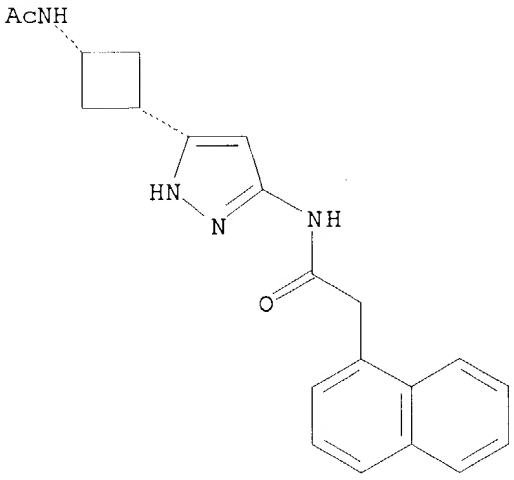
● HCl

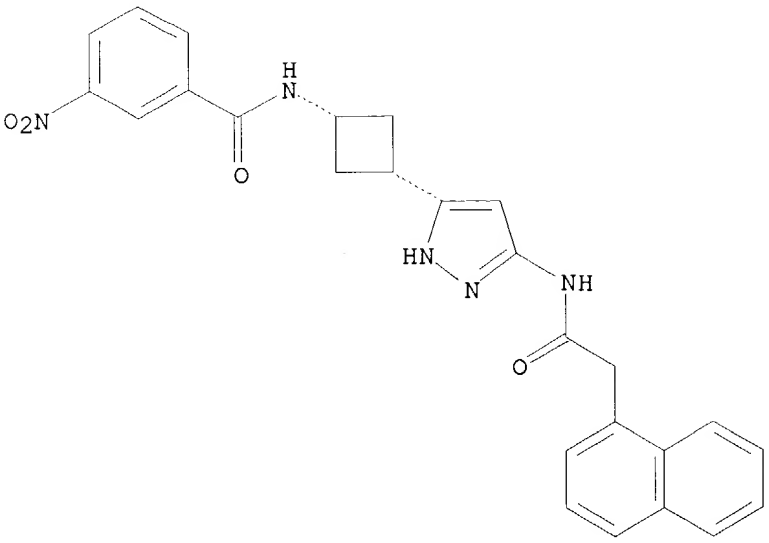
RN 403595-99-3 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[cis-3-(acetylamino)cyclobutyl]-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

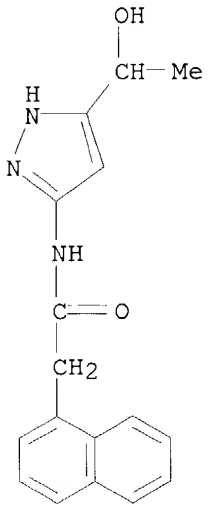


● HCl

RN 403596-00-9 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-[cis-3-(benzoylamino)cyclobutyl]-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



IT **403596-12-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of pyrazole derivs. and use as protein kinase inhibitors)
RN 403596-12-3 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-(1-hydroxyethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



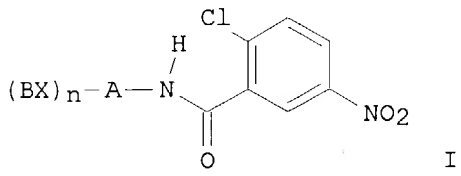
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:816614 CAPLUS
DOCUMENT NUMBER: 135:357944
TITLE: Preparation of nitrophenylcarboxamide derivatives as peroxisome proliferator-activated receptor (PPAR) .gamma. modulators
INVENTOR(S): Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi,

Sachiko; Fukuda, Chie
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083427	A1	20011108	WO 2001-JP3655	20010426
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001052612	A5	20011112	AU 2001-52612	20010426
EP 1277729	A1	20030122	EP 2001-925984	20010426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2001010428	A	20030617	BR 2001-10428	20010426
JP 2002332266	A2	20021122	JP 2001-130983	20010427
US 2003134859	A1	20030717	US 2002-278387	20021023
NO 2002005142	A	20021227	NO 2002-5142	20021025

PRIORITY APPLN. INFO.: JP 2000-129565 A 20000428
JP 2001-60366 A 20010305
WO 2001-JP3655 W 20010426
OTHER SOURCE(S): MARPAT 135:357944
GI



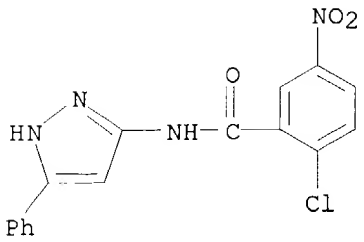
I

AB The title compds. I [A represents Ph, etc.; B represents aryl, etc.; X represents oxygen, etc.; and n is 0 or 1] are prepd. I are remedies for involutional osteoporosis which inhibit the accelerated differentiation of adipocytes and promote the formation and differentiation of osteoblasts from stem cells; I are also remedies for diabetes. In an in vitro test for PPAR .gamma. modulating activity, N-[4-(4-methylpiperazin-1-ylcarbonyl)phenyl]-(2-chloro-5-nitrophenyl)carboxamide showed IC50 value of 0.6 nM.

IT **372094-37-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nitrophenylcarboxamide derivs. as PPAR .gamma. modulators)

RN 372094-37-6 CAPLUS

CN Benzamide, 2-chloro-5-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228694 CAPLUS
DOCUMENT NUMBER: 134:261226
TITLE: Carboxamide derivatives as selective inhibitors of pathogens
INVENTOR(S): Ullrich, Axel; Marschall, Manfred; Stamminger, Thomas; Wallasch, Christian; Obert, Sabine
PATENT ASSIGNEE(S): Axxima Pharmaceuticals Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021160	A2	20010329	WO 2000-EP9306	20000922
WO 2001021160	A3	20020131		

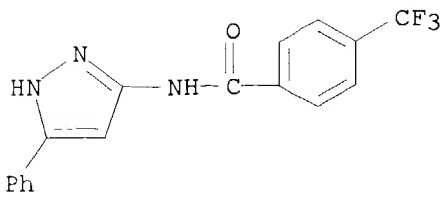
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 1999-118802 A 19990923
EP 2000-115240 A 20000713
OTHER SOURCE(S): MARPAT 134:261226

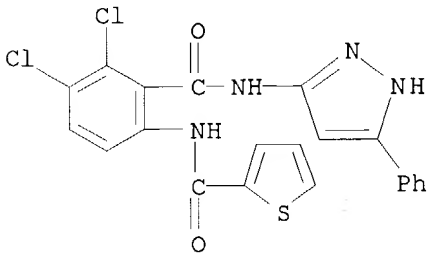
AB The invention relates to the use of carboxamide compds. as selective inhibitors of pathogens, particularly viruses and, more particularly, herpesviridae. Surprisingly, these compds. show reduced side effects in comparison with previous antiviral compds. Thus, a method for preventing or treating infections by pathogens, particularly herpesviridae is provided.

IT **331627-94-2P 331628-06-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(carboxamide derivs. as selective inhibitors of pathogens)

RN 331627-94-2 CAPLUS
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 331628-06-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[3,4-dichloro-2-[[(5-phenyl-1H-pyrazol-3-yl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



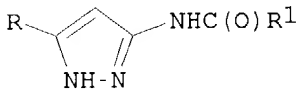
L4 ANSWER 25 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137023 CAPLUS
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1202733	A1	20020508	EP 2000-931906	20000505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013143	A	20020611	BR 2000-13143	20000505
JP 2003507329	T2	20030225	JP 2001-516535	20000505
EE 200200065	A	20030415	EE 2002-65	20000505

NZ 517237	A	20040227	NZ 2000-517237		20000505
US 6218418	B1	20010417	US 2000-667603		20000922
NO 2002000684	A	20020403	NO 2002-684		20020211
HR 2002000128	A1	20030430	HR 2002-128		20020212
ZA 2002001511	A	20030311	ZA 2002-1511		20020222
BG 106480	A	20020930	BG 2002-106480		20020305
PRIORITY APPLN. INFO.:			US 1999-372831	A	19990812
			US 2000-560400	A1	20000428
			WO 2000-US6699	W	20000505

OTHER SOURCE(S): MARPAT 134:178552

GI



I

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their prepn. and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation assocd. with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for prepg. the 3-aminopyrazole deriv. or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compd. with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc deriv.; (e) reducing this BOC deriv. to obtain the amino analog; (f) reacting this amino compd. with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of prepn. are also claimed.

IT **326822-32-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide **326822-33-7P**, N-(3-Cyclopropyl-1H-pyrazol-5-yl)-2-(4-nitrophenyl)acetamide **326822-34-8P**, N-(3-Cyclopropyl-1H-pyrazol-5-yl)-4-methoxybenzamide **326822-35-9P**, N-(3-Cyclopropyl-1H-pyrazol-5-yl)-2-[4-(dimethylamino)phenyl]acetamide **326822-36-0P**, 2-(1,3-Benzodioxol-5-yl)-N-(3-cyclopropyl-1H-pyrazol-5-yl)acetamide **326822-37-1P**, N-(3-Cyclopropyl-1H-pyrazol-5-yl)-2-

(4-methoxyphenyl)acetamide **326822-38-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-phenylpropanamide **326822-39-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,4-dimethoxyphenyl)acetamide **326822-46-2P**, 2-(4-Chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326822-47-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-oxo-4-phenylbutanamide **326822-48-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,3-dihydro-1H-inden-5-yl)acetamide **326822-50-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-oxo-2-phenylacetamide **326822-51-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-methylphenyl)acetamide **326822-52-0P**, 2-[1,1'-Biphenyl]-4-yl-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326822-53-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-chlorophenyl)acetamide **326822-54-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(1-naphthyl)acetamide **326822-55-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-chlorophenyl)acetamide **326822-56-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-trifluoromethylphenyl)acetamide **326822-57-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-methoxy-2-phenylacetamide **326822-60-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-phenyl-3-butenamide **326822-63-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-phenoxybenzamide **326822-64-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,5-bis(trifluoromethyl)benzamide **326822-65-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-1,3-benzodioxole-5-carboxamide **326822-66-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2,3,4,5,6-pentafluorobenzamide **326822-67-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-phenylacetamide **326822-70-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,5-dichlorobenzamide **326822-73-5P**, 2,4-Dichloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)-5-fluorobenzamide **326822-74-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2,4-difluorobenzamide **326822-76-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,5-difluorobenzamide **326822-77-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,5-dimethoxyphenyl)acetamide **326822-78-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,4-dimethoxybenzamide **326822-81-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)benzamide **326822-83-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-phenylpropanamide **326822-84-8P**, Methyl 4-[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]benzoate **326822-85-9P**, 4-[[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]benzoic acid **326822-86-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-bromobenzamide **326822-87-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,4-dichlorobenzamide **326822-88-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-bromobenzamide **326822-89-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-methoxybenzamide **326822-90-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-trifluoromethylbenzamide **326822-92-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[5-(2,6-difluorobenzyl)-2-methoxyphenyl]acetamide **326822-93-9P**, N1-(5-Cyclopropyl-1H-pyrazol-3-yl)terephthalamide **326822-99-5P**, 4-Bromo-N-(5-cyclopentyl-1H-pyrazol-3-yl)benzamide **326823-00-1P**, 4-Bromo-N-(5-cyclohexyl-1H-pyrazol-3-yl)benzamide **326823-01-2P**, N-[5-(2-Benzylcyclopropyl)-1H-pyrazol-3-yl]-4-bromobenzamide **326823-02-3P**, 4-Bromo-N-(5-cyclobutyl-1H-pyrazol-3-yl)benzamide **326823-03-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2,4-dimethoxybenzamide **326823-14-7P**, 2-(4-Bromophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-15-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-pyrrolidinyl)phenyl]acetamide **326823-16-9P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-naphthyl)propanamide **326823-20-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-oxo-4-phenyl-3-butenamide **326823-21-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(3-thienyl)phenyl]acetamide **326823-22-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-fluoro[1,1'-biphenyl]-4-yl)acetamide **326823-27-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-naphthyl)acetamide **326823-29-4P**,

4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl][1,1'-biphenyl]-4-carboxylic acid **326823-30-7P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl][1,1'-biphenyl]-4-carboxamide **326823-31-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]acetamide **326823-32-9P**, 2-Amino-N-[4-[2-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]acetamide **326823-33-0P**, 2-[4'-(Aminomethyl)[1,1'-biphenyl]-4-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-34-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-[(methylamino)methyl][1,1'-biphenyl]-4-yl]acetamide **326823-35-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-(1-pyrrolidinylmethyl)[1,1'-biphenyl]-4-yl]acetamide **326823-36-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-(1-piperidinylmethyl)[1,1'-biphenyl]-4-yl]acetamide **326823-37-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-(4-morpholinylmethyl)[1,1'-biphenyl]-4-yl]acetamide **326823-38-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-[(4-methyl-1-piperazinyl)methyl][1,1'-biphenyl]-4-yl]acetamide **326823-39-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4'-(1H-imidazol-2-yl)[1,1'-biphenyl]-4-yl]acetamide **326823-40-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[[(dimethylamino)carbonyl]amino]phenyl]acetamide **326823-41-0P**, 2-[4-[(Acetylamino)methyl]phenyl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-42-1P**, 2-[4-(Aminosulfonyl)phenyl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-43-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-(2-methoxyphenoxy)benzamide **326823-44-3P**, 4-(4-Chlorophenoxy)-N-(5-cyclopropyl-1H-pyrazol-3-yl)benzamide **326823-45-4P**, 4-(4-Chlorophenoxy)-N-(5-cyclopropyl-1H-pyrazol-3-yl)-3-nitrobenzamide **326823-46-5P**, 4-[3,5-Bis(trifluoromethyl)phenoxy]-N-(5-cyclopropyl-1H-pyrazol-3-yl)benzamide **326823-47-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-(4-fluorophenoxy)benzamide **326823-48-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-(4-methylphenoxy)benzamide **326823-49-8P**, 4-(4-Cyanophenoxy)-N-(5-cyclopropyl-1H-pyrazol-3-yl)benzamide **326823-50-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-(4-hydroxyphenoxy)benzamide **326823-51-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-(3-hydroxyphenoxy)benzamide **326823-52-3P**, 2-[1,1'-Biphenyl]-4-yl-N-(5-cyclopropyl-1H-pyrazol-3-yl)propanamide **326823-53-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-phenoxyphenyl)acetamide **326823-54-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,5-diiodo-4-(4-methoxyphenoxy)benzamide **326823-55-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-[3-(hydroxymethyl)phenyl]-3-butenamide **326823-56-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-[3-[(methylamino)methyl]phenyl]-3-butenamide **326823-68-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-oxo-2,3-dihydro-1H-indol-5-yl)acetamide **326823-69-2P**, N-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-1-pyrrolidinecarboxamide **326823-70-5P**, N-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-1-piperidinecarboxamide **326823-71-6P**, N-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-4-morpholinecarboxamide **326823-72-7P**, N-[4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]phenyl]-4-methyl-1-piperazinecarboxamide **326823-78-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(9-oxo-9H-fluoren-2-yl)acetamide **326823-79-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-propyl[1,1'-biphenyl]-4-yl)acetamide **326823-80-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(9H-fluoren-2-yl)acetamide **326823-81-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(9-methyl-9H-fluoren-2-yl)acetamide **326823-82-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-hydroxydibenzo[b,d]furan-3-yl)acetamide **326823-83-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-hydroxy[1,1'-biphenyl]-4-yl)acetamide **326823-84-1P**, 2-(4'-Cyano[1,1'-biphenyl]-4-yl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-85-2P**, 2-(4'-Bromo[1,1'-biphenyl]-4-yl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-86-3P**,

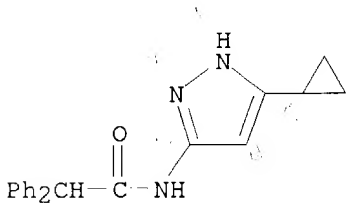
N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-propoxy[1,1'-biphenyl]-4-yl)acetamide **326823-87-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-butoxy[1,1'-biphenyl]-4-yl)acetamide **326823-88-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-pentoxy[1,1'-biphenyl]-4-yl)acetamide **326823-89-6P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl][1,1'-biphenyl]-4-yl acetate **326823-90-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3',4'-dichloro[1,1'-biphenyl]-4-yl)acetamide **326823-91-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3'-hydroxy[1,1'-biphenyl]-4-yl)acetamide **326823-92-1P**, 2-(3'-Bromo[1,1'-biphenyl]-4-yl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-93-2P**, 2-(3'-Amino[1,1'-biphenyl]-4-yl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-94-3P**, 2-(4'-Amino[1,1'-biphenyl]-4-yl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-95-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-hydroxy-2-naphthyl)acetamide **326823-96-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,5-dihydroxy-2-naphthyl)acetamide **326823-97-6P**, 2-(3-Amino-2-naphthyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326823-98-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(6-hydroxy-2-naphthyl)acetamide **326823-99-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-hydroxy-1-naphthyl)acetamide **326824-00-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(6-hydroxy-1-naphthyl)acetamide **326824-09-3P**, 4-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]benzamide **326824-10-6P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(1-pyrrolidinyl)ethyl][1,1'-biphenyl]-4-carboxamide **326824-11-7P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(1-pyrrolidinyl)propyl][1,1'-biphenyl]-4-carboxamide **326824-12-8P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(1-piperidinyl)ethyl][1,1'-biphenyl]-4-carboxamide **326824-13-9P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(1-piperidinyl)propyl][1,1'-biphenyl]-4-carboxamide **326824-14-0P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(4-morpholinyl)ethyl][1,1'-biphenyl]-4-carboxamide **326824-15-1P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(4-morpholinyl)propyl][1,1'-biphenyl]-4-carboxamide **326824-16-2P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(4-methyl-1-piperazinyl)ethyl][1,1'-biphenyl]-4-carboxamide **326824-17-3P**, 4'-[2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]-N-[2-(4-methyl-1-piperazinyl)propyl][1,1'-biphenyl]-4-carboxamide **326824-35-5P**, 4-Benzoyl-N-(5-cyclopropyl-1H-pyrazol-3-yl)benzamide **326824-36-6P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(6-methoxy-2-naphthyl)propanamide **326824-38-8P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3,3,3-trifluoro-2-methoxy-2-phenylpropanamide **326824-39-9P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-methoxy-2-phenylethanamide **326824-43-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,5-difluorophenyl)acetamide **326824-46-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-methylphenyl)acetamide **326824-47-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-hydroxyphenyl)acetamide **326824-48-0P**, (2S)-2-Amino-N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-phenylethanamide **326824-49-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-nitrophenyl)propanamide **326824-50-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-hydroxy-3-methoxyphenyl)acetamide **326824-51-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[3,5-bis(trifluoromethyl)phenyl]acetamide **326824-52-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-chloro-6-fluorophenyl)acetamide **326824-53-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-hydroxy-2-(4-hydroxy-3-methoxyphenyl)acetamide **326824-54-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-((2S)-2-aminopropanoyloxymethyl)phenyl]acetamide **326824-55-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-bromomethylphenyl)acetamide **326824-56-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-

methylsulfonylphenyl)acetamide **326824-57-1P**, (2R)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-methoxy-2-phenylethanamide **326824-58-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-methylphenyl)acetamide **326824-63-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,5-dimethoxyphenyl)acetamide **326824-64-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,4-difluorophenyl)acetamide **326824-65-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,4-dichlorophenyl)acetamide **326824-66-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-bromophenyl)acetamide **326824-67-3P**, 2-Cyclohexyl-N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-phenylacetamide **326824-68-4P**, (1R)-2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxo-1-phenylethyl acetate **326824-70-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-methylthiophenyl)acetamide **326824-71-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-bromophenyl)acetamide **326824-73-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-hydroxy-3-nitrophenyl)acetamide **326824-74-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-chloro-4-hydroxyphenyl)acetamide **326824-76-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-acetylaminophenyl)acetamide **326824-78-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-nitrophenyl)acetamide **326824-79-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-benzyloxy-3-methoxyphenyl)acetamide **326824-80-0P**, (2S)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-hydroxy-2-phenylethanamide **326824-82-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-trifluoromethylphenyl)acetamide **326824-84-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,4-dichlorophenyl)acetamide **326824-85-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,4-dihydroxyphenyl)acetamide **326824-86-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,5-di-tert-butyl-4-hydroxyphenyl)acetamide **326824-87-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,5-difluorophenyl)acetamide **326824-88-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-benzyloxycarbonyl-2-phenylacetamide **326824-90-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-butoxyphenyl)acetamide **326824-91-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-fluorophenyl)acetamide **326824-93-5P**, 5-Cyclohexyl 1-[4-[2-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxoethyl]benzyl] 2-aminopentanedioate **326824-94-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-isobutylphenyl)propanamide **326824-96-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-hydroxyphenyl)acetamide **326824-97-9P**, 2-Cyclopentyl-N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-phenylacetamide **326824-98-0P**, (1S)-2-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-2-oxo-1-phenylethyl acetate **326824-99-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-fluoro-2-phenylacetamide **326825-02-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-trifluoromethylphenyl)acetamide **326825-03-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-methoxyphenyl)acetamide **326825-04-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3,4,5-trimethoxyphenyl)acetamide **326825-06-3P**, 2-Chloro-2,2-bis(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326825-07-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-hydroxy-2-(3-hydroxy-4-methoxyphenyl)acetamide **326825-08-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(pentafluorophenyl)acetamide **326825-09-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-methyl-2-phenylpentanamide **326825-10-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-nitrophenyl)acetamide **326825-12-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-trifluoromethoxyphenyl)acetamide **326825-13-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-ethoxyphenyl)acetamide **326825-14-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-fluorophenyl)acetamide **326825-15-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-nitro-4-trifluoromethylphenyl)acetamide **326825-17-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,6-dichlorophenyl)acetamide

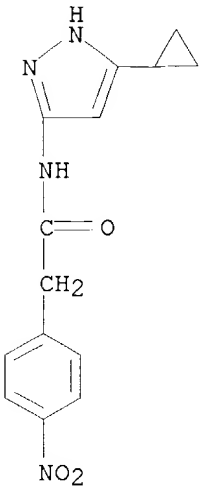
326825-19-8P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,4-dinitrophenyl)acetamide **326825-20-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2,4-difluorophenylacetamide **326825-21-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-bromo-4-methoxyphenyl)acetamide **326825-22-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-hydroxy-2-phenylpropanamide **326825-23-4P**
, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-fluoro-4-hydroxyphenyl)acetamide **326825-26-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,6-difluorophenyl)acetamide **326825-27-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,5-dihydroxyphenyl)acetamide **326825-28-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,4,6-trimethylphenyl)acetamide **326825-29-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[2,5-bis(trifluoromethyl)phenyl]acetamide **326825-31-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(5-methoxy-3-hydroxy-2-propylphenyl)acetamide **326825-32-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-fluoro[1,1'-biphenyl]-4-yl)propanamide **326825-33-6P**, (2R)-N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-fluoro[1,1'-biphenyl]-4-yl)propanamide **326825-34-7P**, 2-[4-[(Aminocarbonyl)amino]phenyl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326825-35-8P**, 2-[4-[(2-Amino-2-oxoethyl)amino]phenyl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide **326825-43-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-iodophenyl)acetamide **326825-48-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(6-methoxy-2-naphthyl)acrylamide **326825-49-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-hydroxyphenyl)acetamide **326825-53-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-methylsulfonylaminophenyl)acetamide **326825-54-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[(methylsulfonyl)amino]phenyl]acetamide **326825-55-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-(4-methyl-1-piperazinyl)ethoxy]phenyl]acetamide **326825-56-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]acetamide **326825-57-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(2-amino-2-oxoethoxy)phenyl]acetamide **326825-58-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[2-oxo-2-(1-pyrrolidinyl)ethoxy]phenyl]acetamide **326825-59-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[3-(2-amino-2-oxoethoxy)phenyl]acetamide **326825-66-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3-methoxyphenyl)acetamide **326825-67-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-methyl-2-(2-methyl-2,3-dihydro-1-benzofuran-5-yl)propanamide **326825-68-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[2-(4-methoxyphenyl)-4-oxo-4H-chromen-6-yl]acetamide **326825-70-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]hexanamide **326825-71-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-phenyl-3-(4-pyridinyl)propenamide **326825-72-3P**, 2-[1,1'-Biphenyl]-4-yl-N-(5-cyclopropyl-1H-pyrazol-3-yl)butanamide **326825-73-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide **326825-74-5P**
, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]butanamide **326825-75-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-(2S)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide **326825-76-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(5-amino-4-phenyl-1H-1,2,3-triazol-1-yl)phenyl]acetamide **326825-77-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]pentanamide **326825-78-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-benzyloxyphenyl)acetamide **326825-79-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-[(3,3-diethyl-4-oxo-2-azetidinyl)oxy]phenyl]acetamide **326825-84-7P**, 2-[1,1'-Biphenyl]-4-yl-N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-hydroxyacetamide **326825-87-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-3-bromo-2,2-diphenylpropanamide **326825-88-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4,4-bis(4-methylphenyl)-3-butenamide **326825-89-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-hydroxy-5-

isopropyl-2-methylphenyl)acetamide **326825-90-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-nitrophenyl)-2-butenamide **326825-92-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,3,6-trifluorophenyl)acetamide **326825-98-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2'-fluoro[1,1'-biphenyl]-4-yl)acetamide **326825-99-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3'-fluoro[1,1'-biphenyl]-4-yl)acetamide **326826-00-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3'-methoxy[1,1'-biphenyl]-4-yl)acetamide **326826-01-1P 326826-02-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(3'-formyl-4'-methoxy[1,1'-biphenyl]-4-yl)acetamide **326826-03-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-fluoro-3'-methyl[1,1'-biphenyl]-4-yl)acetamide **326826-04-4P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2',5'-dichloro[1,1'-biphenyl]-4-yl)acetamide **326826-05-5P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-formyl[1,1'-biphenyl]-4-yl)acetamide **326826-06-6P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2',5'-difluoro[1,1'-biphenyl]-4-yl)acetamide **326826-07-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2',5'-dimethyl[1,1'-biphenyl]-4-yl)acetamide **326826-08-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2',6-difluoro[1,1'-biphenyl]-4-yl)acetamide **326826-09-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4'-methoxy[1,1'-biphenyl]-4-yl)acetamide **326826-10-2P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2',6-dimethyl[1,1'-biphenyl]-4-yl)acetamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for prepn. and use as antitumor agents)

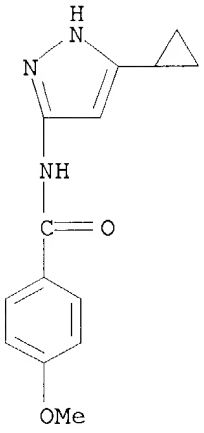
RN 326822-32-6 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



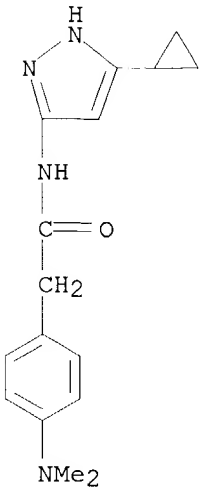
RN 326822-33-7 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-nitro- (9CI) (CA INDEX NAME)



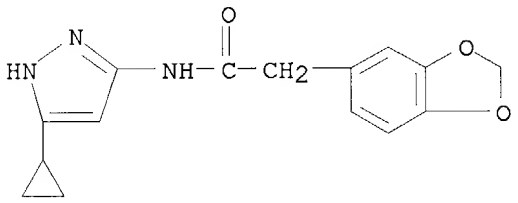
RN 326822-34-8 CAPLUS
CN Benzamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-methoxy- (9CI) (CA INDEX NAME)



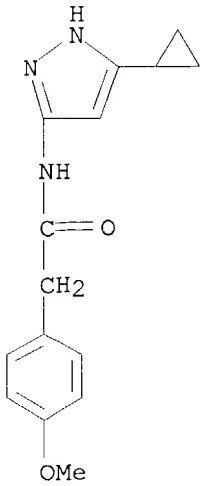
RN 326822-35-9 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-(dimethylamino)- (9CI) (CA INDEX NAME)



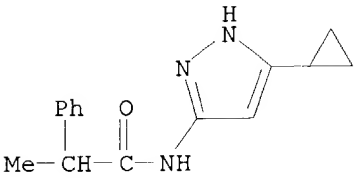
RN 326822-36-0 CAPLUS
CN 1,3-Benzodioxole-5-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



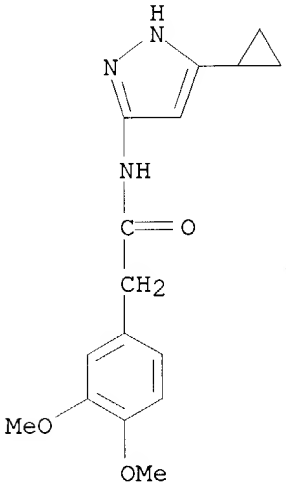
RN 326822-37-1 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-methoxy- (9CI) (CA INDEX NAME)



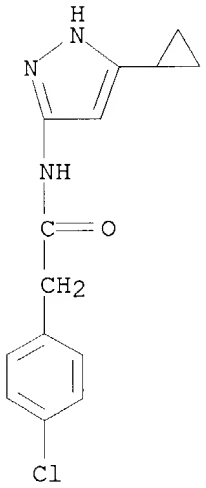
RN 326822-38-2 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl- (9CI) (CA INDEX NAME)



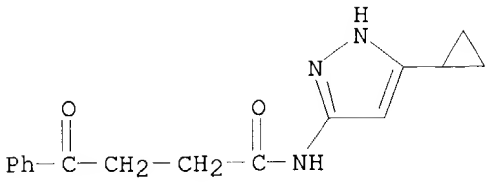
RN 326822-39-3 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-3,4-dimethoxy- (9CI) (CA INDEX NAME)



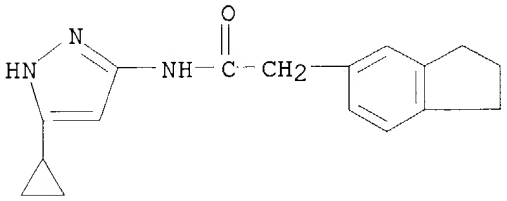
RN 326822-46-2 CAPLUS
CN Benzeneacetamide, 4-chloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



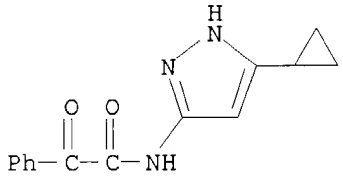
RN 326822-47-3 CAPLUS
CN Benzenebutanamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



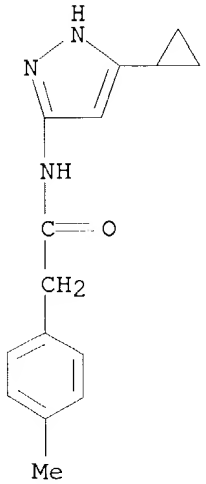
RN 326822-48-4 CAPLUS
CN 1H-Indene-5-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,3-dihydro- (9CI) (CA INDEX NAME)



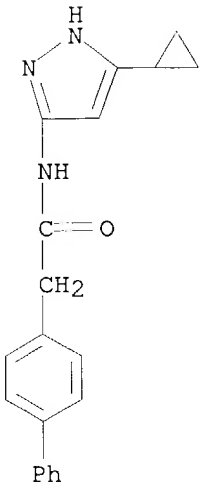
RN 326822-50-8 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-oxo- (9CI) (CA INDEX NAME)



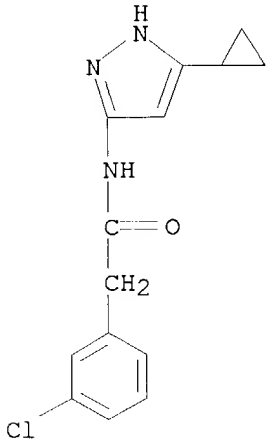
RN 326822-51-9 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-methyl- (9CI) (CA INDEX NAME)



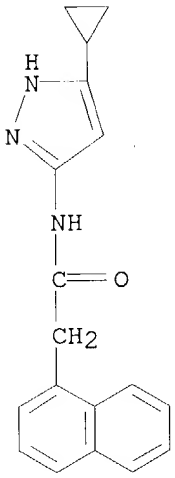
RN 326822-52-0 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



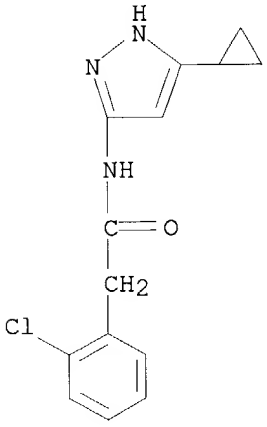
RN 326822-53-1 CAPLUS
CN Benzeneacetamide, 3-chloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



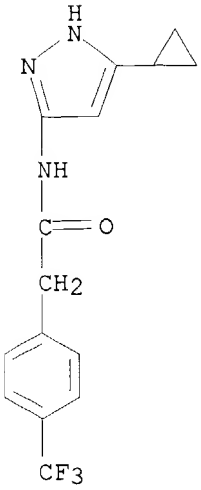
RN 326822-54-2 CAPLUS
CN 1-Naphthaleneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



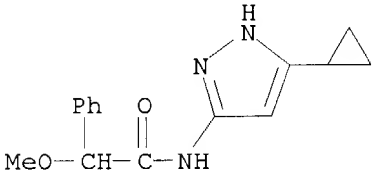
RN 326822-55-3 CAPLUS
CN Benzeneacetamide, 2-chloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



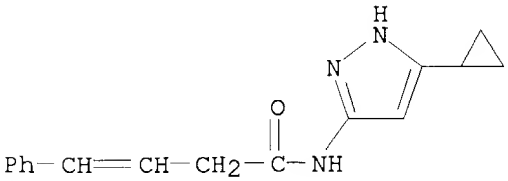
RN 326822-56-4 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



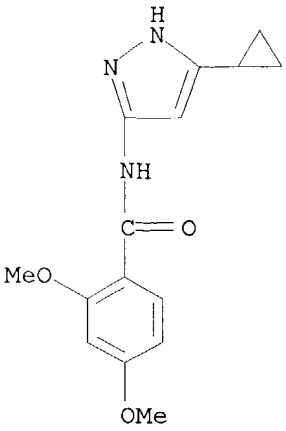
RN 326822-57-5 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



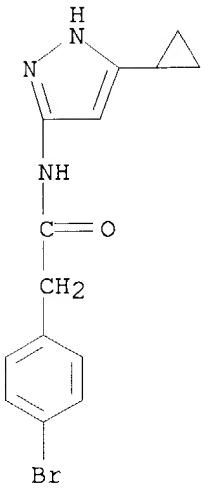
RN 326822-60-0 CAPLUS
CN 3-Butenamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)



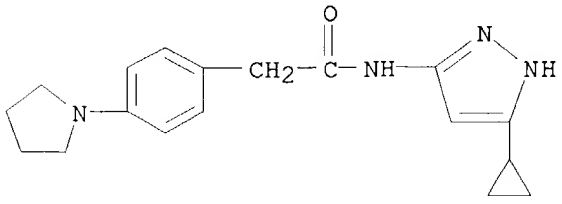
RN 326822-63-3 CAPLUS
CN Benzamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-phenoxy- (9CI) (CA INDEX NAME)



RN 326823-14-7 CAPLUS
CN Benzeneacetamide, 4-bromo-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

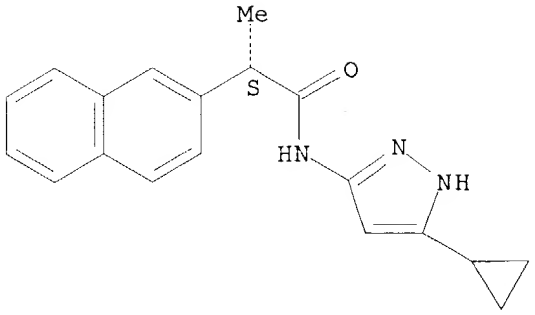


RN 326823-15-8 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

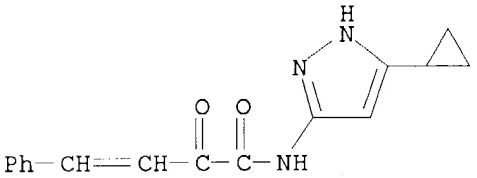


RN 326823-16-9 CAPLUS
CN 2-Naphthaleneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

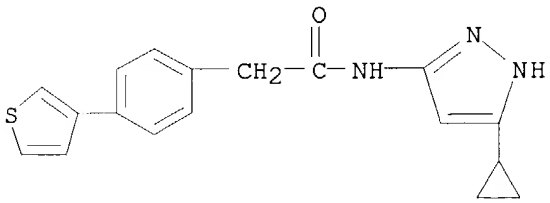
Absolute stereochemistry.



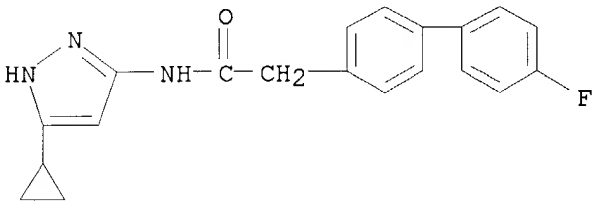
RN 326823-20-5 CAPLUS
CN 3-Butenamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-oxo-4-phenyl- (9CI) (CA INDEX NAME)



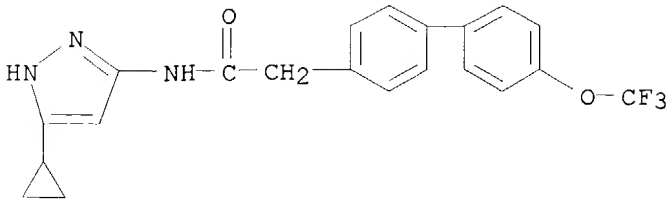
RN 326823-21-6 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 326823-22-7 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4'-fluoro- (9CI) (CA INDEX NAME)



RN 326823-27-2 CAPLUS
CN 2-Naphthaleneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2001:78373 CAPLUS
DOCUMENT NUMBER: 134:131524
TITLE: Preparation of heterocycles in drug compositions exhibiting thrombopoietin agonism
INVENTOR(S): Takemoto, Hiroshi; Takayama, Masami; Shiota, Takeshi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007423	A1	20010201	WO 2000-JP4909	20000724
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1207155	A1	20020522	EP 2000-946455	20000724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-211164 A 19990726
WO 2000-JP4909 W 20000724
OTHER SOURCE(S): MARPAT 134:131524
GI

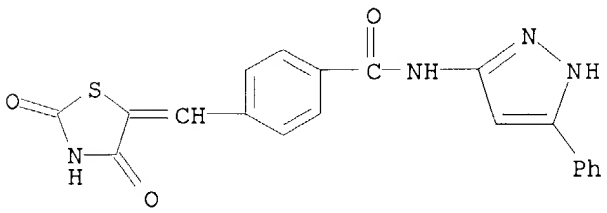
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [X1Y1Z1X2A1; wherein X1 is optionally substituted heteroaryl or the like; X2 = CH, CH2; Y1 is NRACO-(CH2)0-2- or the like (wherein RA is hydrogen or the like); Z1 is optionally substituted allylene or the like; and A1 is a ring represented by general formula Q1 or Q2], prodrugs of the same, pharmaceutically acceptable salts of both, and solvates of them are prepd. as drug compns. contg. as the active ingredient, and exhibiting thrombopoietin receptor agonism. Thus, the title compd. I was prepd. and tested.
IT **322415-70-3P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocycles in drug compns. exhibiting thrombopoietin agonism)

RN 322415-70-3 CAPLUS

CN Benzamide, 4-[(2,4-dioxo-5-thiazolidinylidene)methyl]-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:44770 CAPLUS

DOCUMENT NUMBER: 134:252299

TITLE: Thiazole and thiadiazole analogs as a novel class of adenosine receptor antagonists

AUTHOR(S): van Muijlwijk-Koezen, Jacqueline E.; Timmerman, Hendrik; Vollinga, Roeland C.; von Kuenzel, Jacobien Frijtag; de Groote, Miriam; Visser, Sven; IJzerman, Adriaan P.

CORPORATE SOURCE: Department of Pharmacochemistry Division of Medicinal Chemistry Leiden/Amsterdam Center for Drug Research, Vrije Universiteit, Amsterdam, 1081 HV, Neth.

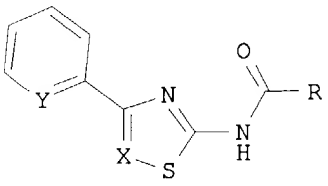
SOURCE: Journal of Medicinal Chemistry (2001), 44(5), 749-762
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Novel classes of heterocyclic compds., e.g., I (X = CH, Y = N, R = Ph, cyclopentyl, 3-ClC6H4, etc.; X = N, Y = CH, R = 4-ClC6H4, PH, 3-Me-4-MeoC6H3, etc.), as adenosine antagonists were developed based on a template approach. Structure-affinity relationships revealed insights for extended knowledge of the receptor-ligand interaction. The authors replaced the bicyclic heterocyclic ring system of earlier described isoquinoline and quinazoline adenosine A3 receptor ligands by several monocyclic rings and investigated the influence thereof on adenosine receptor affinity. The thiazole or thiadiazole derivs. seemed most promising, so the authors continued their investigations with these two classes of compds. The large difference between a pyridine and

isoquinoline ring in binding adenosine A1 and A3 receptors showed the importance of the second ring of the isoquinoline ligands. The authors prepd. several N-[4-(2-pyridyl)thiazol-2-yl]benzamides, and these compds. showed adenosine affinities in the micromolar range. Most surprising in the series of the N-[4-(2-pyridyl)thiazol-2-yl]amides were the retained adenosine affinities by introduction of a cyclopentanamide instead of the benzamide. A second series of compds., the thiadiazolobenzamide series of compds., revealed potent and selective adenosine receptor antagonists, esp. N-(3-phenyl-1,2,4-thiadiazol-5-yl)-4-hydroxybenzamide I (LUF5437, II)(X = N; R = 4-HOC6H4) showing a Ki value of 7 nM at the adenosine A1 receptor and N-(3-phenyl-1,2,4-thiadiazol-5-yl)-4-methoxybenzamide I (LUF5417, III) (X = N; R = 4-MeOC6H4) with a Ki value of 82 nM at the adenosine A3 receptor. 4-Hydroxybenzamide II is the most potent adenosine A1 receptor antagonist of this new class of compds. Structure-affinity relationships showed the existence of a steric restriction at the para-position of the benzamide ring for binding adenosine A1 and A3 receptors. The electronic nature of the 4-substituents played an important role in binding the adenosine A3 receptor. Cis- and trans-4-substituted cyclohexyl derivs. were made next to the 4-substituted benzamide analogs. The authors used them to study the proposed specific interaction between the adenosine A1 receptor and the 4-hydroxy group of this class of thiadiazolo compds., as well as a suggested special role for the 4-methoxy group in binding the A3 receptor. Both the adenosine A1 and A3 receptor slightly preferred the trans-analogs over the cis-analogs, while all compds. showed low affinities at the adenosine A2A receptor. The investigations provided the potent and highly selective adenosine A1 antagonist N-(3-phenyl-1,2,4-thiadiazol-5-yl)-trans-4-hydroxycyclohexanamide (VUF5472) showing a Ki value of 20 nM. A third series of compds. was formed by urea analogs, N-substituted with thiazolo and thiadiazolo heterocycles. The SAR of this class of compds. was not commensurate with the SAR of the previously described quinazoline urea. On the basis of these findings the authors suggest the existence of a special interaction between adenosine receptors and a region of high electron d. positioned between the thia(dia)zole ring and phenyl(pyridyl) ring. Mol. electrostatic potential contour plots showed that for this reason the ligands need either a thiadiazole ring instead of a thiazole or a 2-pyridyl group instead of a Ph. The derived novel classes of antagonists will be useful for a better understanding of the mol. recognition at the adenosine receptors.

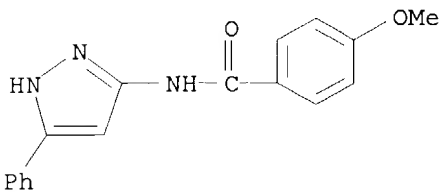
IT **331472-25-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn., adenosine antagonist activity, and structure-activity relationship of thiazole and thiadiazole analogs)

RN 331472-25-4 CAPLUS

CN Benzamide, 4-methoxy-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

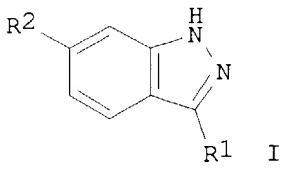


REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:31473 CAPLUS
DOCUMENT NUMBER: 134:100864
TITLE: Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use
INVENTOR(S): Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza, John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 439 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002369	A2	20010111	WO 2000-US18263	20000630
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012352	A	20020514	BR 2000-12352	20000630
EP 1218348	A2	20020703	EP 2000-943375	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503481	T2	20030128	JP 2001-507809	20000630
NZ 516676	A	20030926	NZ 2000-516676	20000630
US 6531491	B1	20030311	US 2001-983786	20011025
US 6534524	B1	20030318	US 2001-983783	20011025
NO 2001005797	A	20020301	NO 2001-5797	20011128
ZA 2001010061	A	20030206	ZA 2001-10061	20011206
BG 106380	A	20020930	BG 2002-106380	20020201

PRIORITY APPLN. INFO.: US 1999-142130P P 19990702
US 2000-609335 B3 20000630
WO 2000-US18263 W 20000630
OTHER SOURCE(S): MARPAT 134:100864
GI



I

AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X;

R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. contg. them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. contg. such compds., and to methods of treating cancer and other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepd. from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixt. with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

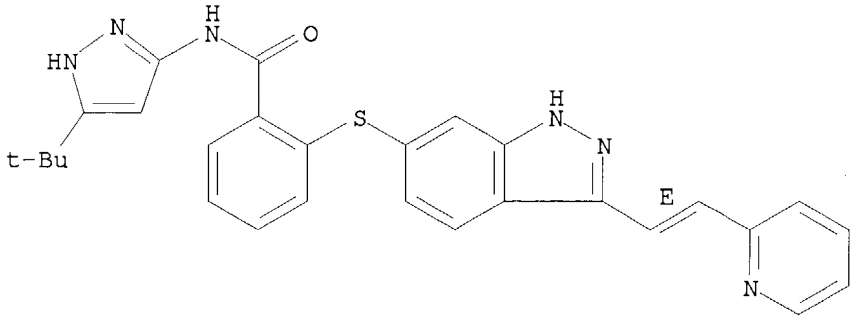
IT **319468-75-2P 319471-94-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319468-75-2 CAPLUS

CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

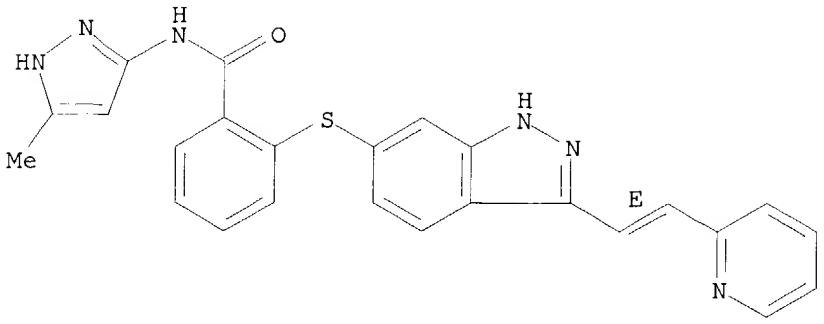
Double bond geometry as shown.



RN 319471-94-8 CAPLUS

CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



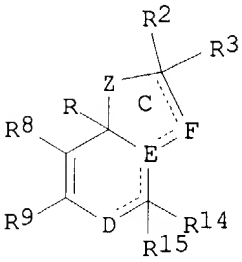
L4 ANSWER 29 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:790448 CAPLUS
DOCUMENT NUMBER: 133:350060
TITLE: Preparation of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors
INVENTOR(S): Dow, Robert Lee; Liu, Kevin Kun-Chin; Morgan, Bradley Paul; Swick, Andrew Gordon
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 279 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066522	A1	20001109	WO 2000-IB366	20000327
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010138	A	20020122	BR 2000-10138	20000327
EP 1175383	A1	20020130	EP 2000-911172	20000327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103104	T2	20020521	TR 2001-20010310420000327	
JP 2002543169	T2	20021217	JP 2000-615356	20000327
EE 200100567	A	20030217	EE 2001-567	20000327
NZ 514465	A	20031128	NZ 2000-514465	20000327
US 6380223	B1	20020430	US 2000-559384	20000427
ZA 2001008846	A	20021028	ZA 2001-8846	20011026
NO 2001005272	A	20011228	NO 2001-5272	20011029
HR 2001000804	A1	20021231	HR 2001-804	20011030
BG 106142	A	20020531	BG 2001-106142	20011123
US 2002147336	A1	20021010	US 2002-80174	20020219
US 6699893	B2	20040302		
US 2003199527	A1	20031023	US 2003-413879	20030415

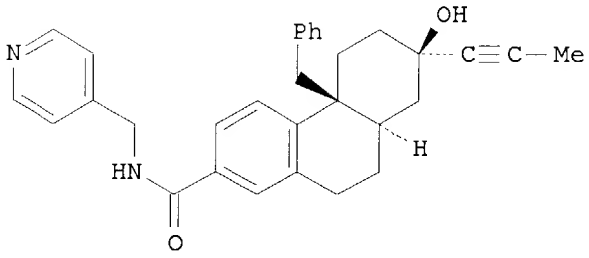
PRIORITY APPLN. INFO.:
US 1999-132130P P 19990430
US 1999-162340P P 19991029
WO 2000-IB366 W 20000327

US 2000-559384 A3 20000427
US 2000-696822 A3 20001026
OTHER SOURCE(S): MARPAT 133:350060

GI



I



II

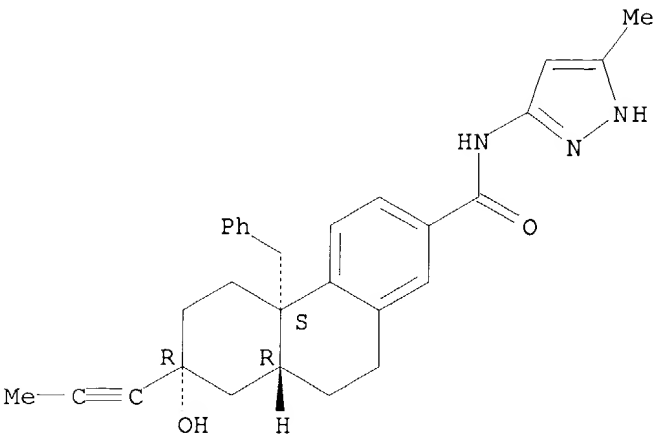
AB Title compds. [e.g., I; D = CR7, CR7R16, N, NR7, O' E = C, CR6, N; F = CR4, CR4R5, O; R = XR1; R1 = H, alkyl, acylalkyl, arylalkyl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, arylalkyl, etc.; 1 of R2,R3 = null when adjacent dashed line = bond; R4,R5 = H, cyano, alkyl, alkoxy, etc.; R4R5 = O; R6 = H, cyano, alkyl, alkoxy, OH, etc.; R7,R16 = H, halo, cyano, alkyl, etc.; R7R16 = O; R8R9 = atoms to complete a substituted heteroarom. ring; R14,R15 = H, halo, alkyl, alkoxy, etc.; R14R15 = O when adjacent dashed lines = null; X = bond, CH2, CH(OH), CO; Z = (un)substituted CH2, -CH2CH2, -CH2CO, CO, etc.; dashed lines = optional bonds] were prepd. as glucocorticoid receptor modulators (no data). E.g., 6-methoxy-2-tetralone was alkylated by formation of the pyrrolidine enamine and alkylation with benzyl bromide; the benzylated ketone then undergoes asym. Michael addn. with Me vinyl ketone in the presence of (S)-(-)-.alpha.-methylbenzylamine followed by cyclocondensation with sodium methoxide to give a nonracemic methoxytetrahydrophenanthrenone deriv. E.g., demethylation of the methoxytetrahydrophenanthrenone with boron trichloride, redn. of the enone with lithium and ammonia, addn. of 1-lithiopropyne to the ketone, formation of the aryl triflate with triflic anhydride and carbonylation with carbon monoxide in the presence in the presence of palladium acetate and bis(diphenylphosphino)propanol gives an hydroxyoctahydrophenanthrenecarboxylic acid deriv. which is coupled with 4-(aminomethyl)pyridine in the presence of trimethylaluminum to give the octahydrophenanthrenecarboxamide II as one of the title compds.

IT **305825-40-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors)

RN 305825-40-5 CAPLUS

CN 2-Phenanthrenecarboxamide, 4b,5,6,7,8,8a,9,10-octahydro-7-hydroxy-N-(5-methyl-1H-pyrazol-3-yl)-4b-(phenylmethyl)-7-(1-propynyl)-, (4bS,7R,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:335387 CAPLUS
DOCUMENT NUMBER: 132:334364
TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.
INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard; Menrad, Andreas; Schirner, Michael
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027819	A2	20000518	WO 1999-EP8478	19991109
WO 2000027819	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19910396	A1	20000907	DE 1999-19910396	19990303
DE 19910396	C2	20011213		
BR 9915553	A	20010814	BR 1999-15553	19991109
EP 1129074	A2	20010905	EP 1999-953967	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

TR 200101307	T2	20020521	TR 2001-20010130	19991109
JP 2002529452	T2	20020910	JP 2000-580999	19991109
EE 200100258	A	20021216	EE 2001-258	19991109
NZ 511413	A	20040130	NZ 1999-511413	19991109
AU 771180	B2	20040318	AU 2000-10454	19991109
NO 2001002245	A	20010710	NO 2001-2245	20010507
BG 105588	A	20020430	BG 2001-105588	20010611

PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
DE 1999-19910396 A 19990303
WO 1999-EP8478 W 19991109

OTHER SOURCE(S): MARPAT 132:334364

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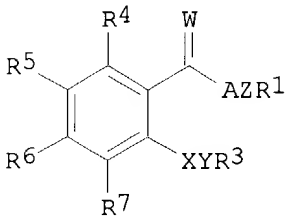


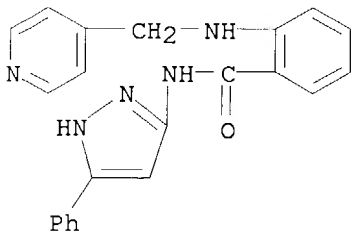
I

AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (prepn. given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 .mu.M.

IT **267891-35-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-35-0 CAPLUS

CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:161121 CAPLUS

DOCUMENT NUMBER: 132:207763

TITLE: Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivatives as potassium channel inhibitors

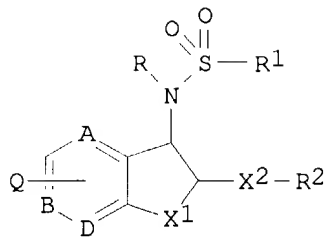
INVENTOR(S): Lloyd, John; Finlay, Heather J.; Vaccaro, Wayne; Atwal, Karnail; Gross, Michael F.; Spear, Kerry L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012077	A1	20000309	WO 1999-US18599	19990816
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341678	AA	20000309	CA 1999-2341678	19990816
AU 9956753	A1	20000321	AU 1999-56753	19990816
AU 754204	B2	20021107		
EP 1109544	A1	20010627	EP 1999-943714	19990816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523451	T2	20020730	JP 2000-567195	19990816
US 6150356	A	20001121	US 1999-375955	19990817
US 6511977	B1	20030128	US 2000-670285	20000925
US 2004058931	A1	20040325	US 2002-295574	20021115
US 2004067944	A1	20040408	US 2002-295404	20021115

PRIORITY APPLN. INFO.:

US 1998-98709P	P	19980901
WO 1999-US18599	W	19990816
US 1999-375955	A3	19990817
US 2000-670285	A3	20000925

OTHER SOURCE(S): MARPAT 132:207763
GI



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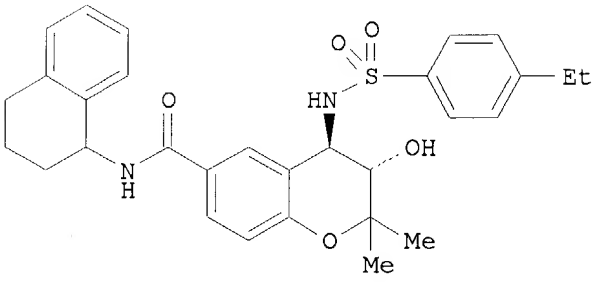


II

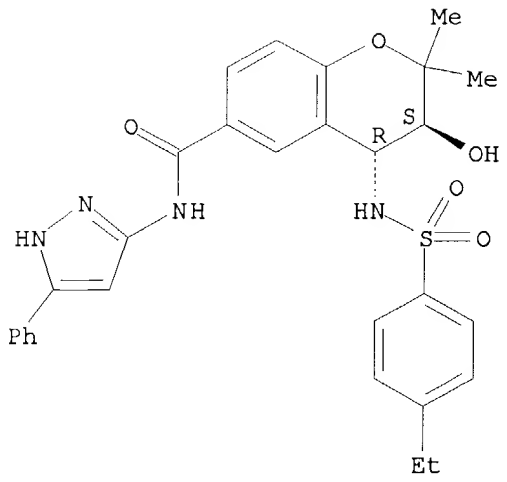
AB The title compds. (I) [wherein A, B, and D = independently CH or N; R = H, (aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl; R1 = (aryl)alkyl, aryl, alkenyl, heterocyclo, NR5-heterocyclo, (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R and R1 taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H, (aryl)alkyl, acyl, carboxymethyl, carbamoylmethyl, etc.; R3 and R4 = independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken together with the C to which they are attached form a 5- to 8-membered ring; R5 = H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)n, O, NR5, S, S(O), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(O)CR3R4-, or -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or O; Q = substituted NHCH:NCN, acyl, (un)substituted sulfamoyl, or substituted heterocyclo] were prepd by soln. phase or solid phase synthesis as antiarrhythmics. For example, II was formed in a 3-step sequence involving: (1) sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) hydrolysis of the nitrile to the carboxylic acid using aq. Na2O2 (33%), and (3) amidation with 1,2,3,4-tetrahydro-1-naphthylamine (51%). I block the delayed rectifier voltage-gated K+ channel (IKur) and are therefore useful in the prevention and treatment of cardiac arrhythmia (no data).

IT **260398-92-3P 260401-21-6P 260401-35-2P**
260401-47-6P 260401-58-9P 260401-77-2P
260401-86-3P 260401-96-5P 260402-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by soln. phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

RN 260398-92-3 CAPLUS

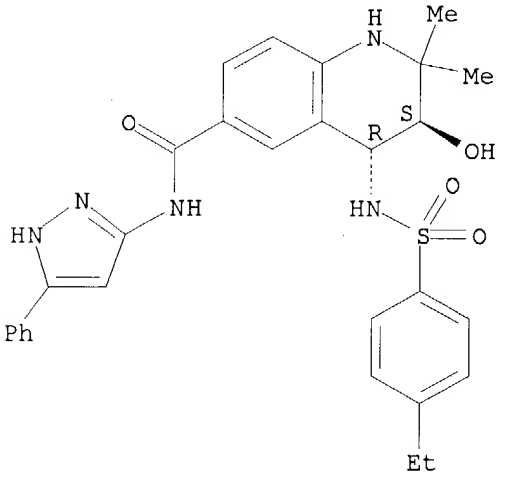
CN 2H-1-Benzopyran-6-carboxamide, 4-[[(4-ethylphenyl)sulfonyl]amino]-3,4-dihydro-3-hydroxy-2,2-dimethyl-N-(5-phenyl-1H-pyrazol-3-yl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



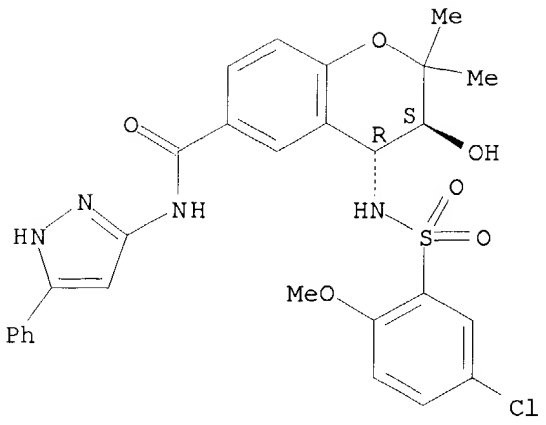
RN 260401-21-6 CAPLUS
CN 6-Quinolinecarboxamide, 4-[[(4-ethylphenyl)sulfonyl]amino]-1,2,3,4-tetrahydro-3-hydroxy-2,2-dimethyl-N-(5-phenyl-1H-pyrazol-3-yl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 260401-35-2 CAPLUS
CN 2H-1-Benzopyran-6-carboxamide, 3,4-dihydro-3-hydroxy-2,2-dimethyl-4-[[(3-methylphenyl)sulfonyl]amino]-N-(5-phenyl-1H-pyrazol-3-yl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

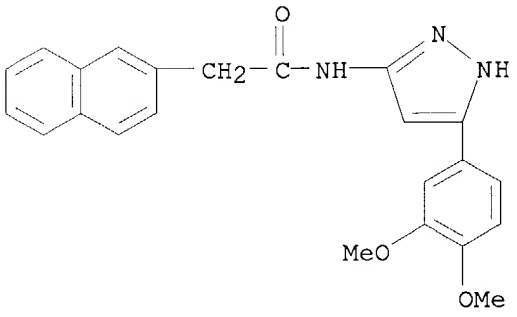
ACCESSION NUMBER: 2000:146404 CAPLUS
DOCUMENT NUMBER: 132:274293
TITLE: Food intake regulation in rodents: Y5 or Y1 NPY receptors or both?
AUTHOR(S): Duhault, Jacques; Boulanger, Michele; Chamorro, Susana; Boutin, Jean A.; Della Zuana, Odile; Douillet, Emmanuelle; Fauchere, Jean-Luc; Feletou, Michel; Germain, Martine; Husson, Bruno; Vega, Antonio Monge; Renard, Pierre; Tisserand, Francoise
CORPORATE SOURCE: Division of Diabetes and Metabolic Diseases, Institut de recherches servier, Suresnes, 92150, Fr.
SOURCE: Canadian Journal of Physiology and Pharmacology (2000), 78(2), 173-185
CODEN: CJPPA3; ISSN: 0008-4212
PUBLISHER: National Research Council of Canada
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Neuropeptide Y (NPY), one of the most abundant peptides in rat and human brains, appears to act in the hypothalamus to stimulate feeding. It was first suggested that the NPY Y1 receptor (Y1R) was involved in feeding stimulated by NPY. More recently a novel NPY receptor subtype (Y5R) was identified in rat and human as the NPY feeding receptor subtype. There is, however, no abs. consensus since selective Y1R antagonists also antagonize NPY-induced hyperphagia. Nevertheless, new anti-obesity drugs may emerge from further pharmacol. characterization of the NPY receptors and their antagonists. A large panel of Y1R and Y5R antagonists (such as CGP71683A, BIBO3304, BIBP3226, 1229U91, and SYNAPTIC and BANYU derivs. but also patentable in house-synthesized compds.) have been evaluated through in vitro and in vivo tests in an attempt to establish a predictive relationship between the binding selectivity for human receptors, the potency in isolated organs assays, and the inhibitory effect on food intake in both normal and obese hyperphagic rodents. Although these results do not allow one to conclude on the implication of a single receptor subtype at the mol. level, this approach is crucial for the design of novel NPY receptor antagonists with potential use as anti-obesity drugs and for evaluation of their possible adverse peripheral side effects, such as hypotension.

IT **209727-35-5**, JCF 114
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)
(Banyu 6; food intake regulation and Y5 or Y1 NPY receptors in relation to the design of NPY receptor antagonists as anti-obesity agents)

RN 209727-35-5 CAPLUS
CN 2-Naphthaleneacetamide, N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

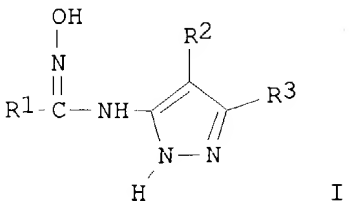


REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

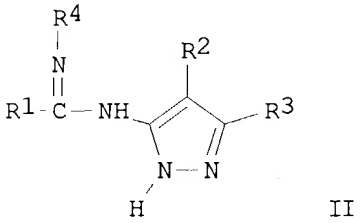
L4 ANSWER 33 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:78923 CAPLUS
DOCUMENT NUMBER: 132:93315
TITLE: Process for preparing the oximes of 5-(amidino)pyrazoles
INVENTOR(S): Ferruccio, Laurence; Gibert, Dominique; Vergne, Guyselaine
PATENT ASSIGNEE(S): ISOCHEM, Fr.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020498	A	20000201	US 1999-358816	19990722
FR 2782081	A1	20000211	FR 1998-9977	19980804
FR 2782081	B1	20010727		
EP 978509	A1	20000209	EP 1999-401909	19990727
EP 978509	B1	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000053648	A2	20000222	JP 1999-220103	19990803
KR 2000017028	A	20000325	KR 1999-31803	19990803

PRIORITY APPLN. INFO.: FR 1998-9977 A 19980804
OTHER SOURCE(S): CASREACT 132:93315; MARPAT 132:93315
GI



I



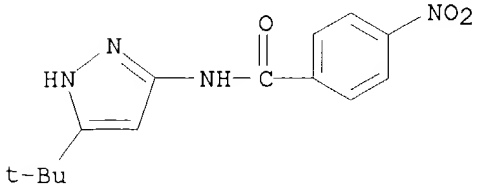
II

AB 5-(Amidino)pyrazole oximes [I; R1 = (un)substituted aryl, (un)substituted heteroaryl; R2 = hydrogen, halogen, (un)substituted aryloxy; R3 = hydrogen, halogen, hydroxyl, cyano, nitro, CO2H, substituted amino, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aralkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted alkoxy, (un)substituted aryloxy, (un)substituted acyl, (un)substituted acylamino, (un)substituted sulfonylamino, (un)substituted sulfonyl, (un)substituted alkylthio, (un)substituted arylthio, (un)substituted carbamoyl, (un)substituted sulfamoyl, (un)substituted ureido] are prepd. in high yield by: (1) reacting amides R1CONHR4 (R4 = C1-8 alkyl) with chlorinating agents to form a chloroimine R1C(Cl):NR4; the chloroimine is then amidated with 5-aminopyrazoles to produce the correspondingly disubstituted amidines (II); and (3) the amidines are oximated with hydroxylamine or one of its salts.

IT **198628-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for prepg. the oximes of 5-(amidino)pyrazoles)

RN 198628-44-3 CAPLUS

CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-4-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

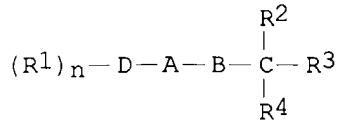
L4 ANSWER 34 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:783925 CAPLUS
DOCUMENT NUMBER: 132:22753
TITLE: Preparation of N-(arylsulfonylphenyl)-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide derivatives for the elevation of pyruvate dehydrogenase (PDH) activity

INVENTOR(S): Butlin, Roger John; Nowak, Thorsten; Burrows, Jeremy Nicholas; Block, Michael Howard
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962506	A1	19991209	WO 1999-GB1669	19990526
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2331685	AA	19991209	CA 1999-2331685	19990526
AU 9940524	A1	19991220	AU 1999-40524	19990526
AU 740909	B2	20011115		
BR 9910821	A	20010213	BR 1999-10821	19990526
EP 1082110	A1	20010314	EP 1999-923767	19990526
EP 1082110	B1	20040324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000691	A	20020415	EE 2000-691	19990526
JP 2002516854	T2	20020611	JP 2000-551762	19990526
NZ 507784	A	20021025	NZ 1999-507784	19990526
ZA 2000006645	A	20020815	ZA 2000-6645	20001115
US 6498275	B1	20021224	US 2000-700370	20001115
NO 2000006010	A	20010126	NO 2000-6010	20001128
US 2004009979	A1	20040115	US 2002-277957	20021023

PRIORITY APPLN. INFO.: GB 1998-11427 A 19980529
WO 1999-GB1669 W 19990526
US 2000-700370 A3 20001115

OTHER SOURCE(S): MARPAT 132:22753
GI



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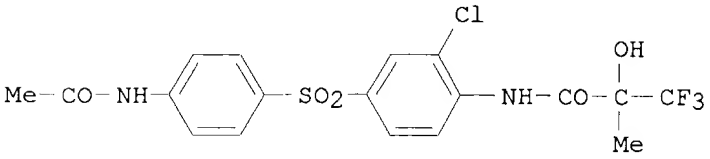


II

AB Aryl Ph sulfone and sulfoxide derivs. (I) [where ring D = (un)substituted Ph, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, or other 6-membered N-contg. heteroaryl ring; R1 = (hetero)arylsulfonyl, (hetero)arylsulfinyl,

(hetero)arylcarbonyl, (halo)alkyl, (halo)alkoxy, alkenyloxy, cyano, NO2, halo, S-CF3, OH, or a variety of (un)substituted functional groups; n = 1 or 2; R2 and R3 = independently (halo)alkyl or 3-5 membered (halo)cycloalkyl ring; A-B = NH-C(O), O-CH2, S-CH2, (trans)-vinylene, ethynylene, NH-C(S), or C(O)-CH2; R4 = H, OH, halo, NH2, or Me], and pharmaceutically acceptable salts or in vivo hydrolysable esters thereof, were prepd. Pharmaceutical compns., methods, and processes for prepn. of compds. of formula I are also described. For example, (R)-(+)-2-hydroxy-2-methyl-3,3,3-trifluoropropanoic acid (prepn. given) was mixed with oxalyl chloride and added to 4-(4-acetamidophenylsulfonyl)-2-chloroaniline (prepn. given) in DCM to yield (R)-N-[4-(4-acetamidophenylsulfonyl)-2-chlorophenyl]-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide (R)-(II). Title compds. elevate pyruvate dehydrogenase (PDH) activity (no data) and are useful in the treatment of diabetes mellitus, peripheral vascular disease, cardiac failure and certain cardiac myopathies, myocardial ischemia, cerebral ischemia and perfusion, muscle weakness, hyperlipidemias, Alzheimer's disease, and/or atherosclerosis.

IT **252017-28-0P**

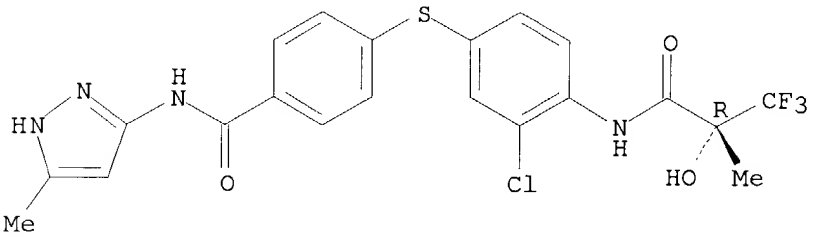
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compd.; prepn. of N-(arylsulfonylphenyl)-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide derivs. for elevation of pyruvate dehydrogenase (PDH) activity)

RN 252017-28-0 CAPLUS

CN Benzamide, 4-[[3-chloro-4-[[(2R)-3,3,3-trifluoro-2-hydroxy-2-methyl-1-oxopropyl]amino]phenyl]thio]-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **252018-05-6P**

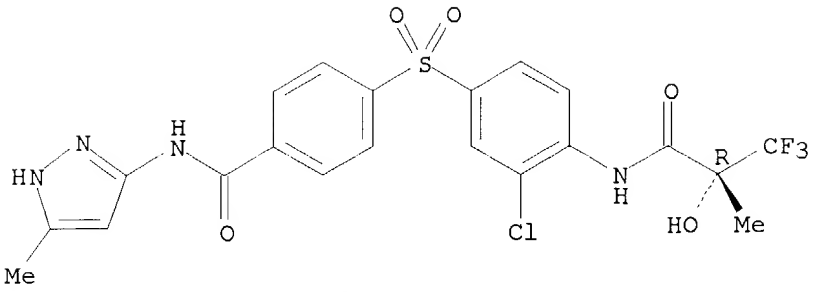
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of N-(arylsulfonylphenyl)-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide derivs. for elevation of pyruvate dehydrogenase (PDH) activity)

RN 252018-05-6 CAPLUS

CN Benzamide, 4-[[3-chloro-4-[[(2R)-3,3,3-trifluoro-2-hydroxy-2-methyl-1-oxopropyl]amino]phenyl]sulfonyl]-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

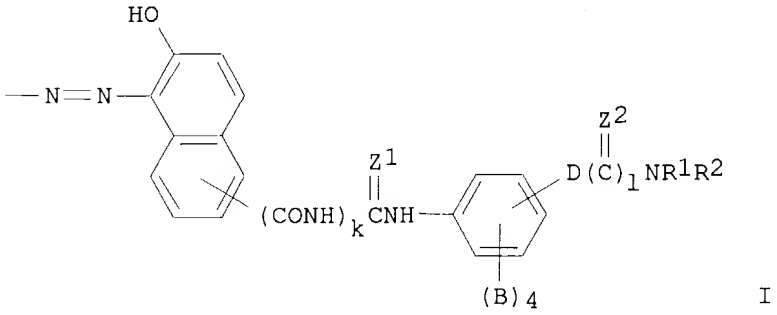


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:579618 CAPLUS
DOCUMENT NUMBER: 131:191857
TITLE: Electrophotographic photoreceptor containing aromatic azo pigment
INVENTOR(S): Tanaka, Masato; Takai, Hideyuki; Nakata, Kouichi
PATENT ASSIGNEE(S): Canon Kabushiki Kaisha, Japan
SOURCE: Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 940725	A1	19990908	EP 1999-301605	19990303
EP 940725	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6040100	A	20000321	US 1999-261504	19990303
JP 11316469	A2	19991116	JP 1999-57052	19990304

PRIORITY APPLN. INFO.: JP 1998-67690 A 19980304
JP 1998-67691 A 19980304
OTHER SOURCE(S): MARPAT 131:191857
GI



I

AB An electrophotog. photoreceptor exhibiting high and stable photosensitivity on repetitive use contains an arom. azo pigment having 1-4 org. groups represented by the formula I (B = H, halogen, nitro,

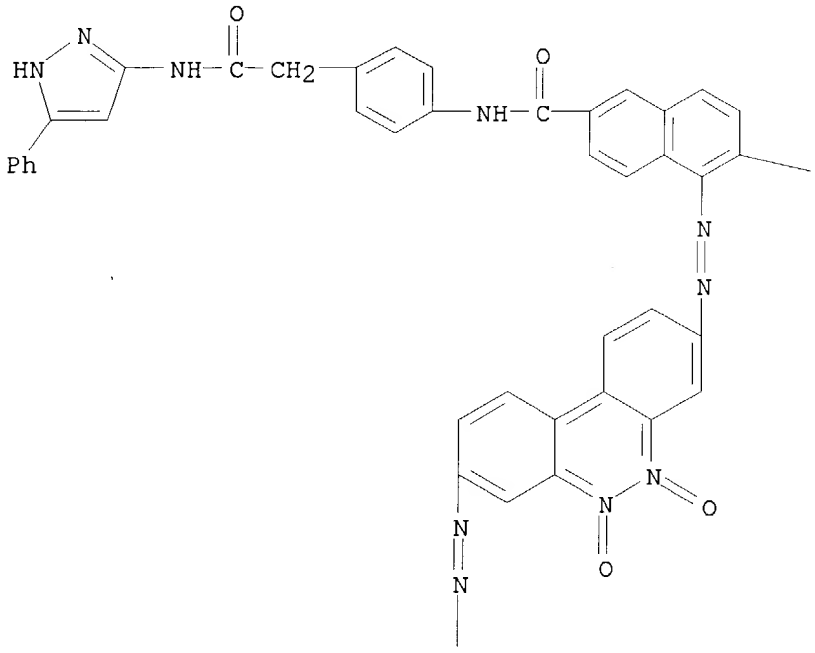
cyano, alkyl, alkoxy, or amino; Z1, Z2 = O or S; k = 0 or 1; R1, R2 = H, alkyl, aralkyl, aryl, or heterocyclyl with the proviso that R1 and R2 together with the N atom may form a cyclic group; l = 1 or 2; D = alkylene, alkenylene, or (CONH)m where m = 0 or 1).

IT **240481-61-2**
RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)
(electrophotog. photoreceptors with photosensitive layers contg.)

RN 240481-61-2 CAPLUS

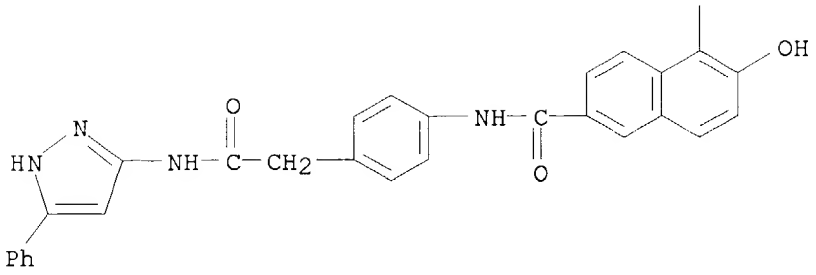
CN 2-Naphthalenecarboxamide, 5,5'-[(5,6-dioxidobenzo[c]cinnoline-3,8-diyl)bis(azo)]bis[6-hydroxy-N-[4-[2-oxo-2-[(5-phenyl-1H-pyrazol-3-yl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

OH

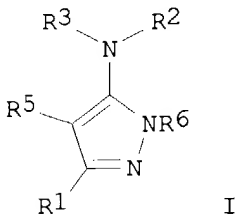


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:417366 CAPLUS
DOCUMENT NUMBER: 131:58819
TITLE: Preparation of pyrazoles useful as inhibitors of protein kinases
INVENTOR(S): Giese, Neill A.; Lokker, Nathalie; Laibelman, Alan M.; Scarborough, Robert M.
PATENT ASSIGNEE(S): COR Therapeutics, Inc., USA
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 337,630, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5916908	A	19990629	US 1995-556178	19951109
CA 2203517	AA	19960523	CA 1995-2203517	19951109
CN 1165482	A	19971119	CN 1995-196127	19951109
IN 183776	A	20000408	IN 1995-DE2057	19951110
TW 474810	B	20020201	TW 1995-84111931	19951110

PRIORITY APPLN. INFO.: US 1994-337630 B2 19941110
OTHER SOURCE(S): MARPAT 131:58819
GI

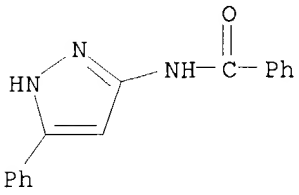


I

AB The title compds. I (R1 = lower alkyl, lower hydrocarbyl, arylalkyl, etc.; R2 = lower alkyl, lower hydrocarbyl, arylalkyl, heteroarylalkyl, etc.; R3 = H, lower alkyl; R5 = H, lower alkyl, lower hydrocarbyl, halo, cyano, etc.; R6 = H, lower hydrocarboyl), inhibitors of protein kinases, were prepd. E.g., 3-benzoylamino-5-phenylpyrazole was prepd.
IT **97620-17-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrazoles as inhibitors of protein kinases)

RN 97620-17-2 CAPLUS
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



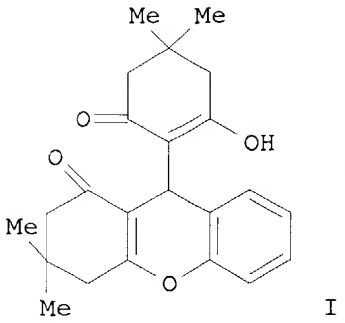
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:375437 CAPLUS
DOCUMENT NUMBER: 131:27961
TITLE: Hypolipemic agents
INVENTOR(S): Fukami, Takehiro; Fukuroda, Takahiro; Kanatani, Akio; Ihara, Masaki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9927965	A1	19990610	WO 1998-JP5358	19981127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9912621	A1	19990616	AU 1999-12621	19981127

PRIORITY APPLN. INFO.: JP 1997-344357 19971128
JP 1998-169216 19980602
WO 1998-JP5358 19981127

GI



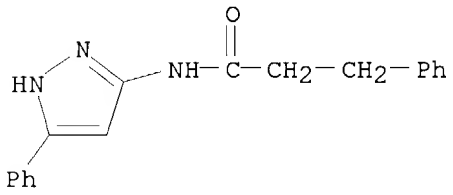
I

AB Remedies for hypercholesterolemia, hyperlipemia and arteriosclerosis contg. as the active ingredient neuropeptide Y Y5 receptor antagonists typified by, for example, a compd. represented by formula [I]. Formulation examples of I were given.

IT **209727-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(neuropeptide Y Y5 receptor antagonists as hypolipemic and antiatherosclerotic agents)

RN 209727-30-0 CAPLUS

CN Benzenepropanamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:126025 CAPLUS

DOCUMENT NUMBER: 130:311726

TITLE: Acyl derivatives of 3-(p-aminophenyl)-5-aminopyrazole and its N(1)-substituted derivatives

AUTHOR(S): Nam, N. L.; Grandberg, I. I.; Sorokin, V. I.

CORPORATE SOURCE: Timiryazevsk. Sel'skokhoz. Akad., Russia

SOURCE: Izvestiya Timiryazevskoi Sel'skokhozyaistvennoi Akademii (1998), (3), 201-211
CODEN: ITSAA7; ISSN: 0021-342X

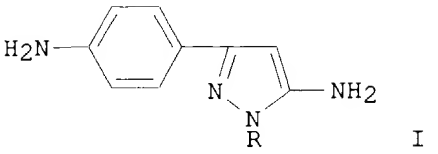
PUBLISHER: Izdatel'stvo MSKhA

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 130:311726

GI



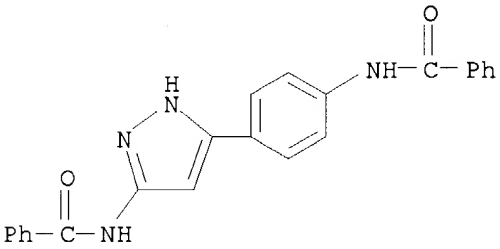
I

AB Title compds. such as I (R = H, Me, Ph, o-tolyl, p-tolyl) were acylated on both primary amino groups.

IT **223518-42-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 223518-42-1 CAPLUS

CN Benzamide, N-[5-[4-(benzoylamino)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:424228 CAPLUS

DOCUMENT NUMBER: 129:95488

TITLE: Preparation of aminopyrazole derivatives for the treatment of bulimia, obesity, and diabetes

INVENTOR(S): Fukami, Takehiro; Fukuroda, Takahiro; Kanatani, Akio; Ihara, Masaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan; Fukami, Takehiro; Fukuroda, Takahiro; Kanatani, Akio; Ihara, Masaki

SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

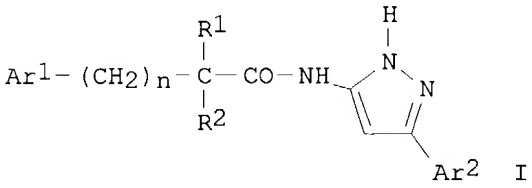
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827063	A1	19980625	WO 1997-JP4569	19971212
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9877381	A1	19980715	AU 1998-77381	19971212
EP 945440	A1	19990929	EP 1997-949109	19971212

R: DE, FR, GB, IT
US 6180653 B1 20010130 US 1999-319912 19990728
PRIORITY APPLN. INFO.: JP 1996-353233 A 19961216
WO 1997-JP4569 W 19971212
OTHER SOURCE(S): MARPAT 129:95488
GI

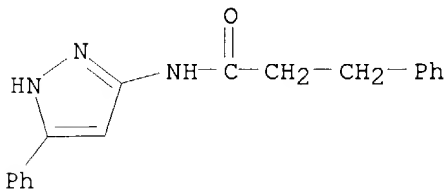


I

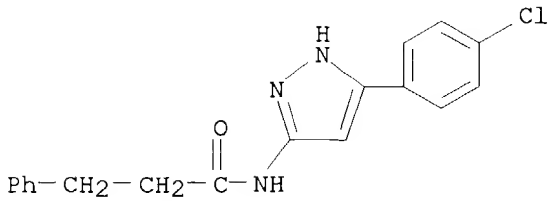
AB The title compds. I [Ar1 represents an aryl group or a heteroarom. group which may be substituted with a group selected among halogen atoms and lower alkyl, lower alkenyl, halogenated lower alkyl, lower alkoxy, lower alkylthio, lower alkylamino, di(lower alkyl)amino, acyl, and aryl groups; Ar2 represents an aryl group or heteroarom. group which may be substituted with a group selected among halogen atoms and lower alkyl, lower alkenyl, halogenated lower alkyl, lower alkoxy, lower alkylthio, lower alkylamino; di(lower alkyl)amino, and aryl groups; n is 0, 1, or 2; and R1 and R2, which may be the same or different, represent each a hydrogen atom or a lower alkyl group] are prepd. In an in vitro test for neuropeptide Y receptor antagonism, 5-(3,4-dimethoxyphenyl)-3-(2-naphthylacetyl)aminopyrazole showed IC50 of 8.3 nM.

IT **209727-30-0P 209727-31-1P 209727-32-2P**
209727-34-4P 209727-35-5P 209727-37-7P
209727-42-4P 209727-44-6P 209727-46-8P
209727-51-5P 209727-52-6P 209727-54-8P
209727-56-0P 209727-58-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrazole derivs. for treatment of bulimia, obesity, and diabetes)

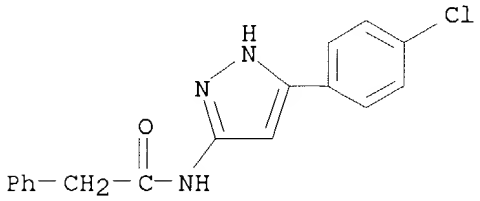
RN 209727-30-0 CAPLUS
CN Benzenepropanamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



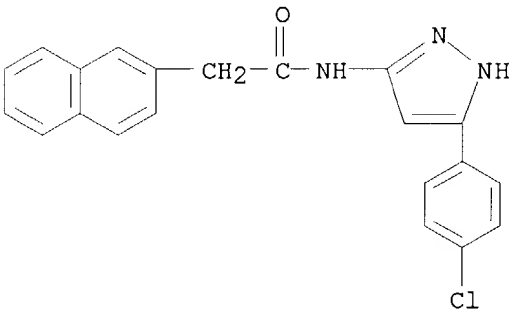
RN 209727-31-1 CAPLUS
CN Benzenepropanamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



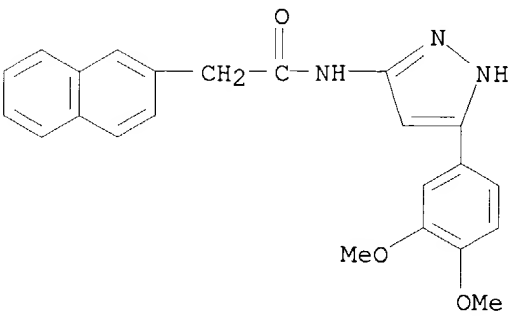
RN 209727-32-2 CAPLUS
CN Benzeneacetamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



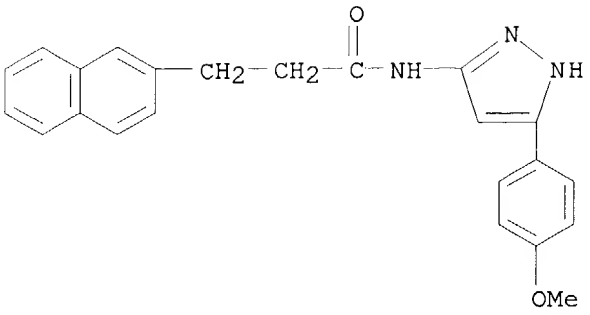
RN 209727-34-4 CAPLUS
CN 2-Naphthaleneacetamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 209727-35-5 CAPLUS
CN 2-Naphthaleneacetamide, N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 209727-37-7 CAPLUS



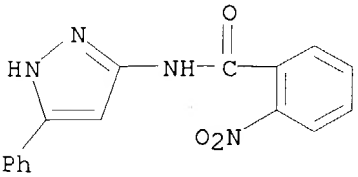
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:396970 CAPLUS
DOCUMENT NUMBER: 129:136127
TITLE: Antimicrobial and antineoplastic activities of new 4-diazopyrazole derivatives
AUTHOR(S): Daidone, Giuseppe; Maggio, Benedetta; Plescia, Salvatore; Raffa, Demetrio; Musiu, Chiara; Milia, Carlo; Perra, Graziella; Marongiu, Maria Elena
CORPORATE SOURCE: Dipartimento di Chimica e Tecnologie Farmaceutiche, Universita degli Studi di Palermo, Palermo, 90123, Italy
SOURCE: European Journal of Medicinal Chemistry (1998), 33(5), 375-382
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

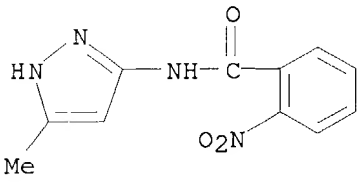
AB Several new 4-diazopyrazole derivs. were prepd. by the reaction of 3-methyl-5-(substituted benzamido)pyrazoles with an excess of nitrous acid in acetic acid soln. The compds. were tested for antiretroviral activity in HIV-1 infected MT-4 cells and antiproliferative effects against a panel of human leukemia, lymphoma and solid tumor cell lines. They were also tested for activity against representative gram-neg. (Shigella, Salmonella) and gram-pos. (S. aureus, D group Streptococcus) bacteria as well as fungi (C. albicans, C. paratropicalis, C. neoformans and A. fumigatus). Compds. were devoid of anti-HIV-1 and antimicotic activities, whereas they were active against tumor cell lines, with inhibitory activity (IC50) in the range 2.4-20 .mu.M, and bacteria. The highest microbial susceptibility was shown by gram-pos. bacteria, with min. inhibitory concns. in the range 0.8-12.5 .mu.M.

IT **55439-99-1 103060-68-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(antimicrobial and antineoplastic activities of 4-diazopyrazoles)

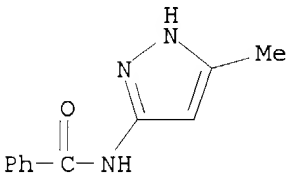
RN 55439-99-1 CAPLUS
CN Benzamide, 2-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



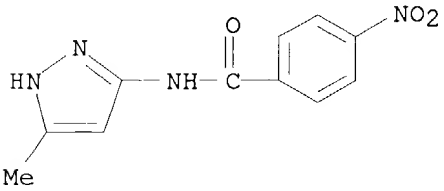
RN 103060-68-0 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-2-nitro- (9CI) (CA INDEX NAME)



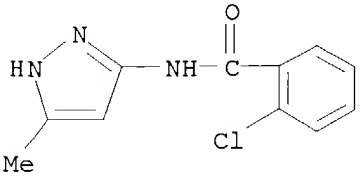
IT **52566-42-4P 180691-50-3P 210558-37-5P**
210558-38-6P 210558-39-7P 210558-40-0P
210558-41-1P 210558-42-2P 210558-43-3P
210558-44-4P 210558-46-6P 210558-47-7P
210558-48-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antimicrobial and antineoplastic activities of 4-diazopyrazoles)
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



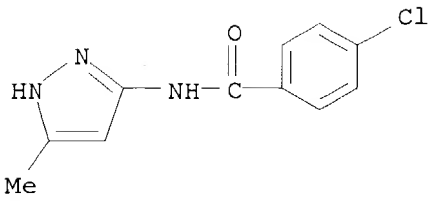
RN 180691-50-3 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-nitro- (9CI) (CA INDEX NAME)



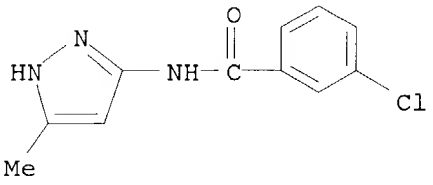
RN 210558-37-5 CAPLUS
CN Benzamide, 2-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



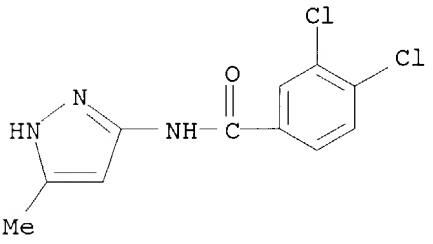
RN 210558-38-6 CAPLUS
CN Benzamide, 4-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



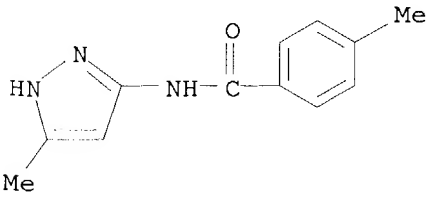
RN 210558-39-7 CAPLUS
CN Benzamide, 3-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



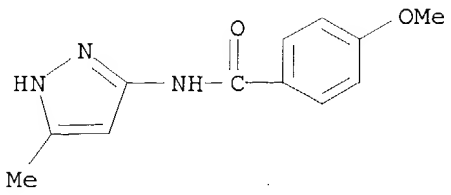
RN 210558-40-0 CAPLUS
CN Benzamide, 3,4-dichloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



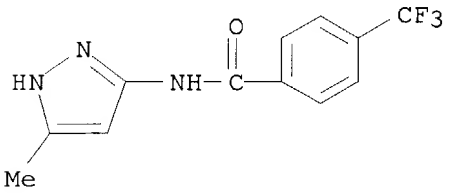
RN 210558-41-1 CAPLUS
CN Benzamide, 4-methyl-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



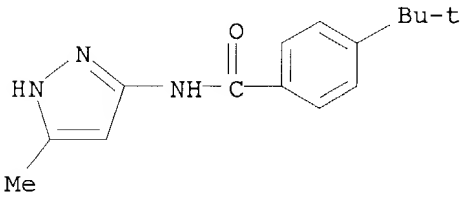
RN 210558-42-2 CAPLUS
CN Benzamide, 4-methoxy-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



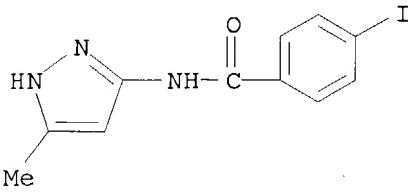
RN 210558-43-3 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



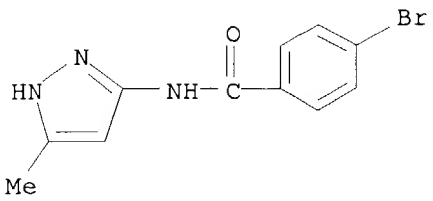
RN 210558-44-4 CAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-46-6 CAPLUS
CN Benzamide, 4-iodo-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-47-7 CAPLUS
CN Benzamide, 4-bromo-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-48-8 CAPLUS
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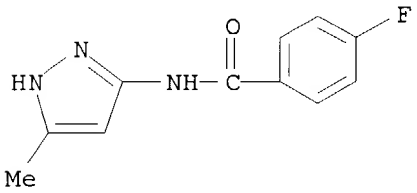

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:751970 CAPLUS
DOCUMENT NUMBER: 128:34711
TITLE: Preparation of N-(1H-pyrazol-3-yl)arylamides and 1H-pyrazol-3-amines from polylithiated C(.alpha.),N-thiosemicarbazones and C(.alpha.),N-semicarbazones
AUTHOR(S): Beam, Charles F.; Davis, Sharon E.; Cordray, Tracy L.; Chan, Kam W.; Kassis, Camille M.; Davis, Joanna G. Freeman; Latham, G. Mark; Guion, Tina S.; Hildebran, Karen C.; Church, A. Cameron; Koller, Madlene U.; Metz, Clyde R.; Pennington, William T.; Schey, Kevin L.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, College of Charleston, Charleston, SC, 29424, USA
SOURCE: Journal of Heterocyclic Chemistry (1997), 34(5), 1549-1554
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
AB C(.alpha.),N-thiosemicarbazones or C(.alpha.),N-semicarbazones were polylithiated with excess lithium diisopropylamide, and the resulting cyclized intermediates were condensed with arom. esters to afford N-(1H-pyrazol-3-yl)arylamides. The polylithiated intermediates were also quenched with aq. acid to give 5-substituted 1H-pyrazol-3-amines.
IT **97620-17-2P 199733-73-8P 199733-75-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 97620-17-2 CAPLUS
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 1998:396970 CAPLUS
DOCUMENT NUMBER: 129:136127
TITLE: Antimicrobial and antineoplastic activities of new 4-diazopyrazole derivatives
AUTHOR(S): Daidone, Giuseppe; Maggio, Benedetta; Plescia, Salvatore; Raffa, Demetrio; Musiu, Chiara; Milia, Carlo; Perra, Graziella; Marongiu, Maria Elena
CORPORATE SOURCE: Dipartimento di Chimica e Tecnologie Farmaceutiche, Universita degli Studi di Palermo, Palermo, 90123, Italy
SOURCE: European Journal of Medicinal Chemistry (1998), 33(5), 375-382
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several new 4-diazopyrazole derivs. were prepd. by the reaction of 3-methyl-5-(substituted benzamido)pyrazoles with an excess of nitrous acid in acetic acid soln. The compds. were tested for antiretroviral activity in HIV-1 infected MT-4 cells and antiproliferative effects against a panel of human leukemia, lymphoma and solid tumor cell lines. They were also tested for activity against representative gram-neg. (Shigella, Salmonella) and gram-pos. (S. aureus, D group Streptococcus) bacteria as well as fungi (C. albicans, C. paratropicalis, C. neoformans and A. fumigatus). Compds. were devoid of anti-HIV-1 and antimicotic activities, whereas they were active against tumor cell lines, with inhibitory activity (IC50) in the range 2.4-20 .mu.M, and bacteria. The highest microbial susceptibility was shown by gram-pos. bacteria, with min. inhibitory concns. in the range 0.8-12.5 .mu.M.

IT **55439-99-1 103060-68-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(antimicrobial and antineoplastic activities of 4-diazopyrazoles)

RN 55439-99-1 CAPLUS
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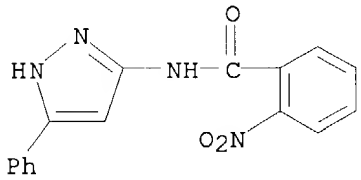
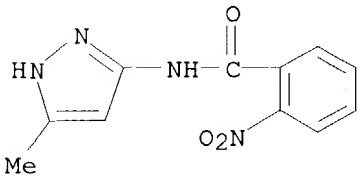


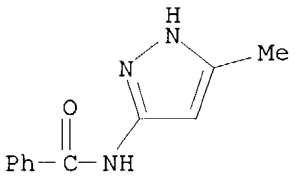
RN 103060-68-0 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-2-nitro- (9CI) (CA INDEX NAME)



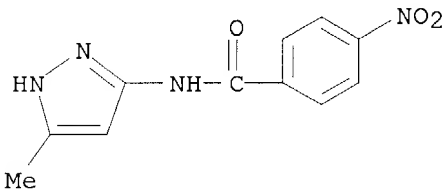
IT **52566-42-4P 180691-50-3P 210558-37-5P**
210558-38-6P 210558-39-7P 210558-40-0P

210558-41-1P 210558-42-2P 210558-43-3P
210558-44-4P 210558-46-6P 210558-47-7P
210558-48-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antimicrobial and antineoplastic activities of 4-diazopyrazoles)

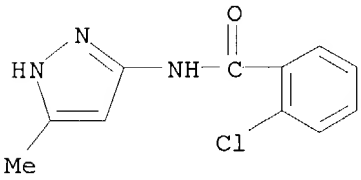
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



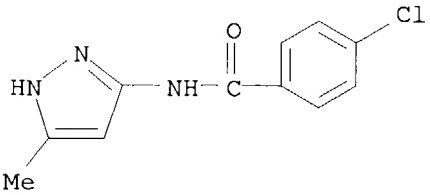
RN 180691-50-3 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-nitro- (9CI) (CA INDEX NAME)



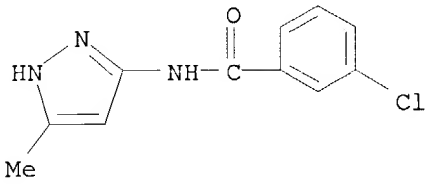
RN 210558-37-5 CAPLUS
CN Benzamide, 2-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



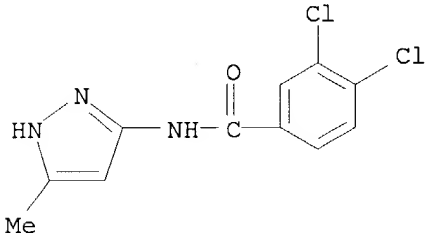
RN 210558-38-6 CAPLUS
CN Benzamide, 4-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



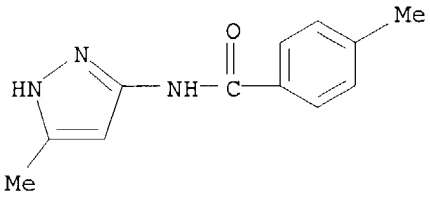
RN 210558-39-7 CAPLUS
CN Benzamide, 3-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



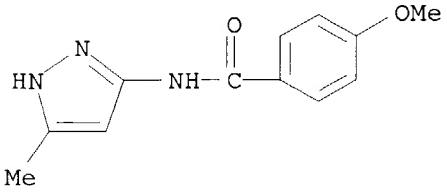
RN 210558-40-0 CAPLUS
CN Benzamide, 3,4-dichloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



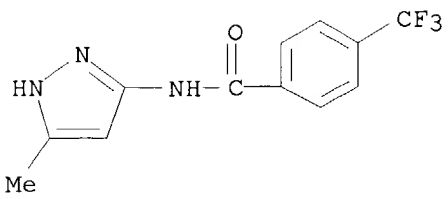
RN 210558-41-1 CAPLUS
CN Benzamide, 4-methyl-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



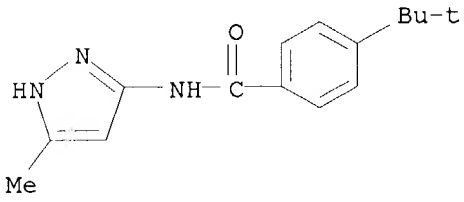
RN 210558-42-2 CAPLUS
CN Benzamide, 4-methoxy-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



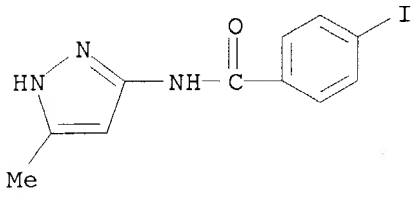
RN 210558-43-3 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



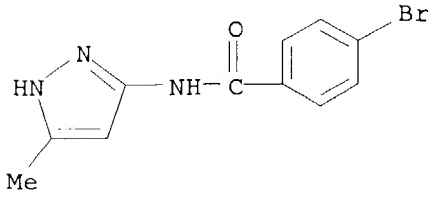
RN 210558-44-4 CAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



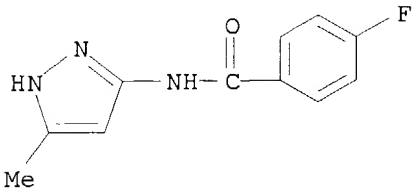
RN 210558-46-6 CAPLUS
CN Benzamide, 4-iodo-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-47-7 CAPLUS
CN Benzamide, 4-bromo-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-48-8 CAPLUS
CN Benzamide, 4-fluoro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

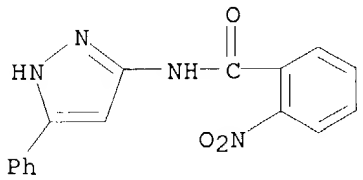


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

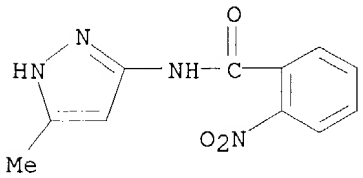
ACCESSION NUMBER: 1998:396970 CAPLUS
DOCUMENT NUMBER: 129:136127
TITLE: Antimicrobial and antineoplastic activities of new 4-diazopyrazole derivatives
AUTHOR(S): Daidone, Giuseppe; Maggio, Benedetta; Plescia, Salvatore; Raffa, Demetrio; Musiu, Chiara; Milia, Carlo; Perra, Graziella; Marongiu, Maria Elena
CORPORATE SOURCE: Dipartimento di Chimica e Tecnologie Farmaceutiche, Universita degli Studi di Palermo, Palermo, 90123, Italy
SOURCE: European Journal of Medicinal Chemistry (1998), 33(5), 375-382
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several new 4-diazopyrazole derivs. were prepd. by the reaction of 3-methyl-5-(substituted benzamido)pyrazoles with an excess of nitrous acid in acetic acid soln. The compds. were tested for antiretroviral activity in HIV-1 infected MT-4 cells and antiproliferative effects against a panel of human leukemia, lymphoma and solid tumor cell lines. They were also tested for activity against representative gram-neg. (Shigella, Salmonella) and gram-pos. (S. aureus, D group Streptococcus) bacteria as well as fungi (C. albicans, C. paratropicalis, C. neoformans and A. fumigatus). Compds. were devoid of anti-HIV-1 and antimicotic activities, whereas they were active against tumor cell lines, with inhibitory activity (IC50) in the range 2.4-20 .mu.M, and bacteria. The highest microbial susceptibility was shown by gram-pos. bacteria, with min. inhibitory concns. in the range 0.8-12.5 .mu.M.

IT **55439-99-1 103060-68-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(antimicrobial and antineoplastic activities of 4-diazopyrazoles)

RN 55439-99-1 CAPLUS
CN Benzamide, 2-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



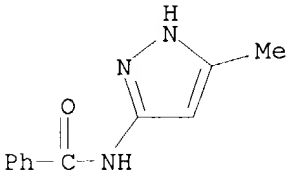
RN 103060-68-0 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-2-nitro- (9CI) (CA INDEX NAME)



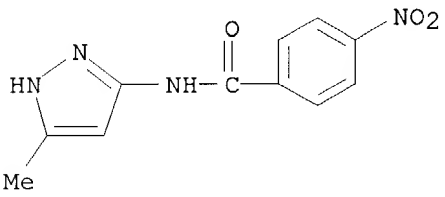
IT **52566-42-4P 180691-50-3P 210558-37-5P 210558-38-6P 210558-39-7P 210558-40-0P**

210558-41-1P 210558-42-2P 210558-43-3P
210558-44-4P 210558-46-6P 210558-47-7P
210558-48-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antimicrobial and antineoplastic activities of 4-diazopyrazoles)

RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 180691-50-3 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-nitro- (9CI) (CA INDEX NAME)



RN 210558-37-5 CAPLUS
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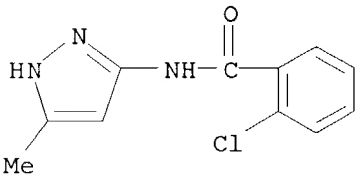
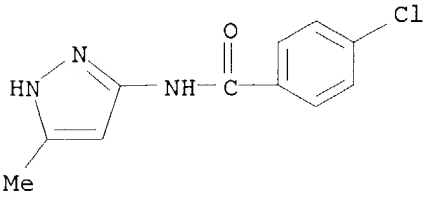
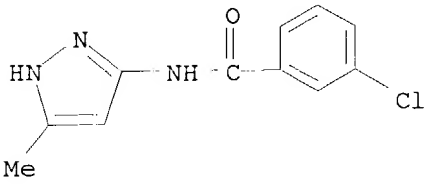


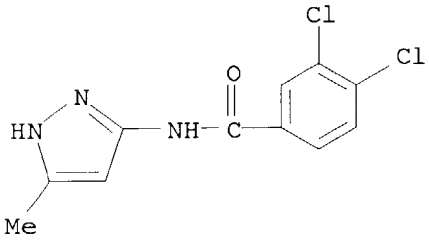
RN 210558-38-6 CAPLUS
CN Benzamide, 4-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



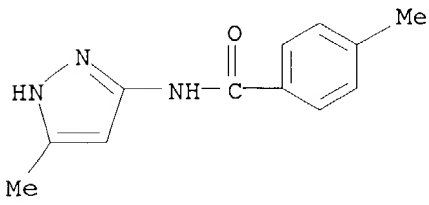
RN 210558-39-7 CAPLUS
CN Benzamide, 3-chloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-40-0 CAPLUS
CN Benzamide, 3,4-dichloro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 210558-41-1 CAPLUS
CN Benzamide, 4-methyl-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



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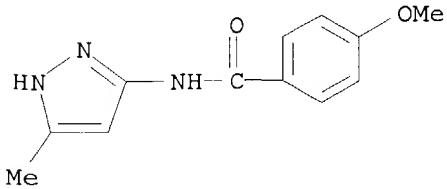
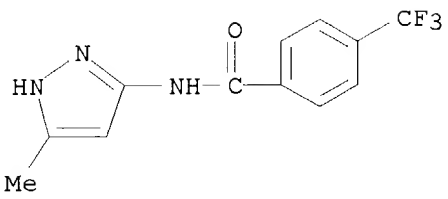


RN 210558-43-3 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 210558-44-4 CAPLUS
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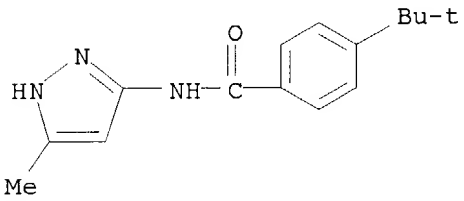
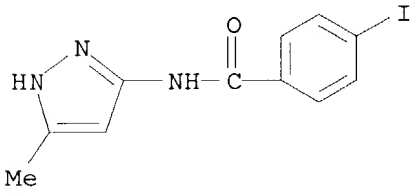
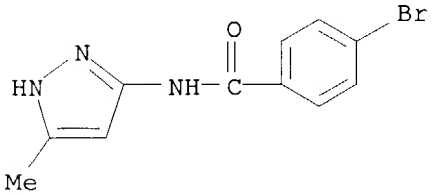


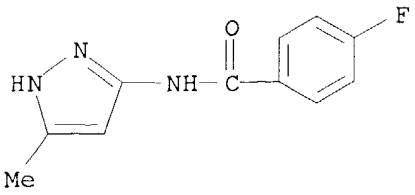
RN 210558-46-6 CAPLUS
CN Benzamide, 4-iodo-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



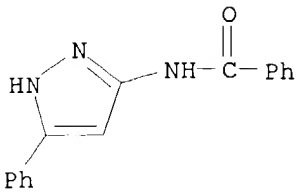
RN 210558-47-7 CAPLUS
CN Benzamide, 4-bromo-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



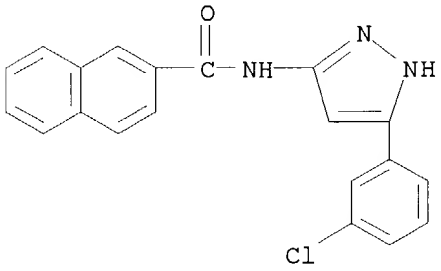
RN 210558-48-8 CAPLUS
CN Benzamide, 4-fluoro-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



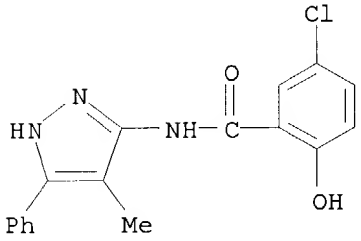
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



RN 199733-73-8 CAPLUS
CN 2-Naphthalenecarboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 199733-75-0 CAPLUS
CN Benzamide, 5-chloro-2-hydroxy-N-(4-methyl-5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:746034 CAPLUS
DOCUMENT NUMBER: 128:22906
TITLE: Preparation of diaminopyrazoles as keratin fiber dyes
INVENTOR(S): Malle, Gerard; Vidal, Laurent; Burande, Agnes; Maubru, Mireille
PATENT ASSIGNEE(S): L'Oreal, Fr.; Malle, Gerard; Vidal, Laurent; Burande, Agnes; Maubru, Mireille
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

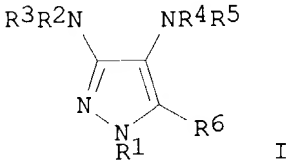
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9742173	A1	19971113	WO 1997-FR750	19970425
W: AU, BR, CA, CN, JP, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2748274	A1	19971107	FR 1996-5579	19960503
FR 2748274	B1	19980612		
AU 9727791	A1	19971126	AU 1997-27791	19970425
EP 900206	A1	19990310	EP 1997-921892	19970425
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
BR 9709888	A	19990810	BR 1997-9888	19970425
JP 2000505087	T2	20000425	JP 1997-539576	19970425
JP 3280987	B2	20020513		
US 6118008	A	20000912	US 1999-180183	19990507

PRIORITY APPLN. INFO.: FR 1996-5579 A 19960503
WO 1997-FR750 W 19970425

OTHER SOURCE(S): CASREACT 128:22906; MARPAT 128:22906

GI



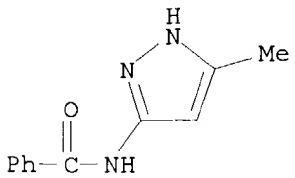
I

AB The title compds. I [R1 - R5 = H, alkyl, Ph, etc.; R6 = alkyl, hydroxyalkyl, etc.; a proviso is given] are prepd. Reaction of 3-amino-5-methyl-1H-pyrazole with acetic anhydride, followed by nitration, catalytic hydrogenation, and hydrolysis in 6N HCl, gave 3,4-diamino-5-methyl-1H-pyrazole dihydrochloride. Hairs dyed with a compn. contg. 3,4-diamino-1,5-dimethylpyrazole dihydrochloride showed an iridescent beige color.

IT **52566-42-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diaminopyrazoles as keratin fiber dyes)

RN 52566-42-4 CAPLUS

CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

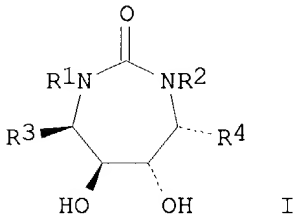
ACCESSION NUMBER:	1997:735797 CAPLUS
DOCUMENT NUMBER:	128:22928
TITLE:	Preparation of cyclic urea HIV protease inhibitors
INVENTOR(S):	Jadhav, Prabhakar Kondaji; Ko, Soo Sung
PATENT ASSIGNEE(S):	Dupont Merck Pharmaceutical Co., USA
SOURCE:	U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 406,240, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5683999	A	19971104	US 1996-613554	19960311
CA 2215536	AA	19960926	CA 1996-2215536	19960313
WO 9629329	A1	19960926	WO 1996-US3426	19960313
W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9653100	A1	19961008	AU 1996-53100	19960313
EP 815108	A1	19980107	EP 1996-909680	19960313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
ZA 9602133	A	19970915	ZA 1996-2133	19960315

PRIORITY APPLN. INFO.: US 1995-406240 B2 19950317
US 1996-613554 A 19960311
WO 1996-US3426 W 19960313

OTHER SOURCE(S): MARPAT 128:22928
GI



I

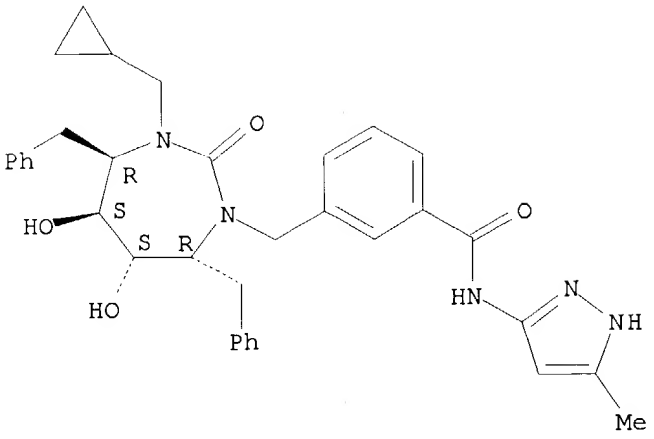
AB Cyclic ureas I [R1 = CH2XYZ; X = alkyl, aryl, cycloalkyl, etc.; Y = (CH2)nO, (CH2)nS, (CH2)nC(:NH)NH, etc.; n = 0-2; Z = 2-, 3-, or 4-pyridyl, 2-pyrazinyl, etc.; R2 = R1, CH2XY1Z1, H, etc. Y1 = (CH2)nO(CH2)m, (CH2)nS(CH2)m, etc.; Z1 = H, alkyl, alkenyl, aryl, etc.; R3, R4 = benzyl, 2-pyrrolylmethyl, Et, iso-Bu, hexyl, etc.] useful as inhibitors of HIV protease (no data), were prepd. The present invention also relates to pharmaceutical compns. comprising such compds. and to method of using these compds. for the treatment HIV infection. The present invention also relates to the use of such compds. in processes for the identification of HIV protease inhibitors and for the inhibition or detection of HIV in a bodily fluid sample (no data).

IT **183854-37-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic urea HIV protease inhibitors)

RN 183854-37-7 CAPLUS

CN Benzamide, 3-[[3-(cyclopropylmethyl)hexahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepin-1-yl]methyl]-N-(5-methyl-1H-pyrazol-3-yl)-, [4R-(4.alpha.,5.alpha.,6.beta.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 44 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:699220 CAPLUS
DOCUMENT NUMBER: 127:358859
TITLE: Preparation of N-pyrazolylamidoximes as intermediates for photographic couplers, pharmaceuticals, and dyes
INVENTOR(S): Sato, Tadahisa
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09278758	A2	19971028	JP 1996-92483	19960415

PRIORITY APPLN. INFO.: JP 1996-92483 19960415
OTHER SOURCE(S): CASREACT 127:358859; MARPAT 127:358859
GI

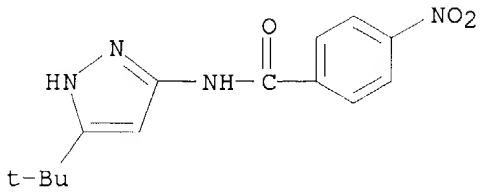
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB N-pyrazolylamidoximes I (R1, R2 = H, halo, alkyl, aryl, alkoxy, aryloxy, arylthio, disubstituted amino, alkoxycarbonyl, cyano; R3 = H, alkyl, aryl, arom. heterocycle) are prepd. from N-pyrazolylimidoyl halides II (R1, R2, R3 = same as I; X = halo), which are prepd. from N-pyrazolylamide III (R1, R2, R3 = same as I). 5-Amino-3-tert-butyl-1H-pyrazole was treated with Me3CCOCl in MeCN in the presence of pyridine under reflux for 4 h to give 72.5% III (R1, R3 = t-Bu, R2 = H), which was halogenated with CCl4 in MeCN in the presence of Ph3P, iminated with NH2OH in MeOH in the presence of MeONa under reflux for 2 h to give 16.3% I (R1, R3 = t-Bu, R2 = H).

IT **198628-44-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazolylamidoximes by halogenation of pyrazolylamides and imination of pyrazolylimidoyl halides)

RN 198628-44-3 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-4-nitro- (9CI) (CA

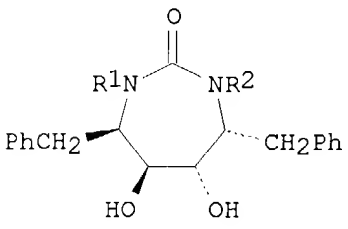
INDEX NAME)



L4 ANSWER 45 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:751515 CAPLUS
DOCUMENT NUMBER: 126:18896
TITLE: preparation of cyclic urea derivatives as HIV protease inhibitors
INVENTOR(S): Jadhav, Prabhakar Kondaji
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629329	A1	19960926	WO 1996-US3426	19960313
W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5683999	A	19971104	US 1996-613554	19960311
AU 9653100	A1	19961008	AU 1996-53100	19960313
EP 815108	A1	19980107	EP 1996-909680	19960313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				

PRIORITY APPLN. INFO.: US 1995-406240 A 19950317
US 1996-613554 A 19960311
WO 1996-US3426 W 19960313
OTHER SOURCE(S): MARPAT 126:18896
GI



I

AB The title compds. [I; R1 = heterocyclylmethyl; R2 = H, R1], useful as HIV protease inhibitors and thus effective in treating HIV infections, are prepd. and formulated. I are effective at 1.0-20 mg/kg-day p.o. Capsule, injectable, etc. formulations were given.

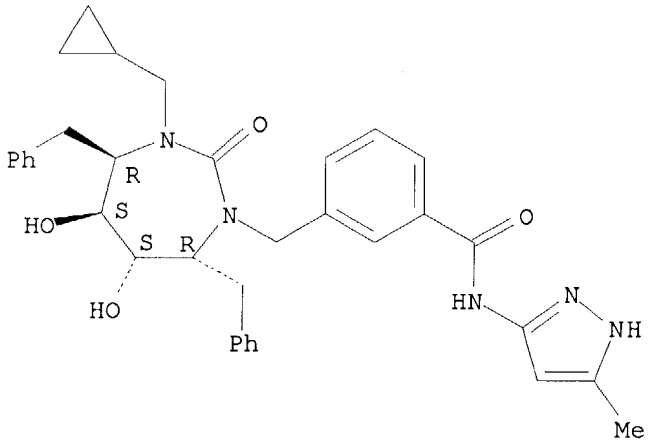
IT **183854-37-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic urea derivs. as HIV protease inhibitors)

RN 183854-37-7 CAPLUS

CN Benzamide, 3-[[3-(cyclopropylmethyl)hexahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepin-1-yl]methyl]-N-(5-methyl-1H-pyrazol-3-yl)-, [4R-(4.alpha.,5.alpha.,6.beta.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 46 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:650955 CAPLUS

DOCUMENT NUMBER: 125:300847

TITLE: Synthesis of pyrazole, pyrimidine and their fused derivatives

AUTHOR(S): Assy, M. G.; El-Farargy, A. F.

CORPORATE SOURCE: Faculty Science, Zagazig University, Zagazig, Egypt

SOURCE: Egyptian Journal of Chemistry (1996), 39(3), 281-285
CODEN: EGJCA3; ISSN: 0367-0422

PUBLISHER: National Information and Documentation Centre

DOCUMENT TYPE: Journal

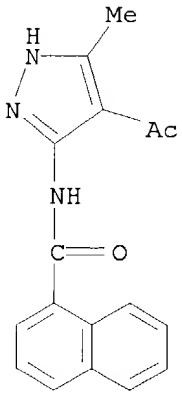
LANGUAGE: English

AB In this abstr., R = 1-naphthyl. Naphthoyl isothiocyanate (RCONCS, I) was reacted with Et cyanoacetate to yield RCONHCSCH(CN)CO2Et (II). Reaction of II with hydrazine hydrate afforded a pyrazolopyrazole. Condensation of II with guanidine carbonate yielded a pyrimidopyrimidine. Reaction of II with aniline afforded a pyrimidine deriv. Reaction of I with acetylacetone gave RCONHCSCH(COMe)2 (III). Hydrazinolysis of III using hydrazine hydrate gave a pyrazole deriv. Condensation of I with Et .beta.-aminocrotonate yielded a naphthylpyrimidine deriv.

IT **183118-80-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrazole and pyrimidine derivs.)

RN 183118-80-1 CAPLUS

CN 1-Naphthalenecarboxamide, N-(4-acetyl-5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

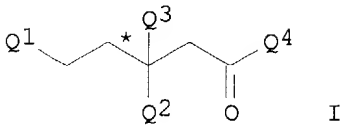


L4 ANSWER 47 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:609954 CAPLUS
DOCUMENT NUMBER: 125:247623
TITLE: Preparation of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-derivative tachykinin receptor antagonists
INVENTOR(S): Bernstein, Peter Robert; Dembofsky, Bruce Thomas; Jacobs, Robert Toms
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9624582	A1	19960815	WO 1996-GB259	19960208
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
CA 2209832	AA	19960815	CA 1996-2209832	19960208
AU 9646297	A1	19960827	AU 1996-46297	19960208
AU 714289	B2	19991223		
EP 808303	A1	19971126	EP 1996-901904	19960208
EP 808303	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1181069	A	19980506	CN 1996-193228	19960208
JP 10513191	T2	19981215	JP 1996-524072	19960208
AT 202342	E	20010715	AT 1996-901904	19960208
ES 2159717	T3	20011016	ES 1996-901904	19960208
PT 808303	T	20011130	PT 1996-901904	19960208
ZA 9601069	A	19960812	ZA 1996-1069	19960209
FI 9703283	A	19971007	FI 1997-3283	19970808
NO 9703652	A	19971008	NO 1997-3652	19970808
GR 3036639	T3	20011231	GR 2001-401497	20010918

PRIORITY APPLN. INFO.: GB 1995-2644 A 19950210
WO 1996-GB259 W 19960208

OTHER SOURCE(S): MARPAT 125:247623
GI



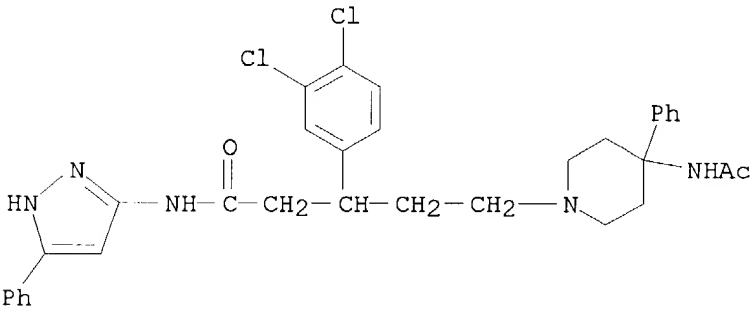
I

AB The title compds. (I; Q1-Q4 have the meanings given in the claims; * = an optionally asym. center) [e.g., N-benzyl-5-(4-hydroxy-4-phenylpiperidino)-3-(3,4-dichlorophenyl)pentamide; m.p. 64-67.degree.] are nonpeptide antagonists of substance P and NKA (e.g., neurokinin NK1 and NK2 receptors), useful for the treatment of asthma (no data), etc. (no data), are prepd.

IT **181879-52-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-deriv. tachykinin receptor antagonists)

RN 181879-52-7 CAPLUS

CN 1-Piperidinepentanamide, 4-(acetylamino)-.beta.-(3,4-dichlorophenyl)-4-phenyl-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

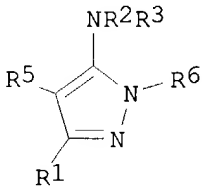


L4 ANSWER 48 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:462439 CAPLUS
DOCUMENT NUMBER: 125:105089
TITLE: Pharmaceutical pyrazole compositions useful as inhibitors of protein kinases
INVENTOR(S): Giese, Neill A.; Lokker, Nathalie; Laibelman, Alan M.; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9614843	A2	19960523	WO 1995-US14723	19951109
WO 9614843	A3	19960523		

W: AU, CA, CN, JP, KR, MX, SG

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
CA 2203517 AA 19960523 CA 1995-2203517 19951109
AU 9641561 A1 19960606 AU 1996-41561 19951109
AU 700964 B2 19990114
EP 788358 A2 19970813 EP 1995-939917 19951109
EP 788358 B1 20040331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
CN 1165482 A 19971119 CN 1995-196127 19951109
JP 10509708 T2 19980922 JP 1995-516253 19951109
IN 183776 A 20000408 IN 1995-DE2057 19951110
TW 474810 B 20020201 TW 1995-84111931 19951110
PRIORITY APPLN. INFO.: US 1994-337630 A 19941110
WO 1995-US14723 W 19951109
OTHER SOURCE(S): MARPAT 125:105089
GI



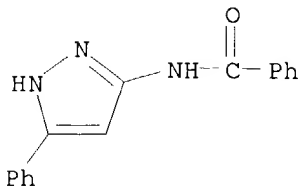
I

AB A method for selectively inhibiting a protein kinase, esp. tyrosine kinases, is disclosed, which comprises contacting a compn. (e.g. a body fluid) contg. a kinase with I (R1 = lower alkyl, lower hydrocarbyl, aryl lower alkyl, heteroaryl lower alkyl, 5- or 6-membered heterocyclic arom., polyarom., polyarom. carbonyl, polyheteroarom., polyheteroarom. carbonyl; R2 = lower alkyl, lower hydrocarbyl, aryl lower alkyl, heteroaryl lower alkyl, 5- or 6-membered heterocyclic arom., lower hydrocarboyl, 5- or 6-membered heterocyclic arom. carbonyl, polyarom., polyheteroarom.; R3 = H, lower alkyl; R5 = H, lower alkyl, lower hydrocarbyl, aryl lower alkyl, heteroaryl lower alkyl, 5- or 6-membered heterocyclic arom., halo, cyano; R6 = H, lower hydrocarboyl). The pyrazole derivs. of the invention are useful for inhibiting processes dependent on kinases, e.g. cell growth. The effect of 3-Benzoylamino-5-phenylpyrazole (II) on receptor tyrosine kinases and on cell proliferation is presented. Prepn. of II and other pyrazole derivs. is described.

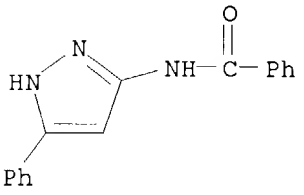
IT **97620-17-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrazole derivs. for protein kinase inhibitors, and pyrazole deriv. prepn.)

RN 97620-17-2 CAPLUS

CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



IT **97620-17-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; pyrazole derivs. for protein kinase inhibitors, and pyrazole deriv. prepn.)
RN 97620-17-2 CAPLUS
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:428453 CAPLUS
DOCUMENT NUMBER: 125:86649
TITLE: Preparation of endothelin antagonists bearing 5-membered heterocyclic amides
INVENTOR(S): Ashton, Wallace T.; Chang, Linda L.; Greenlee, William J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9608486	A1	19960321	WO 1995-US11469	19950911
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5538991	A	19960723	US 1994-306275	19940914
AU 9535095	A1	19960329	AU 1995-35095	19950911

PRIORITY APPLN. INFO.: US 1994-306275 19940914
WO 1995-US11469 19950911
OTHER SOURCE(S): MARPAT 125:86649
GI

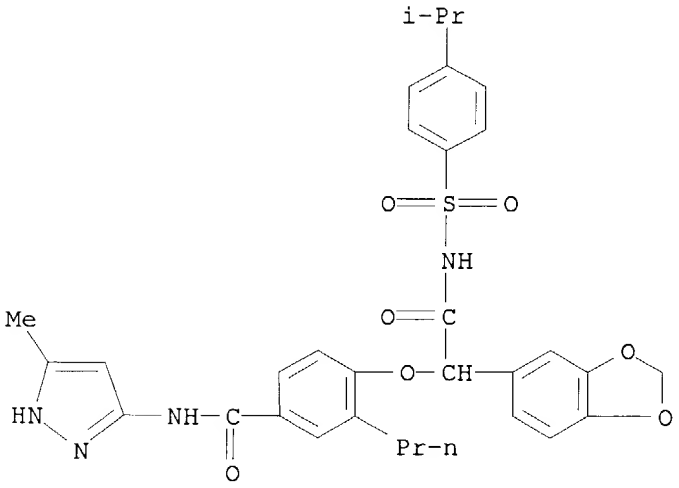
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1-R3b = H, halogen, NO2, (un)substituted NH2, CF3, Ph, etc; R8 = H, (un)substituted alkyl, (un)substituted Ph; R9, R10 = H, (un)substituted alkyl, alkenyl, alkynyl, halogen, alkoxy, Ph, etc; R12 = (un)substituted heterocyclylalkylaminocarbonyl; X = O, S(O)n, (un)substituted NH, CH2O, OCH2, direct bond, etc.; n = 0-2; Z = (un)substituted CO2H, tetrazol-5-ylaminocarbonyl, etc.], which have endothelin antagonist activity (no data) and are useful in treating cardiovascular disorders such as hypertension (no data), postischemic renal failure (no data), vasospasm (no data), cerebral and cardiac ischemia (no data), benign prostatic hyperplasia (no data), inflammatory diseases including Raynaud's disease (no data), and asthma (no data), are prepd. Thus, triazole deriv. II, m.p. 108-110.degree., was prepd.

IT **178620-42-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of endothelin receptor antagonists bearing 5-membered heterocyclic amides)

RN 178620-42-3 CAPLUS

CN 1,3-Benzodioxole-5-acetamide, N-[[4-(1-methylethyl)phenyl]sulfonyl]-.alpha.-[4-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]-2-propylphenoxy]-(9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:408851 CAPLUS

DOCUMENT NUMBER: 125:195490

TITLE: One-step synthesis, crystallographic studies and antimicrobial activity of new diazopyrazole derivatives

AUTHOR(S): Daidone, G.; Bajardi, M. L.; Plescia, S.; Raffa, D.; Schillaci, D.; Maggio, B.; Benetollo, F.; Bombieri, G.

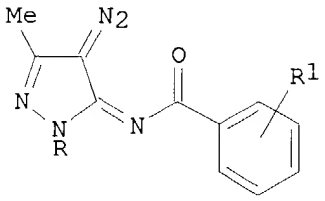
CORPORATE SOURCE: Dip. Chimica Tecnologie Farmaceutiche, Univ. Studi Palermo, Palermo, 90123, Italy

SOURCE: European Journal of Medicinal Chemistry (1996), 31(6), 461-468
CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English
GI



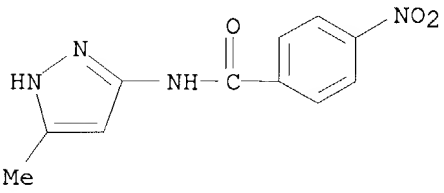
I

AB Substituted N-(4-diazo-1H-pyrazol-5-ylidene)benzamides I (R = Me, Ph; R1 = H, chloro, trifluoromethyl, etc.) were from N-(pyrazolyl)benzamides. The compds. were tested for activity against Escherichia coli, Pseudomonas aeruginosa, Staphylococcus aureus, Staphylococcus epidermidis, Streptococcus faecalis, Listeria monocytogenes, Candida albicans, Candida tropicalis and Paecilomyces varioti. The highest microbial susceptibility was shown by Gram-pos. bacteria, with min. inhibitory concns. (MIC) in the range 0.5-12.5 .mu.g/mL. The 4-nitro group was found to be the best substituent. An X-ray anal. of I (R = Me, R1 = H an dR = phenyl; R1 = H) were reported.

IT **180691-50-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of and antimicrobial activity of N-(diazopyrazolylylidene)benzamides)

RN 180691-50-3 CAPLUS

CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-4-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 51 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:982653 CAPLUS
DOCUMENT NUMBER: 124:176087
TITLE: Preparation of N-(pyrazol-3-yl)benzamides and related compounds as anticonvulsants.
INVENTOR(S): Lepage, Francis; Hublot, Bernard
PATENT ASSIGNEE(S): Novapharme, Fr.
SOURCE: U.S., 12 pp. Cont.-in-part of U.S. 5, 258, 397.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

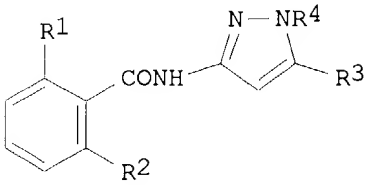
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5464860	A	19951107	US 1993-77194	19930616
FR 2639636	A1	19900601	FR 1988-15718	19881130

FR 2639636	B1	19940304		
FR 2662692	A1	19911206	FR 1990-6735	19900530
FR 2662692	B1	19950428		
US 5258397	A	19931102	US 1991-697607	19910509

PRIORITY APPLN. INFO.:
FR 1988-15718 19881130
FR 1990-6735 19900530
US 1991-697607 19910509
US 1989-443133 19891129

OTHER SOURCE(S): MARPAT 124:176087

GI



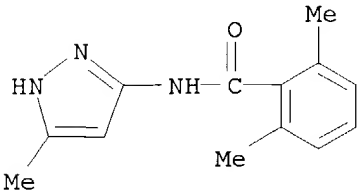
I

AB Title compds. (I; R1, R2 = alkyl; R3 = H, alkyl, alkoxy, hydroxyalkyl; R4 = H, alkyl, alkanoyl, hydroxyalkyl), and related compds., were prepd. Thus, 5-methylpyrazole-3-carboxylic acid was treated with SOCl2 and DMF in PhMe; 2,6-dimethylaniline and addnl. DMF were added to give 3-(2,6-dimethylcarbamoyl)-5-methylpyrazole. Tablet and capsule formulations contg. the latter are given. I inhibited electroshock-induced convulsions in mice with ED50 = 25 to >100 mg/kg i.p.

IT **165333-66-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(pyrazol-3-yl)benzamides and related compds. as anticonvulsants)

RN 165333-66-4 CAPLUS

CN Benzamide, 2,6-dimethyl-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 52 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:856442 CAPLUS
DOCUMENT NUMBER: 123:286296
TITLE: Preparation of phosphonic diester derivatives as antihyperlipidemics and antidiabetics
INVENTOR(S): Shoji, Yasuo; Myata, Kazuyoshi; Kuroki, Yasuhisa; Tsuda, Yoshihiko; Tsutsumi, Kazuhiko; Inoe, Yasuhide
PATENT ASSIGNEE(S): Otsuka Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

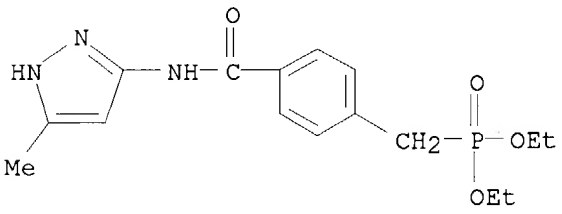
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07188269	A2	19950725	JP 1993-330166	19931227
JP 3156026	B2	20010416		

PRIORITY APPLN. INFO.: JP 1993-330166 19931227
OTHER SOURCE(S): MARPAT 123:286296

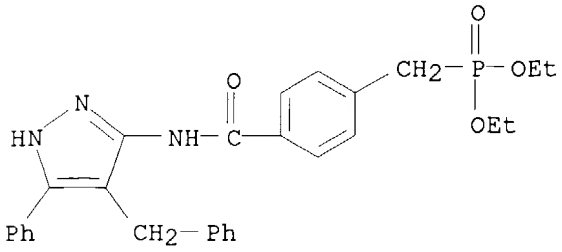
AB BNHAC6H4CH2P(O)R1R2 [R1, R2 = lower alkoxy, Ph; A = CO, CS, SO2; B is selected from heterocyclyl of (a) (halo-substituted) pyridine contg. 1-2 of (halo-substituted) lower alkyl, CONH2, NO2, cyano, or lower alkanyloxyl; (b) pyridine 1-oxide (contg. 1-2 of (halo-substituted) lower alkyl, halo, or cyano); (c) pyrimidine contg. 1-2 of lower alkyl, halo, or lower alkylthio; (d) pyrazine (contg. 1-2 halo); (e) isoxazole contg. 1-2 of (halo)phenyl, lower alkoxyphenyl, lower alkylphenyl, thienyl, phenylsulfonyl, or OH, or halo and lower alkyl; (f) pyrazole or 3-pyrazolone (contg. 1-3 of lower (phenyl)alkyl, (halo)phenyl, cyano, CONH2, or thiocyanate); (g) (lower alkyl- or halo-substituted) quinoline 1-oxide; (h) 1 or 2 lower alkyl-substituted 1,8-naphthyridine] are prepd. as antihyperlipidemics and antidiabetics (no data). Thus, a mixt. of 3.1 g 2-amino-5-cyanopyridine-HCl and pyridine in CH2Cl2 was treated dropwise with a soln. of 6.4 g 4-[(diethoxyphosphoryl)methyl]benzoyl chloride in CH2Cl2 under ice cooling, then treated at room temp. for 10 h to give 5.1 g diisopropyl 4-[N-(5-cyano-2-pyridyl)carbamoyl]benzylphosphonate.

IT **169293-97-4P 169294-01-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl-contg. phosphonate diesters as antihyperlipidemics and antidiabetics)

RN 169293-97-4 CAPLUS
CN Phosphonic acid, [[4-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



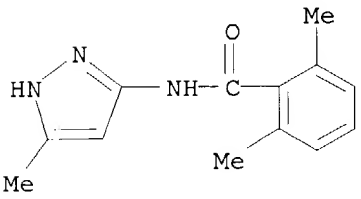
RN 169294-01-3 CAPLUS
CN Phosphonic acid, [[4-[[[5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl]amino]carbonyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 53 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:506781 CAPLUS
DOCUMENT NUMBER: 123:83261
TITLE: Preparation, structural analysis and anticonvulsant activity of 3- and 5-aminopyrazole N-benzoyl derivatives
AUTHOR(S): Michon, V.; Herve du Penhoat, C.; Tombret, F.; Gillardin, J. M.; Lepage, F.; Berthon, L.
CORPORATE SOURCE: Dep. Chimie, Ecole Normale Sup., Paris, 75231, Fr.
SOURCE: European Journal of Medicinal Chemistry (1995), 30(2), 147-55
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

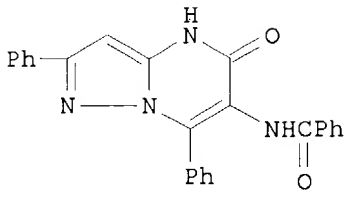
AB Some unsym. N-exocyclic and N-endocyclic derivs. from benzoylation of 3-aminopyrazole and 5-aminopyrazole were prepd. with the aim of comparing their anticonvulsant activity towards the MES and scMET tests. Unambiguous proof of their structure was obtained from heteronuclear long-range correlation spectroscopy and NOE difference spectra. Only the N-exo-pyrazole benzamides showed good protection with respect to these tests. An example N-(benzoyl)pyrazolamine compd. is N-(1,3-dimethyl-1H-pyrazol-5-yl)-2,6-dimethylbenzamide.

IT **165333-66-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and anticonvulsant structure-activity relationship of (benzoyl)pyrazolamines)
RN 165333-66-4 CAPLUS
CN Benzamide, 2,6-dimethyl-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

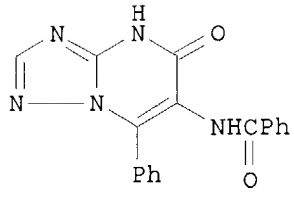


L4 ANSWER 54 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:133226 CAPLUS
DOCUMENT NUMBER: 122:239624
TITLE: Studies with polyfunctionally substituted heterocycles. Novel synthesis of pyrazolo[1,5-a]pyrimidine, triazolo[1,5-a]pyrimidine and pyranooxazole derivatives
AUTHOR(S): Kandeel, Zaghloul E.; Farag, Ahmad M.; Negm, Abdalla M.; Khalafalla, Ali K.; Rasslan, Mohamed A. M.; Elnagdi, Mohamed H.
CORPORATE SOURCE: Chem. Dep., Cairo Univ., Giza, Egypt
SOURCE: Journal of Chemical Research, Synopses (1994), (11), 416-17
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English

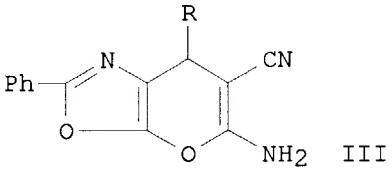
GI



I



II



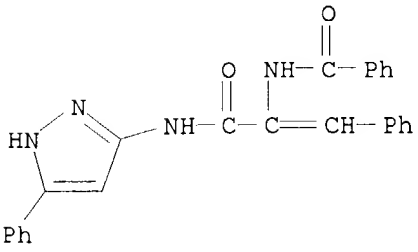
III

AB 2-Phenyl-4-(phenylmethylidene)oxazol-5(4H)-one reacts with heterocyclic amines to yield pyrazolo[1,5-a]pyrimidine I and 1,2,3-triazolo[1,5-a]pyrimidine II; pyrano[2,3-d]oxazoles III (R = H, Me) were prepd. via reaction of 2-phenyloxazol-5(4H)-one with alkylidenemalononitriles RCH:C(CN)2.

IT **159973-05-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazolo- and -triazolopyrimidine, and pyranooxazole derivs.)

RN 159973-05-4 CAPLUS

CN Benzamide, N-[2-phenyl-1-[[(5-phenyl-1H-pyrazol-3-yl)amino]carbonyl]ethenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 55 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:100982 CAPLUS

DOCUMENT NUMBER: 122:55910

TITLE: Novel synthesis of pyrazolo[1,5-a]pyrimidine, triazolo[1,5-a]pyrimidine and pyranooxazole derivatives

AUTHOR(S): Elnagdi, Mohamed H.; Khalafallah, Ali K.; Kandeel, Zaghloul E.; Farag, Ahmad M.; Negm, Abdalla M.; Rasslan, A.M.

CORPORATE SOURCE: Faculty of Science, Cairo University, Giza, Egypt

SOURCE: Aswan Science & Technology Bulletin (1994), 15, 71-88
CODEN: ASTBEQ; ISSN: 1110-0184

DOCUMENT TYPE: Journal

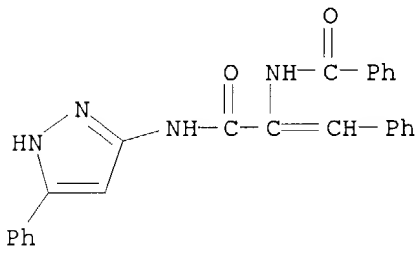
LANGUAGE: English

AB 4-Benzylidene-2-phenyl-2-oxazolin-5-one reacts with heterocyclic amines to yield pyrazolo[1,5-a]pyrimidine and 1,2,4-triazolo[1,5-a] pyrimidine. Pyranooxoazoles were prepd. via reaction of 2-phenyl-2-oxazolin 5-one with alkylidenemalononitriles.

IT **159973-05-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrazolopyrimidine, triazolopyrimidine, or pyranooxazole derivs.)

RN 159973-05-4 CAPLUS

CN Benzamide, N-[2-phenyl-1-[[(5-phenyl-1H-pyrazol-3-yl)amino]carbonyl]ethenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 56 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:237521 CAPLUS

DOCUMENT NUMBER: 114:237521

TITLE: Silver halide color photographic material containing pyrazoloazole-type cyan coupler

INVENTOR(S): Kita, Hiroshi; Kida, Shuji; Kaneko, Yutaka; Hirabayashi, Shigeto

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

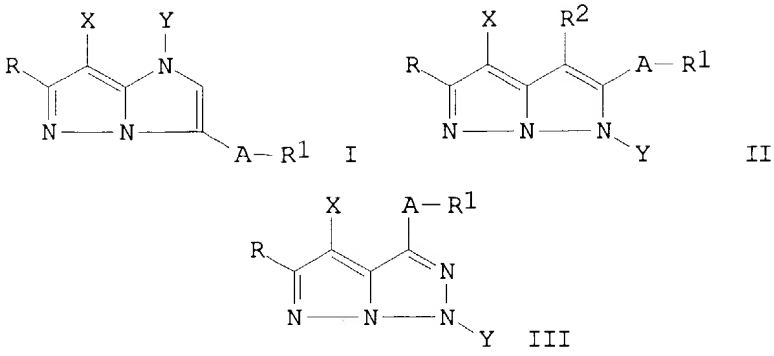
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02197841	A2	19900806	JP 1989-17886	19890127
JP 2764295	B2	19980611		

PRIORITY APPLN. INFO.: JP 1989-17886 19890127

GI

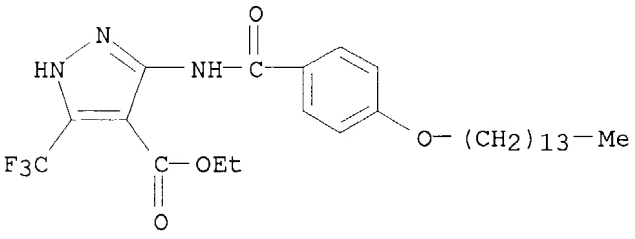


AB A red-photosensitive Ag halide emulsion layer of the photog. material contains a pyrazoloazole-type cyan coupler I, II, and/or III (R = electron acceptor moiety, moiety capable of forming H bond; A = arylene; R1,R2 = H, substituent; X = moiety which is bonded to C at a coupling position via O, N, or S and is capable of being released by reacting with an oxidized product of a color developing agent; and Y = H, moiety capable of being released during development). The cyan coupler gives high color d., good storage stability, and good spectral absorption properties.

IT **133922-59-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, pyrazoloazole-type cyan coupler from, silver halide color photog. material contg.)

RN 133922-59-5 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[4-(tetradecyloxy)benzoyl]amino]-5-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 57 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:439189 CAPLUS

DOCUMENT NUMBER: 105:39189

TITLE: N-pyrazolyl-2-nitrobenzamides with antifungal activity

AUTHOR(S): Daidone, G.; Plescia, S.; Raffa, D.; Sprio, V.; Milici, M.

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Palermo, Palermo, Italy

SOURCE: Farmaco, Edizione Scientifica (1986), 41(5), 408-16
CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

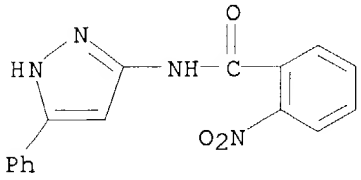
LANGUAGE: Italian

AB N-Pyrazolyl-2-nitrobenzamides substituted on the pyrazole nucleus were screened for antifungal activity against Candida albicans and Cryptococcus neoformans. Min. inhibitory concns. for 14 tested compds. ranged 20-70 and 20-80 .mu.g/mL for the 2 species, resp. However, the species differed considerably in their sensitivity to individual compds. The presence of

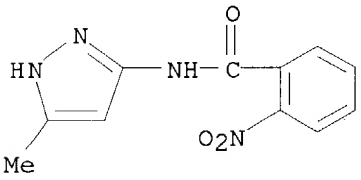
both a secondary amide function and a nitroso group conferred increased activity, particularly with respect to C. albicans. Introduction of a Ph group into the pyrazole nucleus increased activity, presumably due to enhanced lipophilicity.

IT **55439-99-1P 103060-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifungal activity of)

RN 55439-99-1 CAPLUS
CN Benzamide, 2-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



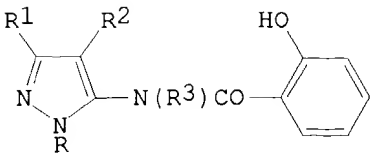
RN 103060-68-0 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)-2-nitro- (9CI) (CA INDEX NAME)



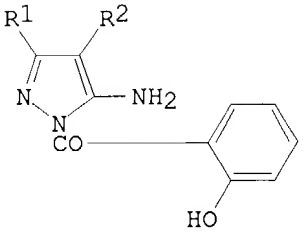
L4 ANSWER 58 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1986:17558 CAPLUS
DOCUMENT NUMBER:	104:17558
TITLE:	New N-pyrazolyl salicylamides with antifungal activity
AUTHOR(S):	Daidone, G.; Plescia, S.; Raffa, D.; Bajardi, M. L.; Milici, M.
CORPORATE SOURCE:	Ist. Chim. Farm. Tossicol., Univ. Palermo, Palermo, Italy
SOURCE:	Farmaco, Edizione Scientifica (1985), 40(9), 683-94 CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE:	Journal
LANGUAGE:	Italian
OTHER SOURCE(S):	CASREACT 104:17558

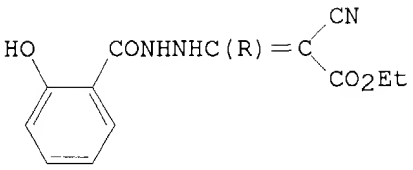
GI



I



II



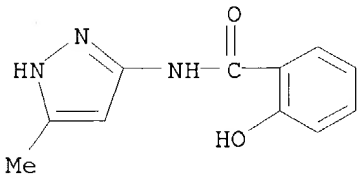
III

AB Nine pyrazolyl salicylamides I [R = H or Ph; R1 and R3 = H or Me; R2 = H, Ph, CN, or CO2Et; R1R2 = (CH2)4], as well as II (R = R1 = R4 = H, R2 = CO2Et) [98817-30-2], II (R = R4 = H, R2 = Me, R3 = CO2Et) [98817-31-3], II (R = H) [98817-32-4], and (R = Me) [98817-33-5], were prepd. and tested in vitro against Cryptococcus neoformans and Candida albicans. All compds., with the exception of I (R = Ph, R1 = R2 = H, R3 = Me) [98817-34-6], were active. I (R = Ph, R1 = Me, R2 = R3 = H) [70803-10-0] was the most active.

IT **98817-27-7P 98817-29-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

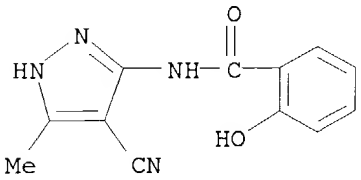
RN 98817-27-7 CAPLUS

CN Benzamide, 2-hydroxy-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 98817-29-9 CAPLUS

CN Benzamide, N-(4-cyano-5-methyl-1H-pyrazol-3-yl)-2-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 59 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:121087 CAPLUS
DOCUMENT NUMBER: 100:121087

09/941,001

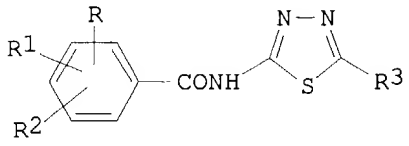
TITLE: Benzamides, compositions and their agricultural use
INVENTOR(S): Burow, Kenneth W., Jr.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 41 pp. Cont.-in-part of U.S. Ser. No. 187,675, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4416683	A	19831122	US 1981-302323	19810914
JP 57081467	A2	19820521	JP 1981-146991	19810914
JP 05000386	B4	19930105		
DK 8104107	A	19820317	DK 1981-4107	19810915
DK 163509	B	19920309		
DK 163509	C	19920824		
NO 8103142	A	19820317	NO 1981-3142	19810915
NO 159054	B	19880822		
NO 159054	C	19881130		
FI 8102875	A	19820317	FI 1981-2875	19810915
FI 75815	B	19880429		
FI 75815	C	19880808		
AU 8175257	A1	19820325	AU 1981-75257	19810915
AU 544567	B2	19850606		
GB 2084140	A	19820407	GB 1981-27846	19810915
GB 2084140	B2	19840627		
BR 8105900	A	19820608	BR 1981-5900	19810915
ES 505517	A1	19830101	ES 1981-505517	19810915
ZA 8106393	A	19830427	ZA 1981-6393	19810915
PL 127767	B1	19831130	PL 1981-233031	19810915
HU 30448	O	19840328	HU 1981-2667	19810915
HU 191037	B	19861228		
RO 83401	P	19840402	RO 1981-105310	19810915
CA 1179345	A1	19841211	CA 1981-385944	19810915
IL 63839	A1	19841231	IL 1981-63839	19810915
RO 88228	B3	19851230	RO 1981-113246	19810915
RO 88495	B3	19860130	RO 1981-113245	19810915
SU 1375111	A3	19880215	SU 1981-3336204	19810915
DD 206930	A5	19840215	DD 1981-233336	19810916
CS 252456	B2	19870917	CS 1981-6829	19810916
SU 1160932	A3	19850607	SU 1982-3381405	19820120
US 4515625	A	19850507	US 1983-510699	19830705
US 4636243	A	19870113	US 1984-685922	19841224
US 4801718	A	19890131	US 1985-805020	19851205
US 4943634	A	19900724	US 1988-270907	19881114
US 5086184	A	19920204	US 1990-520008	19900507

PRIORITY APPLN. INFO.:

US 1980-187675	19800916
US 1981-302323	19810914
US 1983-510699	19830705
US 1984-685922	19841224
US 1985-805020	19851205
US 1988-270907	19881114

OTHER SOURCE(S): CASREACT 100:121087
GI



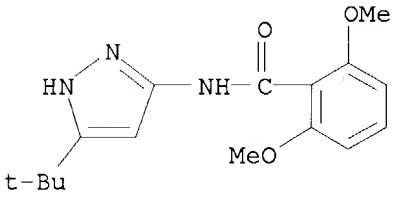
I

AB Herbicidal thiadiazolylbenzamides I (R = H, alkoxy; R1 = alkoxy, alkylthio; R2 = alkyl, R1; R3 = substituted alkyl, cycloalkylalkyl) (177 compds.) were prepd. Thus, 13.0 g Et2CMeCO2H was treated with 9.1 g H2NNHCSNH2 and POCl3 to give 17.0 g 2-amino-5-(1-ethyl-1-methylpropyl)-1,3,4-thiadiazole. This was acylated with 2,6-(MeO)2C6H3COCl to give 36% I (R = H, R1 = 2-MeO, R2 = 6-MeO, R3 = MeEt2C) (II). In pre-emergence tests 8 lb II/acre gave 100% kill of, e.g., foxtail and velvetleaf.

IT **82559-57-7P 82559-58-8P 82559-59-9P**
82559-60-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal activity of)

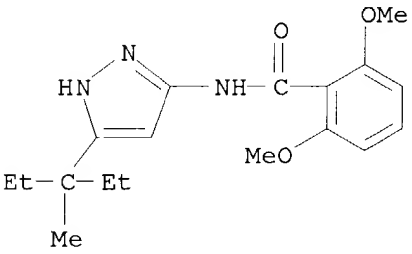
RN 82559-57-7 CAPLUS

CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



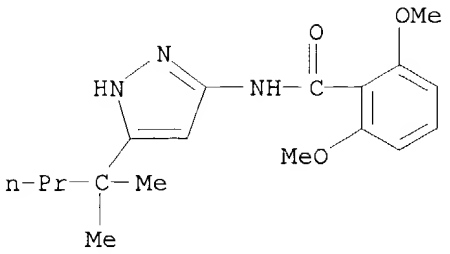
RN 82559-58-8 CAPLUS

CN Benzamide, N-[5-(1-ethyl-1-methylpropyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)

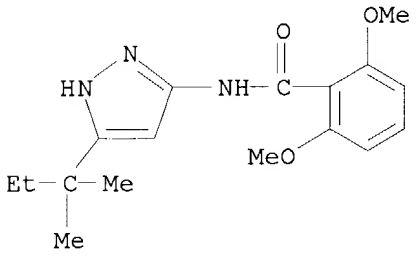


RN 82559-59-9 CAPLUS

CN Benzamide, N-[5-(1,1-dimethylbutyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



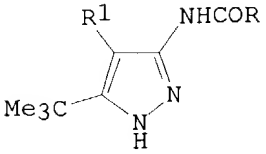
RN 82559-60-2 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylpropyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



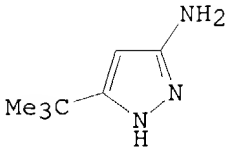
L4 ANSWER 60 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:126076 CAPLUS
DOCUMENT NUMBER: 98:126076
TITLE: Pyrazole derivatives and herbicidal compositions containing them
INVENTOR(S): Seki, Nansho; Yamaguchi, Yuki; Nakamura, Yukihiro; Kubo, Hiroshi; Tsuruya, Tetsuo
PATENT ASSIGNEE(S): Showa Denko K. K. , Japan
SOURCE: Fr. Demande, 36 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2503706	A1	19821015	FR 1982-6370	19820413
FR 2503706	B1	19860221		
JP 57169465	A2	19821019	JP 1981-54321	19810413
US 4505739	A	19850319	US 1982-368232	19820113
AU 8282570	A1	19821021	AU 1982-82570	19820413
AU 546913	B2	19850926		
GB 2099420	A	19821208	GB 1982-10745	19820413
GB 2099420	B2	19850918		
DE 3213575	A1	19830317	DE 1982-3213575	19820413
CA 1177834	A1	19841113	CA 1982-400844	19820413
CH 651024	A	19850830	CH 1982-2225	19820413

PRIORITY APPLN. INFO.: JP 1981-54321 19810413
OTHER SOURCE(S): CASREACT 98:126076
GI



I



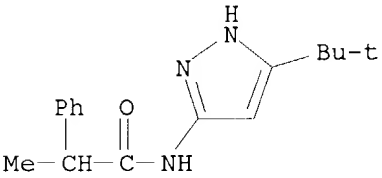
II

AB (Acylamino)pyrazoles I (R = H, alkyl, haloalkyl, alkoxyalkyl, alkenyl, cycloalkyl, halocycloalkyl, alkylcycloalkyl, aralkyl, 2-furyl, 2-thienyl; R1 = H, Cl, Br, NO2), which were prepd., showed herbicidal activity. The reaction of Me3CCOCH2CN with N2H4 in EtOH at reflux temp. gave pyrazole II, and the latter was treated with HCO2H to give I (R = R1 = H).

IT **84958-96-3P 84958-97-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal activity of)

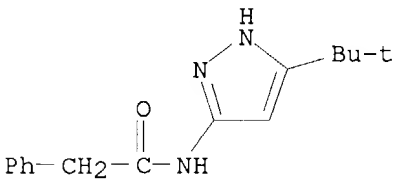
RN 84958-96-3 CAPLUS

CN Benzeneacetamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 84958-97-4 CAPLUS

CN Benzeneacetamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 61 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:472372 CAPLUS

DOCUMENT NUMBER: 97:72372

TITLE: N-Arylbenzamide derivatives

INVENTOR(S): Burow, Kenneth Wayne, Jr.

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl., 158 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

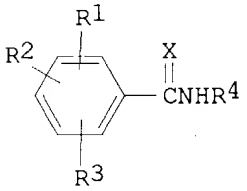
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 49071	A1	19820407	EP 1981-304225	19810915
EP 49071	B1	19841219		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 57081467	A2	19820521	JP 1981-146991	19810914
JP 05000386	B4	19930105		
DK 8104107	A	19820317	DK 1981-4107	19810915
DK 163509	B	19920309		
DK 163509	C	19920824		
NO 8103142	A	19820317	NO 1981-3142	19810915
NO 159054	B	19880822		
NO 159054	C	19881130		
FI 8102875	A	19820317	FI 1981-2875	19810915
FI 75815	B	19880429		
FI 75815	C	19880808		
AU 8175257	A1	19820325	AU 1981-75257	19810915
AU 544567	B2	19850606		
GB 2084140	A	19820407	GB 1981-27846	19810915
GB 2084140	B2	19840627		
BR 8105900	A	19820608	BR 1981-5900	19810915
ES 505517	A1	19830101	ES 1981-505517	19810915
ZA 8106393	A	19830427	ZA 1981-6393	19810915
PL 127767	B1	19831130	PL 1981-233031	19810915
HU 30448	O	19840328	HU 1981-2667	19810915
HU 191037	B	19861228		
RO 83401	P	19840402	RO 1981-105310	19810915
CA 1179345	A1	19841211	CA 1981-385944	19810915
IL 63839	A1	19841231	IL 1981-63839	19810915
AT 10840	E	19850115	AT 1981-304225	19810915
RO 88228	B3	19851230	RO 1981-113246	19810915
RO 88495	B3	19860130	RO 1981-113245	19810915
SU 1375111	A3	19880215	SU 1981-3336204	19810915
DD 206930	A5	19840215	DD 1981-233336	19810916
CS 252456	B2	19870917	CS 1981-6829	19810916
SU 1160932	A3	19850607	SU 1982-3381405	19820120

PRIORITY APPLN. INFO.: US 1980-187675 19800916
EP 1981-304225 19810915

GI



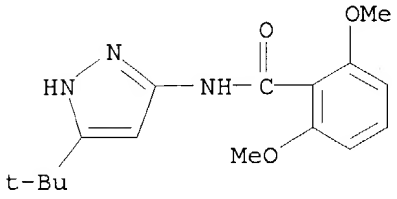
I

AB The herbicidal heteroarylbenzamides I (R1 = H, halo, C1-4 alkyl, C1-4 alkoxy; R2 = H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthio, F3C; R3 = H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthio; R4 = isoxazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, pyridazinyl) were prepd. Thus, methylating Et2CHCO2Me with MeI followed by reaction with MeCN gave Et2CMeCOCH2CN which cyclized with HONH2.HCl to give 5-amino-3-(1-ethyl-1-methylpropyl)isoxazole, which was treated with 2,5-(MeO)2C6H3COCl to give N-[3-(1-ethyl-1-methylpropyl)-5-isoxazolyl]-2,5-dimethoxybenzamide (II). In preemergence application at 0.25 lbs/acre II completely prevented growth of crabgrass.

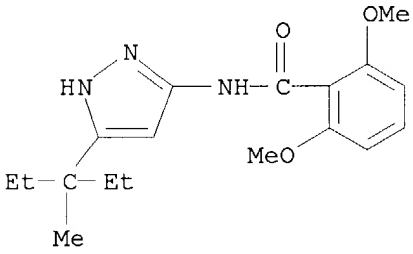
IT **82559-57-7P 82559-58-8P 82559-59-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal activity of)

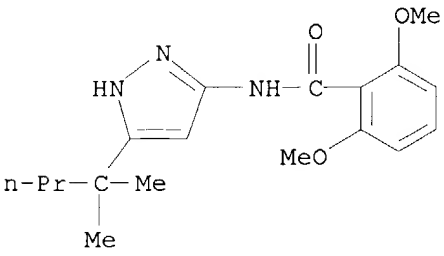
RN 82559-57-7 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



RN 82559-58-8 CAPLUS
CN Benzamide, N-[5-(1-ethyl-1-methylpropyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)

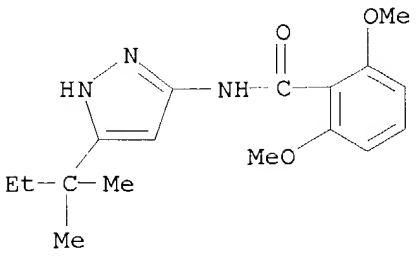


RN 82559-59-9 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylbutyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)

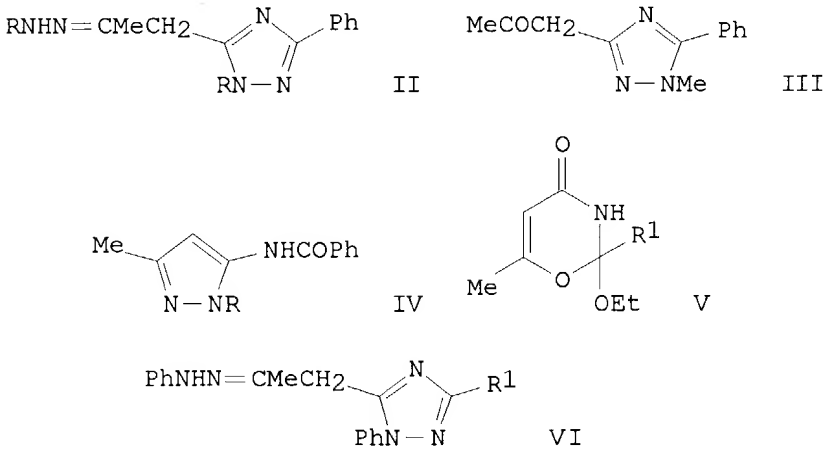


IT **82559-60-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 82559-60-2 CAPLUS
CN Benzamide, N-[5-(1,1-dimethylpropyl)-1H-pyrazol-3-yl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)

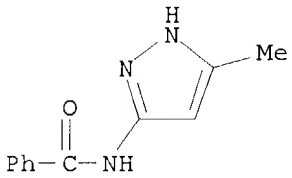


L4 ANSWER 62 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:615315 CAPLUS
DOCUMENT NUMBER: 89:215315
TITLE: 1,3-Oxazines and related compounds. II. Ring contraction reaction of 1,3-oxazin-4-one derivatives into 1,2,4-triazoles and pyrazoles
AUTHOR(S): Yamamoto, Yutaka; Azuma, Yutaka; Miyakawa, Kyoko
CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(6), 1825-31
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:215315
GI

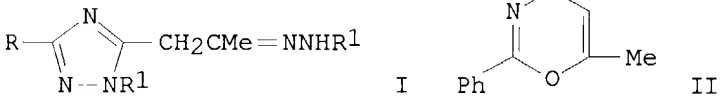


AB The reaction of 6-methyl-2-phenyl-4H-1,3-oxazin-4-one (I) with H2NNH2, MeNHNH2, and PhNHNH2 gave 1,2,4-triazoles II (R = H), III, and II (R = Ph) in 91, 52, and 76.5% yield, resp. I was treated with RNHNH2.H2SO4 (R = H, Me, Ph) to give the resp. pyrazoles IV in 73.4, 61 and 42% yield, resp. Analogously, the reaction of V (R1 = PhCH2, Me) with PhNHNH2 yielded the resp. 1,2,4-triazoles VI.

IT **52566-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

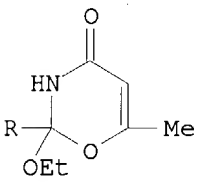


L4 ANSWER 63 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:579943 CAPLUS
DOCUMENT NUMBER: 89:179943
TITLE: Ring transformation of 1,3-oxazin-4-ones into triazoles, pyrazoles, and pyrimidines
AUTHOR(S): Yamamoto, Yutaka; Azuma, Yutaka; Kato, Tetsuzo
CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, Japan
SOURCE: Symp. Heterocycl., [Pap.] (1977), 112-17. Editor(s): Kametani, Tetsuji. Sendai Inst. Heterocycl. Chem.: Sendai, Japan.
CODEN: 38WUAV
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

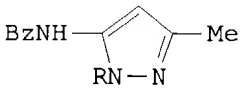


I

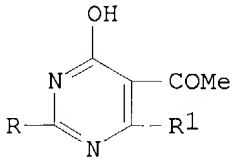
II



III



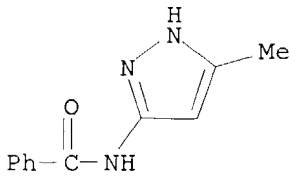
IV



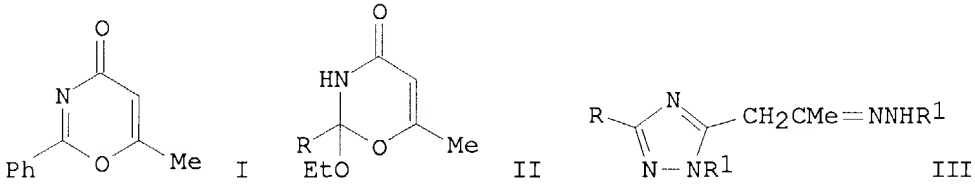
V

AB Triazoles I (R = Ph, PhCH2, Me, R1 = H, Ph) were obtained in 35-91% yields by refluxing oxazines II or III with R1NHNH2 in EtOH. Pyrazoles IV (R = H, Me, Ph) were obtained in 42-75% yields by treatment of II with RNHNH2.H2SO4. Pyrimidines V (R = Ph, R1 = Ph, Me, Et, PhCH2; R = PhCH2, R1 = p-MeOC6H4, Me) were obtained in 12-85% yields by treatment of II and III with the corresponding thioamides.

IT **52566-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



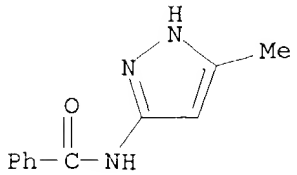
L4 ANSWER 64 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:601462 CAPLUS
DOCUMENT NUMBER: 87:201462
TITLE: Ring transformation of 1,3-oxazin-4-ones into triazoles, pyrazoles, and pyrimidines
AUTHOR(S): Yamamoto, Yutaka; Azuma, Yutaka; Kato, Tetsuzo
CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, Japan
SOURCE: Heterocycles (1977), 6(9-10), 1610-15
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:201462
GI



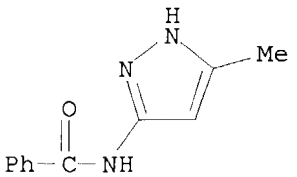
AB Reaction of oxazines I and II (R = CH2Ph, Me) with R1NHNH2 (R1 = H, Ph) gave triazoles III (R = Ph, CH2Ph, Me; R1 = H, Ph), whereas reaction of I with R2NHNH2.H2SO4 (R2 = H, Ph, Me) gave the pyrazoles IV. The pyrimidines V (R3 = Ph, R4 = Ph, Me, Et, CH2Ph; R3 = CH2Ph, R4 = C6H4OMe-4, Me) were obtained by treating I or II (R = CH2Ph) with R4CSNH2.

IT **52566-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 65 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:74171 CAPLUS
DOCUMENT NUMBER: 84:74171
TITLE: N-Dealkylation of pyrazoles using pyridine hydrochloride
AUTHOR(S): Butler, Donald E.; DeWald, Horace A.
CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA
SOURCE: Journal of Organic Chemistry (1975), 40(9), 1353-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 84:74171
GI For diagram(s), see printed CA Issue.
AB N-Alkylpyrazoles I (R = H, NO2, Bz, o-ClC6H4CO; R1 = Me, BzNH, Bz, NH2, Cl; RR1 = CH:CHCH:CH, o-COC6H4O) were N-dealkylated by refluxing in anhyd. pyridine-HCl. A wide variety of C substituents do not interfere and a no. of NH pyrazoles difficult or impossible to prep. by other methods were synthesized. 1,3-Dimethyl-1H-indazole was also N-dealkylated. This reaction allows N-alkylpyrazoles to be used in the synthesis of NH pyrazoles.
IT **52566-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 66 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:497241 CAPLUS
DOCUMENT NUMBER: 83:97241
TITLE: Derivatives of pyrazolo[1,5-c]-1,3,5-benzotriazocin-5(4H)-one and pyrazolo[1,5-c]-1,2,3,5-benzotetrazocin-5(4H) one
AUTHOR(S): Plescia, Salvatore; Ajello, Enrico; Sprio, Vincenzo
CORPORATE SOURCE: Fac. Farm., Univ. Palermo, Palermo, Italy
SOURCE: Atti della Accademia di Scienze, Lettere e Arti di Palermo, Parte 1: Scienze (1974), Volume Date 1973, 33(2), 301-4
CODEN: AASLAN; ISSN: 0365-0448
DOCUMENT TYPE: Journal
LANGUAGE: Italian

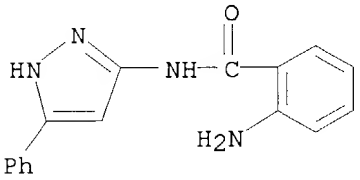
GI For diagram(s), see printed CA Issue.

AB Azocines I[X = N, CH; R = Ph, R1 = H; RR1 = (CH2)4-5] were prepd. by treating II(R2 = H) with 2-O2NC6H4COCl, reducing II(R2 = 2-O2NC6H4CO), and diazotizing II(R2 = 2-H2NC6H4CO) or cyclizing with HC(OEt)3. Structures I were confirmed by independent synthesis of the alternative product III.

IT **56401-01-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with orthoformate or nitrous acid)

RN 56401-01-5 CAPLUS

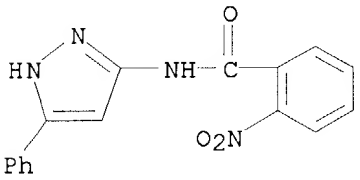
CN Benzamide, 2-amino-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



IT **55439-99-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 55439-99-1 CAPLUS

CN Benzamide, 2-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 67 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:156243 CAPLUS

DOCUMENT NUMBER: 82:156243

TITLE: Novel ring systems. Pyrazolo[1,5-c][1,3,5]benzotriazocin-5(4H)one and pyrazole[1,5-c][1,2,3,5]benzotetrazocin-5(4H)one derivatives

AUTHOR(S): Plescia, Salvatore; Ajello, Enrico; Sprio, Vincenzo

CORPORATE SOURCE: Ist. Chim. Org., Fac. Farm., Palermo, Italy

SOURCE: Journal of Heterocyclic Chemistry (1975), 12(1), 199-202
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

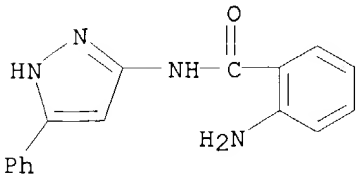
OTHER SOURCE(S): CASREACT 82:156243

GI For diagram(s), see printed CA Issue.

AB The benzotriazocinones I [R = Ph, R1 = H; RR1 = (CH2)4, (CH2)5] were prepd. by condensation of II with HC(OEt)3. Treatment of II with NaNO2 in AcOH gave the benzotetrazocinone III.

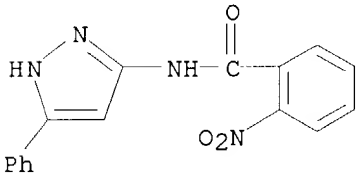
IT **55440-02-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 55440-02-3 CAPLUS
CN Benzamide, 2-amino-N-(5-phenyl-1H-pyrazol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

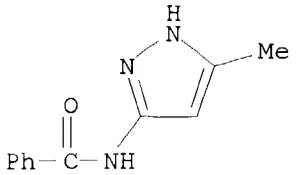


● HCl

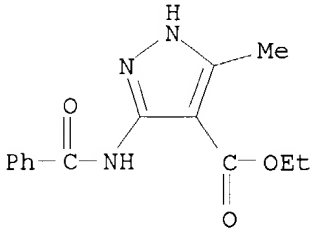
IT **55439-99-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 55439-99-1 CAPLUS
CN Benzamide, 2-nitro-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



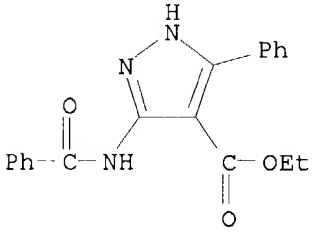
L4 ANSWER 68 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:133330 CAPLUS
DOCUMENT NUMBER: 80:133330
TITLE: Reaction of enamines with hydrazine
AUTHOR(S): Gavrilenko, B. B.; Momot, V. V.; Bodnarchuk, N. D.
CORPORATE SOURCE: Inst. Org. Khim., Kiev, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1974), 10(3), 601-4
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB RR1C:C(NH2)NHNH2 (I; R = CO2Me, CO2Et, CO2Pr, CO2Ph, R1 = CO2Me, CO2Et, CN) were obtained in 78-90% yields by boiling RR1C:C(CCl3)NH2 on a water bath 3-5 min. Pyrazoles (II; R1 = CO2Et, CO2Pr, CO2Ph) were obtained in 80-95% yields by cyclization of the appropriate I (R = CN) in DMF contg. N2H4.H2O. Analogous obtained were 70-98% pyrazoles (III; R1 = H, CO2Et,R3 = Me). Acylaminopyrazoles (IV; R1 = H, CO2Et, CO2Pr,R3 = Me, Ph, NH2, AcNH) were addnl. obtained in 78-96% yields.
IT **52566-42-4P 52566-43-5P 52566-45-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52566-42-4 CAPLUS
CN Benzamide, N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 52566-43-5 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(benzoylamino)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 52566-45-7 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(benzoylamino)-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



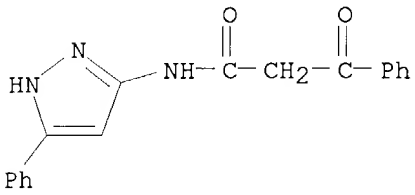
L4 ANSWER 69 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:539966 CAPLUS
DOCUMENT NUMBER: 77:139966
TITLE: Unequivocal synthesis of 7-oxopyrazolo[1,5-a]pyrimidines
AUTHOR(S): Sprio, Vincenzo; Plescia, Salvatore
CORPORATE SOURCE: Fac. Farm., Ist. Chim. Org., Palermo, Italy
SOURCE: Journal of Heterocyclic Chemistry (1972), 9(4), 951-3
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:139966
GI For diagram(s), see printed CA Issue.
AB 7-Oxopyrazolo 1,5-a pyrimidines (I, R = Me, R1 = Me, Ph; R = Ph, R1 = H) were prepd. by treating (3-phenyl-5-isoxazolyl)hydrazine hydrochloride with the .beta.-ketonitriles, RCOCHR1CN, in EtOH to give the pyrazolylisoxazoles II, which were reduced with Raney Ni to the pyrazolemethanols and recyclized to I by refluxing in EtOH-HCl. I (R = Ph, R1 = H) was also prepd. by fusing 3-amino-5-phenylpyrazole with PhCOCH2CO2Et at 220.degree.. Fusion at 160.degree. gave a mixt. of I (R = Ph, R1 = H) and 3-phenyl-5-benzoylacetamidopyrazole. It is suggested that

III cyclized to I (R = Ph, R1 = H) by the thermal rearrangement of the benzoylacetyl group.

IT **36931-80-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 36931-80-3 CAPLUS

CN Benzenepropanamide, .beta.-oxo-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 70 OF 70 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:10875 CAPLUS

DOCUMENT NUMBER: 66:10875

TITLE: Pyrazole derivatives. III

AUTHOR(S): Dymek, Wojciech; Janik, Boleslaw; Ryznerski, Zygmunt

CORPORATE SOURCE: Akad. Med., Cracow, Pol.

SOURCE: Acta Poloniae Pharmaceutica (1966), 23(3), 207-14
CODEN: APPHAX; ISSN: 0001-6837

DOCUMENT TYPE: Journal

LANGUAGE: Polish

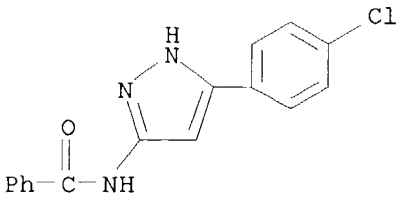
GI For diagram(s), see printed CA Issue.

AB cf. CA 63, 18066h. Several derivs. of 3-(p-chlorophenyl)pyrazole were synthesized for antibacterial screening. p-ClC6H4COCH2CN and 2.5 moles 80% N2H4.H2O heated 1 hr. on a water bath yielded I (R = H), m. 170-1.degree. (H2O); hydrochloride m. 225-7.degree. (EtOH-C6H6); picrate m. 199-200.degree. (EtOH). The following I were prepd. by 2-hr. heating of I (R = H) with 1 mole acyl chloride in C5H5N or 1 mole isocyanate in EtOH (R and m.p. given): Ac, 182-4.degree. (EtOH); Bz, 257-8.degree. (EtOH); p-AcNHC6H4SO2, 260-1.degree. (Me2CO-C6H6); p-H2NC6H4SO2, 263-4.degree. (Me2CO-C6H6); PhNCO, 139-40.degree. (dil. EtOH); 1-C10H7NHCO, 255-6.degree. (PhMe). I (R = H) in EtOH refluxed 1 hr. with 1 mole appropriate aldehyde yielded II (R = m.p. given): o-O2NC6H4, 206-7.degree. (EtOH); PhCH:CH, 216.degree. (C6H6); o-HOC6H4, 229-30.degree. (C6H6). I (R = H) heated 3 hrs. with 1 mole .alpha.-oxo ester in EtOH gave III (R = Me), m. 341.degree. (PhMe), and III (R = Ph), m. 340.degree. (PhMe). I (R = H) heated 1.5 hrs. with 1 mole isothiocyanate in EtOH yielded IV (R and m.p. given): Me, 221-2.degree. (EtOH); Et, 214.degree. (dil. EtOH); CH2:CHCH2, 201-2.degree. (dil. EtOH); Ph, 199-200.degree. and 220.degree. (EtOH); o-MeC6H4, 205-6.degree. and 223-4.degree. (EtOH).

IT **13097-20-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 13097-20-6 CAPLUS

CN Benzamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)


Cl
H
N
N
O
Ph
C
NH